

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: SUDHAKER PATEL Examiner #: 77018 Date: 5/8/03
 Art Unit: 1624 Phone Number 30 84779 Serial Number: 10049274
 Mail Box and Bldg/Room Location: CM14E17 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

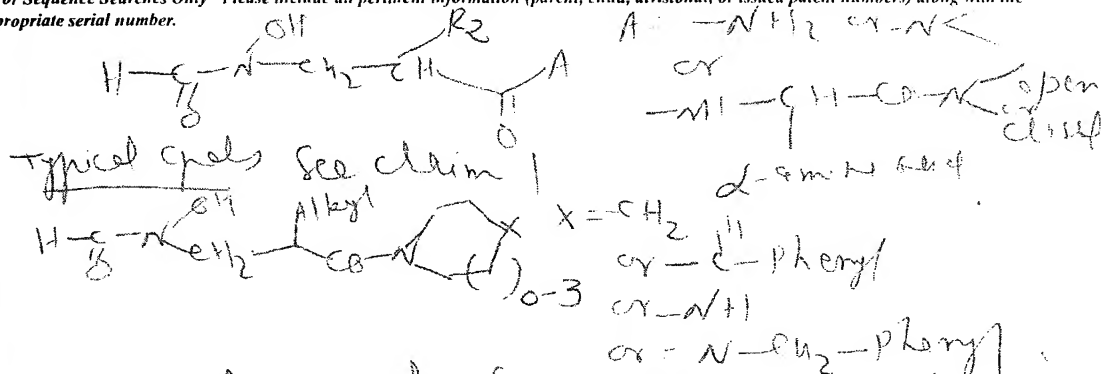
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: ANTIBACTERIAL AGENTS

Title of Invention: ANTIBIOTIC RESISTANCE
Inventors (please provide full names): MICHAEL GEORGE HUNTER

Earliest Priority Filing Date: 8/16/1999

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.



need info @ cph, Computer & method of
treatment for bacterial infections
need d fib histology total in Cphs.
copy of claims enclosed THH jug
1624

STAFF USE ONLY

Searcher: _____ Point of Contact: _____
 Searcher Phone #: _____ Alexandra Wacławiw
 Searcher Location: _____ Technical Info. Specialist
 CM1 6A02 Tel: 308-4491

Date Searcher Picked Up: 5-12-03

Date Completed: 5.12.02

Searcher Prep & Review Time: 20

Clerical Prep Time: _____

Online Time: 53

Type of Search

NA Sequence (#) STN 834300

AA Sequence (#)	Dialog
1	1. The first line of the dialog is the opening line of the first AA sequence.
2	2. The second line of the dialog is the opening line of the second AA sequence.
3	3. The third line of the dialog is the opening line of the third AA sequence.
4	4. The fourth line of the dialog is the opening line of the fourth AA sequence.
5	5. The fifth line of the dialog is the opening line of the fifth AA sequence.
6	6. The sixth line of the dialog is the opening line of the sixth AA sequence.
7	7. The seventh line of the dialog is the opening line of the seventh AA sequence.
8	8. The eighth line of the dialog is the opening line of the eighth AA sequence.
9	9. The ninth line of the dialog is the opening line of the ninth AA sequence.
10	10. The tenth line of the dialog is the opening line of the tenth AA sequence.
11	11. The eleventh line of the dialog is the opening line of the eleventh AA sequence.
12	12. The twelfth line of the dialog is the opening line of the twelfth AA sequence.
13	13. The thirteenth line of the dialog is the opening line of the thirteenth AA sequence.
14	14. The fourteenth line of the dialog is the opening line of the fourteenth AA sequence.
15	15. The fifteenth line of the dialog is the opening line of the fifteenth AA sequence.
16	16. The sixteenth line of the dialog is the opening line of the sixteenth AA sequence.
17	17. The seventeenth line of the dialog is the opening line of the seventeenth AA sequence.
18	18. The eighteenth line of the dialog is the opening line of the eighteenth AA sequence.
19	19. The nineteenth line of the dialog is the opening line of the nineteenth AA sequence.
20	20. The twentieth line of the dialog is the opening line of the twentieth AA sequence.
21	21. The twenty-first line of the dialog is the opening line of the twenty-first AA sequence.
22	22. The twenty-second line of the dialog is the opening line of the twenty-second AA sequence.
23	23. The twenty-third line of the dialog is the opening line of the twenty-third AA sequence.
24	24. The twenty-fourth line of the dialog is the opening line of the twenty-fourth AA sequence.
25	25. The twenty-fifth line of the dialog is the opening line of the twenty-fifth AA sequence.
26	26. The twenty-sixth line of the dialog is the opening line of the twenty-sixth AA sequence.
27	27. The twenty-seventh line of the dialog is the opening line of the twenty-seventh AA sequence.
28	28. The twenty-eighth line of the dialog is the opening line of the twenty-eighth AA sequence.
29	29. The twenty-ninth line of the dialog is the opening line of the twenty-ninth AA sequence.
30	30. The thirtieth line of the dialog is the opening line of the thirtieth AA sequence.
31	31. The thirty-first line of the dialog is the opening line of the thirty-first AA sequence.
32	32. The thirty-second line of the dialog is the opening line of the thirty-second AA sequence.
33	33. The thirty-third line of the dialog is the opening line of the thirty-third AA sequence.
34	34. The thirty-fourth line of the dialog is the opening line of the thirty-fourth AA sequence.
35	35. The thirty-fifth line of the dialog is the opening line of the thirty-fifth AA sequence.
36	36. The thirty-sixth line of the dialog is the opening line of the thirty-sixth AA sequence.
37	37. The thirty-seventh line of the dialog is the opening line of the thirty-seventh AA sequence.
38	38. The thirty-eighth line of the dialog is the opening line of the thirty-eighth AA sequence.
39	39. The thirty-ninth line of the dialog is the opening line of the thirty-ninth AA sequence.
40	40. The fortieth line of the dialog is the opening line of the fortieth AA sequence.
41	41. The forty-first line of the dialog is the opening line of the forty-first AA sequence.
42	42. The forty-second line of the dialog is the opening line of the forty-second AA sequence.
43	43. The forty-third line of the dialog is the opening line of the forty-third AA sequence.
44	44. The forty-fourth line of the dialog is the opening line of the forty-fourth AA sequence.
45	45. The forty-fifth line of the dialog is the opening line of the forty-fifth AA sequence.
46	46. The forty-sixth line of the dialog is the opening line of the forty-sixth AA sequence.
47	47. The forty-seventh line of the dialog is the opening line of the forty-seventh AA sequence.
48	48. The forty-eighth line of the dialog is the opening line of the forty-eighth AA sequence.
49	49. The forty-ninth line of the dialog is the opening line of the forty-ninth AA sequence.
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51	51. The fifty-first line of the dialog is the opening line of the fifty-first AA sequence.
52	52. The fifty-second line of the dialog is the opening line of the fifty-second AA sequence.
53	53. The fifty-third line of the dialog is the opening line of the fifty-third AA sequence.
54	54. The fifty-fourth line of the dialog is the opening line of the fifty-fourth AA sequence.
55	55. The fifty-fifth line of the dialog is the opening line of the fifty-fifth AA sequence.
56	56. The fifty-sixth line of the dialog is the opening line of the fifty-sixth AA sequence.
57	57. The fifty-seventh line of the dialog is the opening line of the fifty-seventh AA sequence.
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60	60. The sixtieth line of the dialog is the opening line of the sixtieth AA sequence.
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62	62. The sixty-second line of the dialog is the opening line of the sixty-second AA sequence.
63	63. The sixty-third line of the dialog is the opening line of the sixty-third AA sequence.
64	64. The sixty-fourth line of the dialog is the opening line of the sixty-fourth AA sequence.
65	65. The sixty-fifth line of the dialog is the opening line of the sixty-fifth AA sequence.
66	66. The sixty-sixth line of the dialog is the opening line of the sixty-sixth AA sequence.
67	67. The sixty-seventh line of the dialog is the opening line of the sixty-seventh AA sequence.
68	68. The sixty-eighth line of the dialog is the opening line of the sixty-eighth AA sequence.
69	69. The sixty-ninth line of the dialog is the opening line of the sixty-ninth AA sequence.
70	70. The seventieth line of the dialog is the opening line of the seventieth AA sequence.
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72	72. The seventy-second line of the dialog is the opening line of the seventy-second AA sequence.
73	73. The seventy-third line of the dialog is the opening line of the seventy-third AA sequence.
74	74. The seventy-fourth line of the dialog is the opening line of the seventy-fourth AA sequence.
75	75. The seventy-fifth line of the dialog is the opening line of the seventy-fifth AA sequence.
76	76. The seventy-sixth line of the dialog is the opening line of the seventy-sixth AA sequence.
77	77. The seventy-seventh line of the dialog is the opening line of the seventy-seventh AA sequence.
78	78. The seventy-eighth line of the dialog is the opening line of the seventy-eighth AA sequence.
79	79. The seventy-ninth line of the dialog is the opening line of the seventy-ninth AA sequence.
80	80. The eightieth line of the dialog is the opening line of the eightieth AA sequence.
81	81. The eighty-first line of the dialog is the opening line of the eighty-first AA sequence.
82	82. The eighty-second line of the dialog is the opening line of the eighty-second AA sequence.
83	83. The eighty-third line of the dialog is the opening line of the eighty-third AA sequence.
84	84. The eighty-fourth line of the dialog is the opening line of the eighty-fourth AA sequence.
85	85. The eighty-fifth line of the dialog is the opening line of the eighty-fifth AA sequence.
86	86. The eighty-sixth line of the dialog is the opening line of the eighty-sixth AA sequence.
87	87. The eighty-seventh line of the dialog is the opening line of the eighty-seventh AA sequence.
88	88. The eighty-eighth line of the dialog is the opening line of the eighty-eighth AA sequence.
89	89. The eighty-ninth line of the dialog is the opening line of the eighty-ninth AA sequence.
90	90. The ninetieth line of the dialog is the opening line of the ninetieth AA sequence.
91	91. The ninety-first line of the dialog is the opening line of the ninety-first AA sequence.
92	92. The ninety-second line of the dialog is the opening line of the ninety-second AA sequence.
93	93. The ninety-third line of the dialog is the opening line of the ninety-third AA sequence.
94	94. The ninety-fourth line of the dialog is the opening line of the ninety-fourth AA sequence.
95	95. The ninety-fifth line of the dialog is the opening line of the ninety-fifth AA sequence.
96	96. The ninety-sixth line of the dialog is the opening line of the ninety-sixth AA sequence.
97	97. The ninety-seventh line of the dialog is the opening line of the ninety-seventh AA sequence.
98	9

Structure (#) 2 Questel/Orbit _____

Bibliographic _____ Dr.Link _____

Litigation Lexis/Nexis

Fulltext _____ Sequence Systems _____

Patent Family _____ WWW/Internet _____

Other _____ Other (specify) _____

Vendors and cost where applicable

Q 343⁰²

1

Orbit

Systems

Internet

Specify) _____

Patel 10/049,274

=> d his

(FILE 'HCAPLUS' ENTERED AT 08:17:30 ON 12 MAY 2003)
DEL HIS Y

FILE 'REGISTRY' ENTERED AT 08:20:08 ON 12 MAY 2003
ACT PATEL2/A

L1 STR
L2 (541) SEA FILE=REGISTRY SSS FUL L1
L3 STR
L4 169 SEA FILE=REGISTRY SUB=L2 SSS FUL L3

L5 169 S L4 AND (CAPLUS OR CA)/LC
L6 126 S L4 AND USPATFULL/LC
L7 0 S L6 NOT L5

FILE 'HCAPLUS' ENTERED AT 08:20:41 ON 12 MAY 2003
L8 20 S L4

FILE 'HCAOLD' ENTERED AT 08:20:46 ON 12 MAY 2003
L9 0 S L4

=> fil-reg

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STRUCTURE FILE UPDATES: 11 MAY 2003 HIGHEST RN 514167-89-6
DICTIONARY FILE UPDATES: 11 MAY 2003 HIGHEST RN 514167-89-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

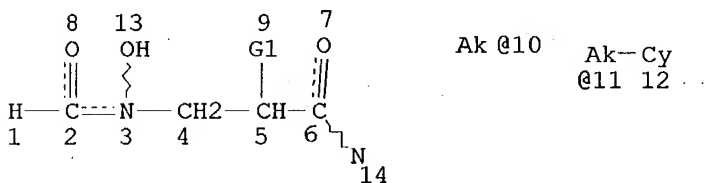
Experimental and calculated property data are now available. See HELP
PROPERTIES for more information. See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=> d questat 14

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L1 STR



VAR G1=10/11

NODE ATTRIBUTES:

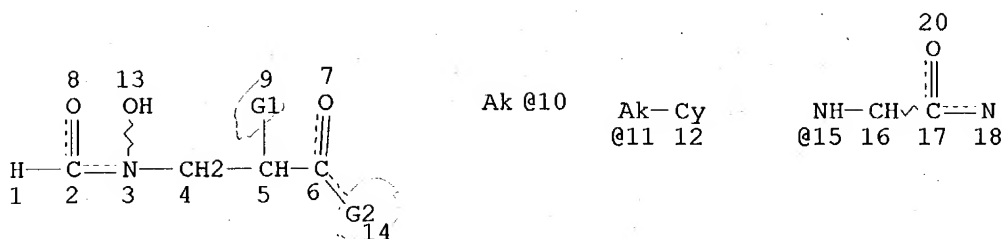
NSPEC IS RC AT 14
CONNECT IS E1 RC AT 10
CONNECT IS X2 RC AT 11
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 14

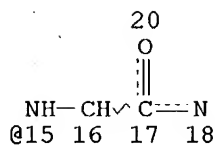
STEREO ATTRIBUTES: NONE

L2 (541)SEA FILE=REGISTRY SSS FUL L1
L3 STR



Ak @10

Ak-Cy
@11 12



Hy @19

VAR G1=10/11

VAR G2=15/19

NODE ATTRIBUTES:

CONNECT IS E1 RC AT 10

CONNECT IS X2 RC AT 11

DEFAULT MLEVEL IS ATOM

GGCAT IS SAT AT 19

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 20

STEREO ATTRIBUTES: NONE

L4 169 SEA FILE=REGISTRY SUB=L2 SSS FUL L3

100.0% PROCESSED 541 ITERATIONS

SEARCH TIME: 00.00.01

(169 ANSWERS

=> d his 15-17

(FILE 'REGISTRY' ENTERED AT 08:20:08 ON 12 MAY 2003)

L5 169 S L4 AND (CAPLUS OR CA)/LC

L6 126 S L4 AND USPATFULL/LC

L7 0 S L6 NOT L5

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 08:22:17 ON 12 MAY 2003

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FILE COVERS 1907 - 12 May 2003 VOL 138 ISS 20
FILE LAST UPDATED: 11 May 2003 (20030511/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

=> d que nos 18

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L1 STR
L2 ( 541)SEA FILE=REGISTRY SSS FUL L1
L3 STR
L4 169 SEA FILE=REGISTRY SUB=L2 SSS FUL L3
L8 20 SEA FILE=HCAPLUS ABB=ON PLU=ON L4
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=> d .ca hitstr 18 1-20

L8 ANSWER 1 OF 20 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2003:23020 HCAPLUS

DOCUMENT NUMBER: 138:85604

TITLE: A crystallized catalytic domain of human matrix metalloproteinase 9 (MMP9) and the use of its three dimensional structure to design MMP9 modulators

INVENTOR(S): Jepson, Holly; Minshull, Claire; Pauptit, Richard; Rowsell, Sian

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 227 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003002729	A1	20030109	WO 2002-SE1266	20020624
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: SE 2001-2298 A 20010627

AB The invention provides a crystal structure of a polypeptide corresponding to the catalytic domain of a human matrix metalloproteinase protein, MMP9, in complex with a reversible hydroxamate inhibitor. The active site binding region of the MMP9 protein is defined by its amino acid residues and their at. coordinates. This three dimensional structure may be used to select or design chem. modulators of MMP9, particularly MMP9 inhibitors. These modulators may be used to treat a metalloproteinase

mediated disease or condition.

IC ICM C12N009-50
ICS C12Q001-37; A61K038-48

CC 7-5 (Enzymes)
Section cross-reference(s): 1, 75

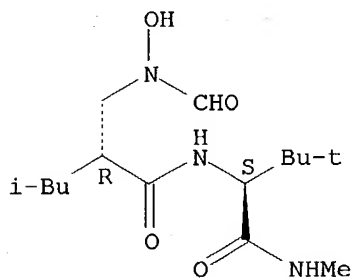
IT 146480-36-6DP, Matrix metalloproteinase 9, complexes with hydroxamate inhibitor **481701-43-3DP**, complexes with MMP9 catalytic domain
RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified); BUU (Biological use, unclassified); PRP (Properties); BIOL (Biological study); PREP (Preparation); USES (Uses)
(crystd. catalytic domain of wild-type and mutant human matrix metalloproteinase 9 (MMP9) and use of its three dimensional structure to design MMP9 modulators)

IT **481701-43-3DP**, complexes with MMP9 catalytic domain
RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified); BUU (Biological use, unclassified); PRP (Properties); BIOL (Biological study); PREP (Preparation); USES (Uses)
(crystd. catalytic domain of wild-type and mutant human matrix metalloproteinase 9 (MMP9) and use of its three dimensional structure to design MMP9 modulators)

RN 481701-43-3 HCAPLUS

CN L-Valinamide, (2R)-N-formyl-N-hydroxy-2-(2-methylpropyl)-.beta.-alanyl-N,3-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 20 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:977805 HCAPLUS

DOCUMENT NUMBER: 138:55864

TITLE: Preparation of N-hydroxyamide-substituted pyrrolidine derivatives as inhibitors of peptidyl deformylase

INVENTOR(S): Patel, Dinesh V.; Yuan, Zhengyu; Jain, Rakesh K.; Lewis, Jason G.; Jacobs, Jeffrey

PATENT ASSIGNEE(S): Versicor, Inc., USA; Novartis AG

SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

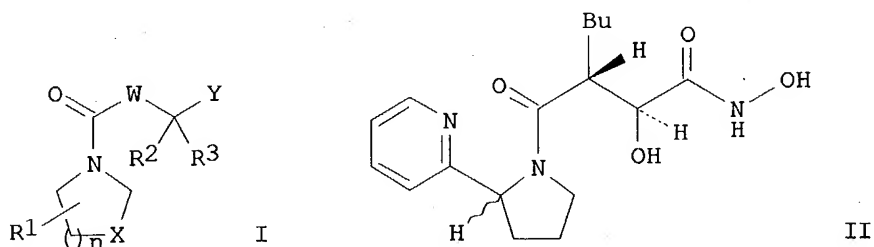
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002102791 A1 20021227 WO 2002-EP6586 20020614
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU,
LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG,
SI, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VN, YU, ZA, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE, TR
US 2003069223 A1 20030410 US 2002-171705 20020614
PRIORITY APPLN. INFO.: US 2001-298418P P 20010615
OTHER SOURCE(S): MARPAT 138:55864
GI



- AB Title compds. I [R¹ = (hetero)aryl; R² = H, halo, OH; R³ = H, halo, alkyl, heteroalkyl, etc.; X = CH₂, S; W = amino, alkyl; Y = COOH, SH, N(OH)CHO, CONHOH, etc.; n = 0-3; with provisions] are prep'd. For instance, (2R)-2-((5S)-2,2-dimethyl-4-oxo-1,3-dioxolan-5-yl)hexanoic acid (prepn. given) was coupled to 2-(pyrrolidin-2-yl)pyridine to give diastereomers II. IC₅₀ of selected example compds. det'd. for the zinc-contg. peptidyl deformylase (PDF) ranges from about 0.585 .mu.M to 0.004 .mu.M and for nickel-contg. PDF ranges from about 0.06 .mu.M to about 0.0001 .mu.M. I are useful for preventing contamination of a cell culture medium.
- IC ICM C07D401-14
ICS C07D207-08; A61P031-04
- CC 27-10 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1, 34, 63
- IT 479067-13-5P 479067-14-6P 479067-15-7P, (2S,3R)-2-Hydroxy-3-[[[(2R)-2-[pyridin-3-yl]pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide 479067-16-8P, (2S,3R)-2-Hydroxy-3-[[[(2S)-2-[pyridin-3-yl]pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide 479067-17-9P, (2S,3R)-2-Hydroxy-3-[[[(2R)-2-[pyridin-4-yl]pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide 479067-18-0P, (2S,3R)-2-Hydroxy-3-[[[(2S)-2-[pyridin-4-yl]pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide 479067-19-1P 479067-20-4P 479067-21-5P 479067-22-6P 479067-23-7P, (2S,3R)-2-Hydroxy-3-[[[(2S)-2-(oxazol-2-yl)pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide 479067-24-8P, (2S,3R)-2-Hydroxy-3-[[[(2S)-2-(5-methyloxazol-2-yl)pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide 479067-25-9P, (2R,3R)-2-Fluoro-3-[[[(2S)-2-(oxazol-2-yl)pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide 479067-26-0P, (2S,3R)-2-Fluoro-3-[[[(2S)-2-(oxazol-2-yl)pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide **479067-27-1P**, N-Hydroxy-N-[(2R)-2-[[[(2S)-2-(oxazol-2-yl)pyrrolidine-1-yl]carbonyl]hexyl]formamide 479067-28-2P, N-Hydroxy-N-[(3R)-3-[[[(2R)-2-

(pyridin-2-yl)pyrrolidine-1-yl]carbonyl]hexyl]formamide 479067-29-3P,
 N-Hydroxy-N-[(3R)-3-[[[(2S)-2-(pyridin-2-yl)pyrrolidine-1-yl]carbonyl]hexyl]formamide 479067-30-6P, (2S,3R)-2-Hydroxy-3-[[[(2S)-2-(4,5-dimethylthiazol-2-yl)pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide 479067-31-7P, (2S,3R)-2-Hydroxy-3-[[[(2S)-2-(4-phenylthiazol-2-yl)pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide 479067-32-8P, (2S,3R)-2-Hydroxy-3-[[[(2S)-2-(4-methylthiazol-2-yl)pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide 479067-33-9P, (2S,3R)-2-Hydroxy-3-[[[(2S)-2-(benzimidazol-2-yl)pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide 479067-34-0P 479067-35-1P 479067-36-2P, (2S,3R)-2-Hydroxy-3-[[[(2S)-2-(5-tert-butyloxazol-2-yl)pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide 479067-37-3P, (2S,3R)-2-Hydroxy-3-[[[(2S)-2-(5-phenyloxazol-2-yl)pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide 479067-38-4P, (2S,3R)-2-Hydroxy-3-[[[(2S)-2-(5-isobutyloxazol-2-yl)pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide 479067-39-5P, (2S,3R)-2-Hydroxy-3-[[[(2S)-2-(4,5-dimethyloxazol-2-yl)pyrrolidine-1-yl]carbonyl]heptanoic acid hydroxyamide 479067-40-8P, (2S,3R)-2-Hydroxy-3-[[[(2S)-2-(oxazol-2-yl)pyrrolidine-1-yl]carbonyl]heptanoic acid 479067-41-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-hydroxyamide-substituted pyrrolidine derivs. as inhibitors of peptidyl deformylase)

IT **479067-27-1P**, N-Hydroxy-N-[(2R)-2-[[[(2S)-2-(oxazol-2-yl)pyrrolidine-1-yl]carbonyl]hexyl]formamide

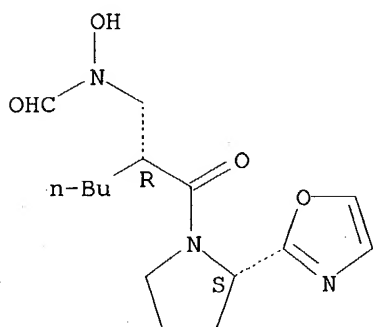
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-hydroxyamide-substituted pyrrolidine derivs. as inhibitors of peptidyl deformylase)

RN 479067-27-1 HCAPLUS

CN Pyrrolidine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-2-(2-oxazolyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 20 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:977804 HCAPLUS

DOCUMENT NUMBER: 138:55863

TITLE: Preparation of N-formyl-N-hydroxylamino-substituted pyrrolidine derivatives as inhibitors of peptidyl deformylase

INVENTOR(S): Patel, Dinesh V.; Yuan, Zhengyu; Jain, Rakesh K.; Garcia Alvarez, Salvador; Jacobs, Jeffrey

PATENT ASSIGNEE(S): Versicor, Inc., USA; Novartis AG

SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2

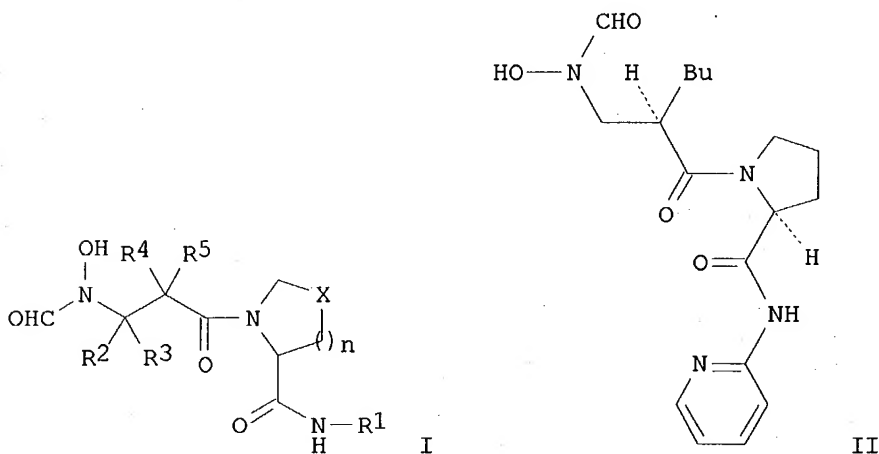
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002102790	A1	20021227	WO 2002-EP6604	20020614
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
US 2003045479	A1	20030306	US 2002-171706	20020614
PRIORITY APPLN. INFO.:				
			US 2001-298419P	P 20010615
			US 2002-360313P	P 20020227
OTHER SOURCE(S): MARPAT 138:55863				
GI				



AB Title compds. I [X = CH₂, S, CHOH, CH-alkoxy, CHSH, etc.; R₁ = (hetero)aryl; R₂-5 = H, alkyl, etc.; n = 0-3 provided that when n = 0, X = CH₂] are prepd. For instance, (S)-2-(chlorocarbonyl)pyrrolidine-1-carboxylic acid benzyl ester is used to acylate 2-aminopyridine and the resulting amide deprotected and coupled to (2R)-2-[(benzyloxyformylamino)methyl]hexanoic acid (prepn. given; dioxane, HATU,

i-Pr2NEt) to give II. IC50 of selected examples of I against MMP-7 ranges from >10 pM to >100 pM, whereas the IC50 of these same compds. against zinc-contg. peptidyl deformylase (PDF) ranges from about 0.005 pM to 5 pM, and against nickel-contg. PDF ranges from about 0.001 pM to about 0.3 pM. I are useful for preventing contamination of a cell culture medium.

IC ICM C07D401-12

ICS A61K031-4402; A61P031-04

CC 27-10 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1, 34, 63

IT **478913-80-3P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of N-formyl-N-hydroxylamino-substituted pyrrolidine derivs. as inhibitors of peptidyl deformylase)

IT **478912-45-7P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(pyridin-2-yl)amide **478912-48-0P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(3-methylpyridin-2-yl)amide **478912-50-4P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(6-methylpyridin-2-yl)amide **478912-52-6P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(4-methylpyridin-2-yl)amide **478912-56-0P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(5-fluoropyridin-2-yl)amide **478912-59-3P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(5-methylpyridin-2-yl)amide **478912-63-9P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(6-ethylpyridin-2-yl)amide **478912-66-2P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(5-trifluoromethylpyridin-2-yl)amide **478912-69-5P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(6-fluoropyridin-2-yl)amide **478912-76-4P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(4,6-dimethyl-1-oxopyridin-2-yl)amide **478912-80-0P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(4-methyl-1-oxopyridin-2-yl)amide **478912-85-5P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]azetidine-2-carboxylic acid N-(pyridin-2-yl)amide **478912-92-4P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(4,6-dimethylpyridin-2-yl)amide **478912-97-9P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(4-ethylpyridin-2-yl)amide **478913-05-2P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(3-hydroxypyridin-2-yl)amide **478913-12-1P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(isoquinolin-1-yl)amide **478913-16-5P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(quinolin-3-yl)amide **478913-21-2P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]azetidine-2-carboxylic acid N-(4-methylpyridin-2-yl)amide **478913-24-5P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]azetidine-2-carboxylic acid N-(5-methylpyridin-2-yl)amide **478913-27-8P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]azetidine-2-carboxylic acid N-(5-fluoropyridin-2-yl)amide **478913-30-3P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(5-trifluoromethyl-1-oxopyridin-2-yl)amide **478913-37-0P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]

pyrrolidine-2-carboxylic acid N-(4-phenylpyridin-2-yl)amide
478913-41-6P, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]
pyrrolidine-2-carboxylic acid N-(4-phenyl-1-oxopyridin-2-yl)amide
478913-45-0P, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]
pyrrolidine-2-carboxylic acid N-(4-trifluoromethylpyridin-2-yl)amide
478913-48-3P, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]
pyrrolidine-2-carboxylic acid N-(4-trifluoromethyl-1-oxopyridin-2-yl)amide
478913-51-8P, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]
pyrrolidine-2-carboxylic acid N-(8-hydroxyquinolin-2-yl)amide **478913-55-2P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidin
e-2-carboxylic acid N-(3-methoxy-6-methylpyridin-2-yl)amide
478913-59-6P, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]
pyrrolidine-2-carboxylic acid N-(4-methoxypyridin-2-yl)amide
478913-64-3P, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]
pyrrolidine-2-carboxylic acid N-(3-methoxypyridin-2-yl)amide
478913-68-7P, (2S)-1-[(2R)-2-[(Benzyloxyformylamino)methyl]hexanoyl]pyrrol
idine-2-carboxylic acid N-(3-methoxypyridin-2-yl)amide
478913-69-8P, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]
pyrrolidine-2-carboxylic acid N-(6-hydroxypyridin-2-yl)amide
478913-70-1P, (S)-Pyrrolidine-2-carboxylic acid N-(6-benzyloxypyridin-2-
yl)amide **478913-75-6P**, (2S)-1-[(2R)-2-
[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid
N-(3-hydroxy-1-oxopyridin-2-yl)amide **478913-83-6P**,
(2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-
carboxylic acid N-(2,2-difluorobenzo[1,3]dioxol-5-yl)amide
478913-87-0P, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]
pyrrolidine-2-carboxylic acid N-(3-phenoxyphenyl)amide
478913-91-6P, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]
pyrrolidine-2-carboxylic acid N-(5-fluoro-1-oxopyridin-2-yl)amide
478913-94-9P 478913-96-1P, (2S,4R)-1-[(2R)-2-
[(Formylhydroxyamino)methyl]hexanoyl]-4-hydroxypyrrolidine-2-carboxylic
acid N-(5-methylpyridine-2-yl)amide **478913-99-4P**,
(2S,4S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]-4-
hydroxypyrrolidine-2-carboxylic acid N-(5-methylpyridine-2-yl)amide
478914-01-1P, (2S,4R)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexano
yl]-4-hydroxypyrrolidine-2-carboxylic acid N-(4-ethylpyridine-2-yl)amide
478914-03-3P, (2S,4R)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexano
yl]-4-hydroxypyrrolidine-2-carboxylic acid N-(5-trifluoromethylpyridine-2-
yl)amide **478914-05-5P**, (2S,4S)-4-Fluoro-1-[(2R)-2-
[(formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid
N-(5-methylpyridine-2-yl)amide **478914-08-8P**,
(2S,4R)-4-Fluoro-1-[(2R)-2-[(formylhydroxyamino)methyl]hexanoyl]pyrrolidin
e-2-carboxylic acid N-(5-methylpyridine-2-yl)amide **478914-10-2P**
478914-12-4P, (2S,4R)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexano
yl]-4-methoxypyrrolidine-2-carboxylic acid N-(5-methylpyridin-2-yl)amide
478914-17-9P, (2S,4S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexano
yl]-4-methoxypyrrolidine-2-carboxylic acid N-(5-methylpyridin-2-yl)amide
479067-88-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(prepn. of N-formyl-N-hydroxylamino-substituted pyrrolidine derivs. as
inhibitors of peptidyl deformylase)

IT 13726-69-7P, (2S,4R)-4-Hydroxy-1-(tert-butoxycarbonyl)pyrrolidine-2-
carboxylic acid 83623-93-2P, (2S,4S)-4-Methoxy-1-(tert-
butoxycarbonyl)pyrrolidine-2-carboxylic acid 83624-01-5P,
(2S,4R)-4-Methoxy-1-(tert-butoxycarbonyl)pyrrolidine-2-carboxylic acid
102195-79-9P, (2S,4S)-4-Hydroxy-2-(methoxycarbonyl)pyrrolidine-1-

carboxylic acid tert-butyl ester 102195-80-2P, (2S)-4-Oxo-1-(tert-butoxycarbonyl)pyrrolidine-2-carboxylic acid methyl ester 153290-91-6P, (S)-Pyrrolidine-2-carboxylic acid N-(pyridin-2-yl)amide 215918-38-0P, (2S,4S)-4-Methoxy-2-(methoxycarbonyl)pyrrolidine-1-carboxylic acid tert-butyl ester 216682-25-6P 301685-23-4P, (2R)-2-[(Benzyloxyformylamino)methyl]hexanoic acid 426844-31-7P, (2S,4R)-4-Methoxy-2-(methoxycarbonyl)pyrrolidine-1-carboxylic acid tert-butyl ester 478912-40-2P, (S)-4-Benzyl-3-(2-(n-butyl)acryloyl)oxazolidin-2-one 478912-42-4P 478912-43-5P, (4S)-4-Benzyl-3-[(2R)-2-((benzyloxyamino)methyl)hexanoyl]oxazolidin-2-one 478912-44-6P 478912-46-8P, (2S)-2-((Pyridin-2-yl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478912-47-9P 478912-54-8P, (2S)-2-((4-Methylpyridin-2-yl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478912-55-9P 478912-57-1P, (S)-Pyrrolidine-2-carboxylic acid N-(5-fluoropyridin-2-yl)amide 478912-58-2P 478912-61-7P, (S)-2-((5-Methylpyridin-2-yl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478912-62-8P 478912-65-1P 478912-67-3P 478912-68-4P 478912-71-9P, (6-Fluoropyridin-2-yl)(4-methoxybenzyl)amine 478912-72-0P, (2S)-2-((6-Fluoropyridin-2-yl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478912-74-2P 478912-79-7P 478912-83-3P 478912-88-8P, (S)-2-((Pyridin-2-yl)carbamoyl)azetidine-1-carboxylic acid tert-butyl ester 478912-90-2P 478912-95-7P 478912-99-1P, (S)-Pyrrolidine-2-carboxylic acid N-(4-ethylpyridin-2-yl)amide 478913-01-8P, (2S)-2-((4-Ethylpyridin-2-yl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478913-03-0P 478913-09-6P, (2S)-2-((3-Benzylloxypyridin-2-yl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478913-10-9P 478913-11-0P, (2S)-1-[(2R)-2-[(Benzyloxyformylamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(3-benzylloxypyridin-2-yl)amide 478913-14-3P 478913-15-4P, (2S)-1-[(2R)-2-[(Benzyloxyformylamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(isoquinolin-1-yl)amide 478913-17-6P, (S)-Pyrrolidine-2-carboxylic acid N-(quinolin-3-yl)amide 478913-18-7P, (2S)-2-((Quinolin-3-yl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478913-19-8P 478913-20-1P, (2S)-1-[(2R)-2-[(Benzyloxyformylamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(quinolin-3-yl)amide 478913-23-4P 478913-25-6P, (S)-Azetidine-2-carboxylic acid N-(5-methylpyridin-2-yl)amide 478913-26-7P 478913-28-9P, (S)-Azetidine-2-carboxylic acid N-(5-fluoropyridin-2-yl)amide 478913-29-0P, (S)-2-((5-Fluoropyridin-2-yl)amino)carbonylazetidine hydrochloride 478913-31-4P, (S)-Pyrrolidine-2-carboxylic acid N-(5-trifluoromethyl-1-oxypyridin-2-yl)amide 478913-32-5P, (S)-2-((5-Trifluoromethyl-1-oxypyridin-2-yl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478913-33-6P 478913-34-7P, (S)-Pyrrolidine-2-carboxylic acid N-(4-ethyl-1-oxypyridin-2-yl)amide 478913-35-8P, (S)-2-((4-Ethyl-1-oxypyridin-2-yl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478913-36-9P 478913-38-1P, (S)-Pyrrolidine-2-carboxylic acid N-(4-phenylpyridin-2-yl)amide 478913-39-2P, (S)-2-((4-Phenylpyridin-2-yl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478913-40-5P 478913-42-7P, (S)-Pyrrolidine-2-carboxylic acid N-(4-phenyl-1-oxypyridin-2-yl)amide 478913-43-8P, (S)-2-((4-Phenyl-1-oxypyridin-2-yl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478913-44-9P 478913-46-1P, (S)-Pyrrolidine-2-carboxylic acid N-(4-trifluoromethylpyridin-2-yl)amide 478913-47-2P 478913-49-4P, (S)-Pyrrolidine-2-carboxylic acid N-(4-trifluoromethyl-1-oxypyridin-2-yl)amide 478913-50-7P 478913-52-9P, (S)-Pyrrolidine-2-carboxylic acid N-(8-benzyloxyquinolin-2-yl)amide 478913-53-0P, (S)-2-((8-Benzyloxyquinolin-2-yl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478913-54-1P 478913-56-3P, (S)-Pyrrolidine-2-carboxylic acid

N-(3-methoxy-6-methylpyridin-2-yl)amide 478913-58-5P 478913-60-9P,
 (S)-Pyrrolidine-2-carboxylic acid N-(4-methoxypyridin-2-yl)amide
 478913-61-0P, (S)-2-((4-Methoxypyridin-2-yl)carbamoyl)pyrrolidine-1-
 carboxylic acid benzyl ester 478913-62-1P 478913-63-2P,
 (2S)-1-[(2R)-2-[(Benzyloxyformylamino)methyl]hexanoyl]pyrrolidine-2-
 carboxylic acid N-(4-methoxypyridin-2-yl)amide 478913-65-4P,
 (S)-Pyrrolidine-2-carboxylic acid N-(3-methoxypyridin-2-yl)amide
 478913-66-5P, (S)-2-((3-Methoxypyridin-2-yl)carbamoyl)pyrrolidine-1-
 carboxylic acid benzyl ester 478913-67-6P 478913-71-2P,
 (S)-2-((6-Hydroxypyridin-2-yl)carbamoyl)pyrrolidine-1-carboxylic acid
 benzyl ester 478913-72-3P, (S)-2-((6-Benzyloxypyridin-2-
 yl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl ester 478913-73-4P
 478913-74-5P, (2S)-1-[(2R)-2-[(Benzyloxyformylamino)methyl]hexanoyl]pyrrol-
 idine-2-carboxylic acid N-(6-benzyloxypyridin-2-yl)amide 478913-76-7P,
 (S)-Pyrrolidine-2-carboxylic acid N-(3-benzyloxy-1-oxypyridin-2-yl)amide
 478913-77-8P, (S)-2-((3-Benzyloxy-1-oxypyridin-2-yl)carbamoyl)pyrrolidine-
 1-carboxylic acid benzyl ester 478913-78-9P 478913-79-0P,
 (2S)-1-[(2R)-2-[(Benzyloxyformylamino)methyl]hexanoyl]pyrrolidine-2-
 carboxylic acid N-(3-benzyloxy-1-oxypyridin-2-yl)amide 478913-81-4P
 478913-82-5P 478913-84-7P, (S)-Pyrrolidine-2-carboxylic acid
 N-(2,2-difluorobenzo[1,3]dioxol-5-yl)amide 478913-85-8P,
 (S)-2-((2,2-Difluorobenzo[1,3]dioxol-5-yl)carbamoyl)pyrrolidine-1-
 carboxylic acid benzyl ester 478913-86-9P 478913-88-1P,
 (S)-Pyrrolidine-2-carboxylic acid N-(3-phenoxyphenyl)amide 478913-89-2P,
 (S)-2-((3-Phenoxyphenyl)carbamoyl)pyrrolidine-1-carboxylic acid benzyl
 ester 478913-90-5P 478913-92-7P, (2S)-1-[(2R)-2-
 [(Benzyloxyformylamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid
 N-(5-fluoropyridin-2-yl)amide 478913-93-8P, (2S)-1-[(2R)-2-
 [(Benzyloxyformylamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid
 N-(5-fluoro-1-oxypyridin-2-yl)amide 478913-97-2P, (2S,4R)-4-
 (Benzyloxy)pyrrolidine-2-carboxylic acid N-(5-methylpyridine-2-yl)amide
478913-98-3P, (2S,4R)-4-(Benzyloxy)-1-[(2R)-2-
 [(formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid
 N-(5-methylpyridine-2-yl)amide 478914-00-0P, (2S,4S)-4-
 (Benzoyloxy)pyrrolidine-2-carboxylic acid N-(5-methylpyridin-2-yl)amide
 478914-02-2P, (2S,4R)-4-Benzyloxypyrrolidine-2-carboxylic acid
 N-(4-ethylpyridine-2-yl)amide 478914-04-4P, (2S,4R)-4-
 Benzyloxypyrrolidine-2-carboxylic acid N-(5-trifluoromethylpyridine-2-
 yl)amide 478914-06-6P, (2S,4S)-4-Fluoropyrrolidine-2-carboxylic acid
 N-(5-methylpyridin-2-yl)amide 478914-07-7P, (2S,4R)-4-Hydroxypyrrolidine-
 2-carboxylic acid N-(5-methylpyridine-2-yl)amide 478914-09-9P,
 (2S,4R)-4-Fluoropyrrolidine-2-carboxylic acid N-(5-methylpyridin-2-
 yl)amide 478914-11-3P, (2S)-4,4-Difluoropyrrolidine-2-carboxylic acid
 N-(5-methylpyridin-2-yl)amide 478914-13-5P, (2S,4R)-4-Methoxypyrrolidine-
 2-carboxylic acid N-(5-methylpyridin-2-yl)amide 478914-14-6P,
 (2S,4R)-4-Methoxy-2-((5-methylpyridin-2-yl)carbamoyl)pyrrolidine-1-
 carboxylic acid tert-butyl ester 478914-15-7P 478914-16-8P,
 (2S,4R)-1-[(2R)-2-[(Benzyloxyformylamino)methyl]hexanoyl]-4-
 methoxypyrrolidine-2-carboxylic acid N-(5-methylpyridin-2-yl)amide
 478914-18-0P, (2S,4S)-4-Methoxypyrrolidine-2-carboxylic acid
 N-(5-methylpyridin-2-yl)amide 478914-19-1P, (2S,4S)-4-Methoxy-2-((5-
 methylpyridin-2-yl)carbamoyl)pyrrolidine-1-carboxylic acid tert-butyl
 ester 478914-20-4P 478914-21-5P, (2S,4S)-1-[(2R)-2-
 [(Benzyloxyformylamino)methyl]hexanoyl]-4-methoxypyrrolidine-2-carboxylic
 acid N-(5-methylpyridin-2-yl)amide
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)

(prepn. of N-formyl-N-hydroxylamino-substituted pyrrolidine derivs. as

inhibitors of peptidyl deformylase)

IT **478913-80-3P**

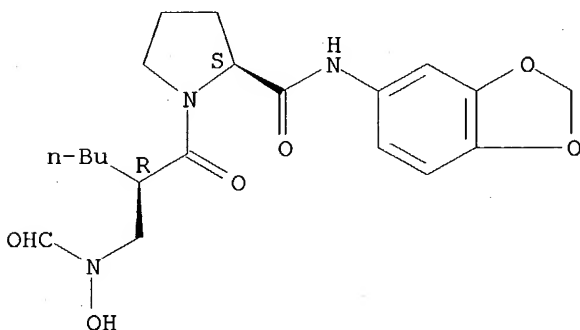
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of N-formyl-N-hydroxylamino-substituted pyrrolidine derivs. as inhibitors of peptidyl deformylase)

RN 478913-80-3 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-1,3-benzodioxol-5-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **478912-45-7P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(pyridin-2-yl)amide **478912-48-0P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(3-methylpyridin-2-yl)amide **478912-50-4P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(6-methylpyridin-2-yl)amide **478912-52-6P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(4-methylpyridin-2-yl)amide **478912-56-0P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(5-fluoropyridin-2-yl)amide **478912-59-3P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(5-methylpyridin-2-yl)amide **478912-63-9P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(6-ethylpyridin-2-yl)amide **478912-66-2P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(5-trifluoromethylpyridin-2-yl)amide **478912-69-5P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(6-fluoropyridin-2-yl)amide **478912-76-4P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(4,6-dimethyl-1-oxopyridin-2-yl)amide **478912-80-0P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(4-methyl-1-oxopyridin-2-yl)amide **478912-85-5P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]azetidine-2-carboxylic acid N-(pyridin-2-yl)amide **478912-92-4P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(4,6-dimethylpyridin-2-yl)amide **478912-97-9P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(4-ethylpyridin-2-yl)amide **478913-05-2P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(3-hydroxypyridin-2-yl)amide **478913-12-1P**, (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-

carboxylic acid N-(isoquinolin-1-yl)amide **478913-16-5P**,
 (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(quinolin-3-yl)amide **478913-21-2P**,
 (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]azetidine-2-carboxylic acid N-(4-methylpyridin-2-yl)amide **478913-24-5P**,
 (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]azetidine-2-carboxylic acid N-(5-methylpyridin-2-yl)amide **478913-27-8P**,
 (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]azetidine-2-carboxylic acid N-(5-fluoropyridin-2-yl)amide **478913-30-3P**,
 (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(5-trifluoromethyl-1-oxopyridin-2-yl)amide **478913-37-0P**,
 (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(4-phenylpyridin-2-yl)amide **478913-41-6P**,
 (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(4-phenyl-1-oxopyridin-2-yl)amide **478913-45-0P**,
 (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(4-trifluoromethylpyridin-2-yl)amide **478913-48-3P**,
 (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(4-trifluoromethyl-1-oxopyridin-2-yl)amide **478913-51-8P**,
 (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(8-hydroxyquinolin-2-yl)amide **478913-55-2P**,
 (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(3-methoxy-6-methylpyridin-2-yl)amide **478913-59-6P**,
 (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(4-methoxypyridin-2-yl)amide **478913-64-3P**,
 (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(3-methoxypyridin-2-yl)amide **478913-69-8P**,
 (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(6-hydroxypyridin-2-yl)amide **478913-75-6P**,
 (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(3-hydroxy-1-oxopyridin-2-yl)amide **478913-83-6P**,
 (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(2,2-difluorobenzo[1,3]dioxol-5-yl)amide **478913-87-0P**,
 (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(3-phenoxyphenyl)amide **478913-91-6P**,
 (2S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(5-fluoro-1-oxopyridin-2-yl)amide **478913-94-9P** **478913-96-1P**,
 (2S,4R)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]-4-hydroxypyrrolidine-2-carboxylic acid N-(5-methylpyridine-2-yl)amide **478913-99-4P**,
 (2S,4S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]-4-hydroxypyrrolidine-2-carboxylic acid N-(5-methylpyridine-2-yl)amide **478914-01-1P**,
 (2S,4R)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]-4-hydroxypyrrolidine-2-carboxylic acid N-(4-ethylpyridine-2-yl)amide **478914-03-3P**,
 (2S,4R)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]-4-hydroxypyrrolidine-2-carboxylic acid N-(5-trifluoromethylpyridine-2-yl)amide **478914-05-5P**,
 (2S,4S)-4-Fluoro-1-[(2R)-2-[(formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(5-methylpyridine-2-yl)amide **478914-08-8P**,
 (2S,4R)-4-Fluoro-1-[(2R)-2-[(formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid N-(5-methylpyridine-2-yl)amide **478914-10-2P** **478914-12-4P**,
 (2S,4R)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]-4-methoxypyrrolidine-2-carboxylic acid N-(5-methylpyridin-2-yl)amide **478914-17-9P**,
 (2S,4S)-1-[(2R)-2-[(Formylhydroxyamino)methyl]hexanoyl]-4-methoxypyrrolidine-2-carboxylic acid N-(5-methylpyridin-2-yl)amide **479067-88-4P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

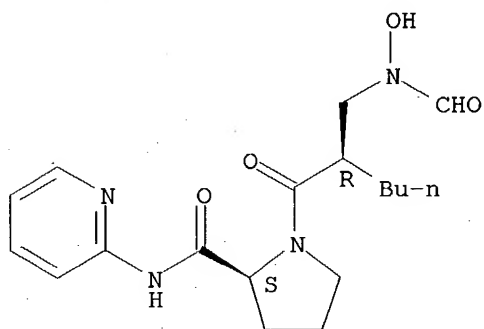
(Uses)

(prepn. of N-formyl-N-hydroxylamino-substituted pyrrolidine derivs. as inhibitors of peptidyl deformylase)

RN 478912-45-7 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-2-pyridinyl-
(9CI) (CA INDEX NAME)

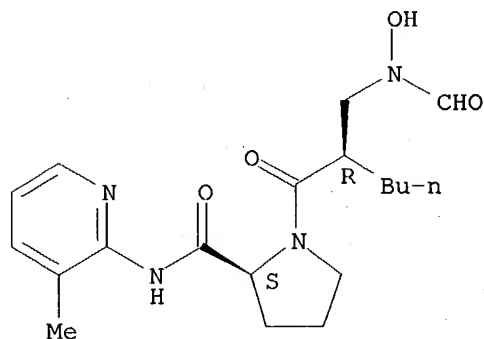
Absolute stereochemistry.



RN 478912-48-0 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(3-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

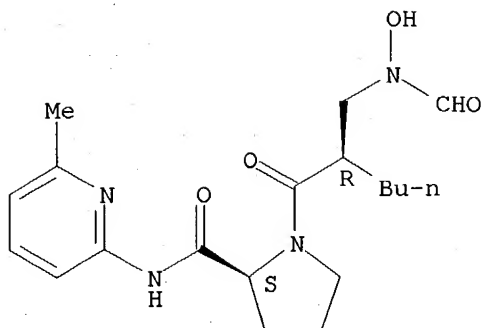
Absolute stereochemistry.



RN 478912-50-4 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

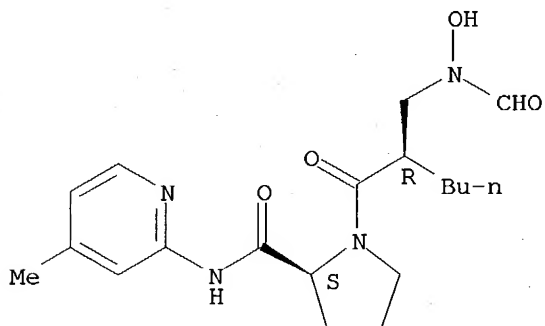
Absolute stereochemistry.



RN 478912-52-6 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

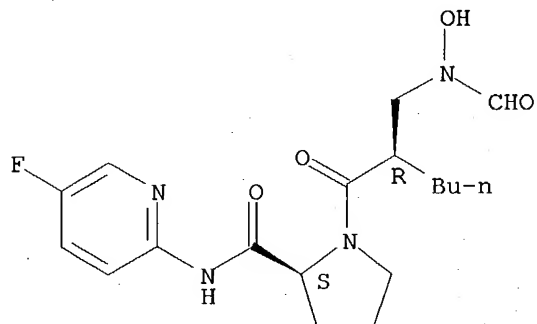
Absolute stereochemistry.



RN 478912-56-0 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(5-fluoro-2-pyridinyl)- (9CI) (CA INDEX NAME)

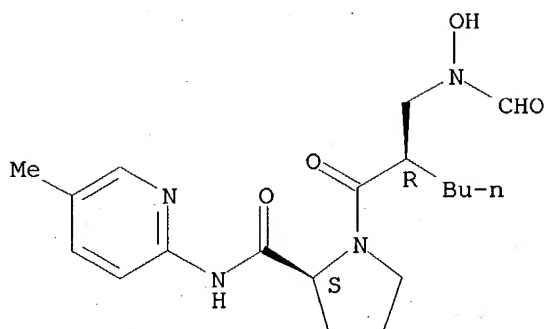
Absolute stereochemistry.



RN 478912-59-3 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(5-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

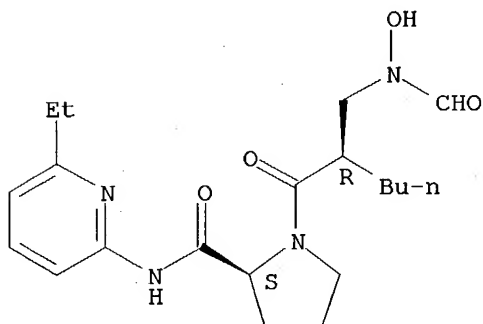
Absolute stereochemistry.



RN 478912-63-9 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(6-ethyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

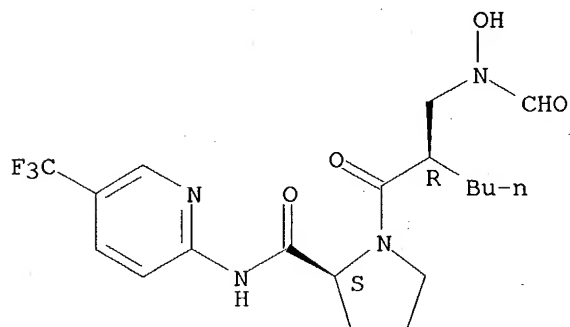
Absolute stereochemistry.



RN 478912-66-2 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-[5-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

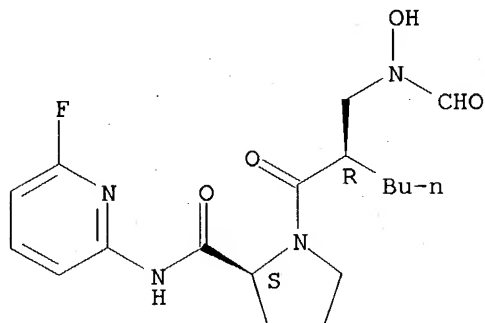
Absolute stereochemistry.



RN 478912-69-5 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(6-fluoro-2-pyridinyl)- (9CI) (CA INDEX NAME)

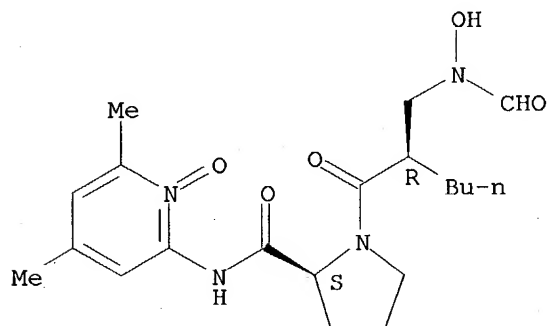
Absolute stereochemistry.



RN 478912-76-4 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(4,6-dimethyl-1-oxido-2-pyridinyl)- (9CI) (CA INDEX NAME)

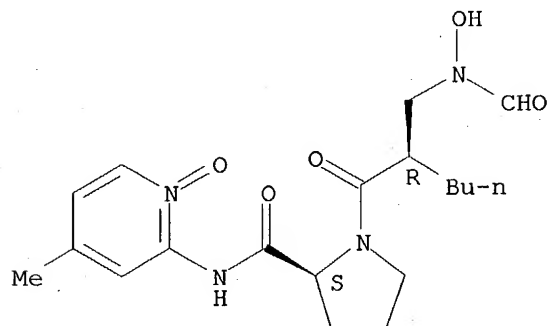
Absolute stereochemistry.



RN 478912-80-0 HCAPLUS

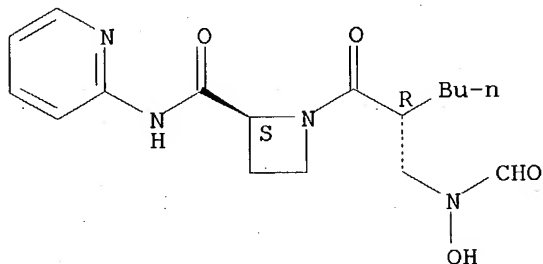
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(4-methyl-1-oxido-2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



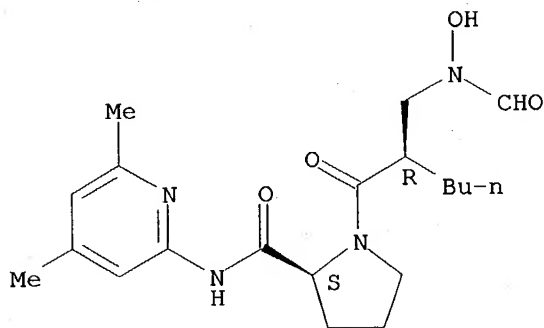
RN 478912-85-5 HCAPLUS
 CN 2-Azetidinecarboxamide, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-N-2-pyridinyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



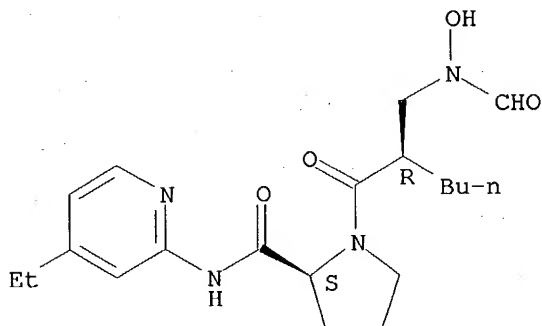
RN 478912-92-4 HCAPLUS
 CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(4,6-dimethyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 478912-97-9 HCAPLUS
 CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(4-ethyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

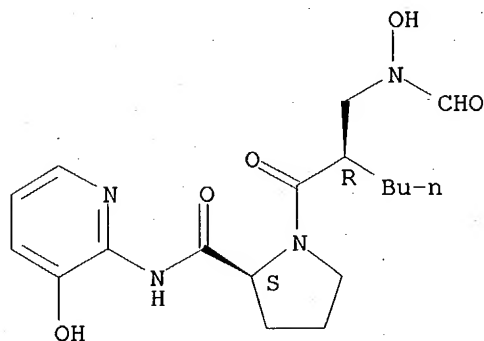
Absolute stereochemistry.



RN 478913-05-2 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(3-hydroxy-2-pyridinyl)- (9CI) (CA INDEX NAME)

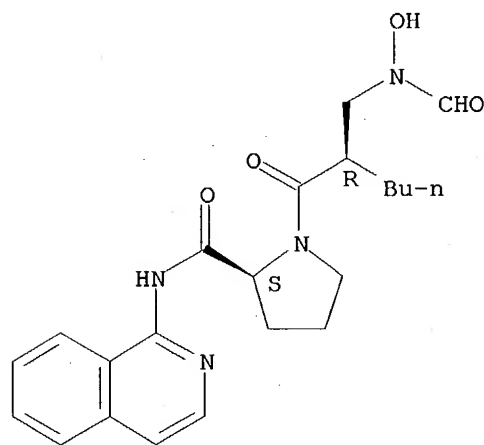
Absolute stereochemistry.



RN 478913-12-1 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-1-isoquinolinyl- (9CI) (CA INDEX NAME)

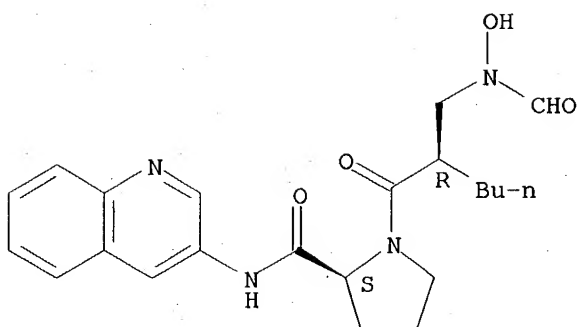
Absolute stereochemistry.



RN 478913-16-5 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-3-quinolinyl- (9CI) (CA INDEX NAME)

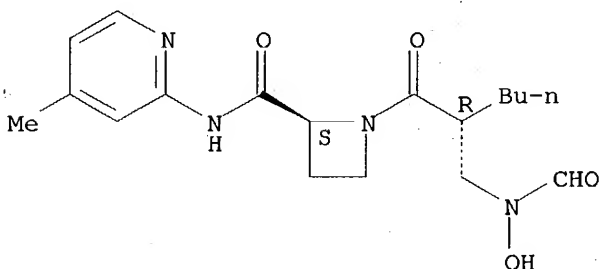
Absolute stereochemistry.



RN 478913-21-2 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-N-(4-methyl-2-pyridinyl)-, (2S)- (9CI) (CA INDEX NAME)

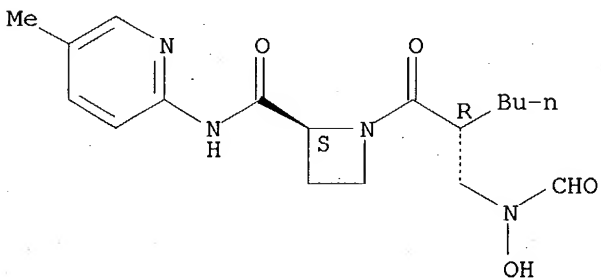
Absolute stereochemistry.



RN 478913-24-5 HCAPLUS

CN 2-Azetidinecarboxamide, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-N-(5-methyl-2-pyridinyl)-, (2S)- (9CI) (CA INDEX NAME)

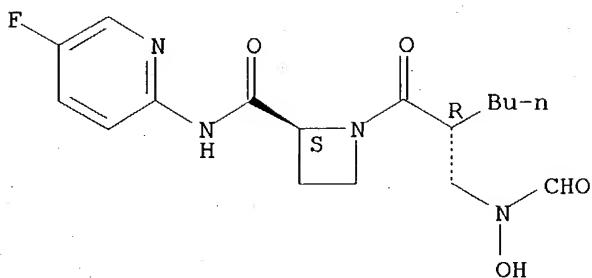
Absolute stereochemistry.



RN 478913-27-8 HCAPLUS

CN 2-Azetidinecarboxamide, N-(5-fluoro-2-pyridinyl)-1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-, (2S)- (9CI) (CA INDEX NAME)

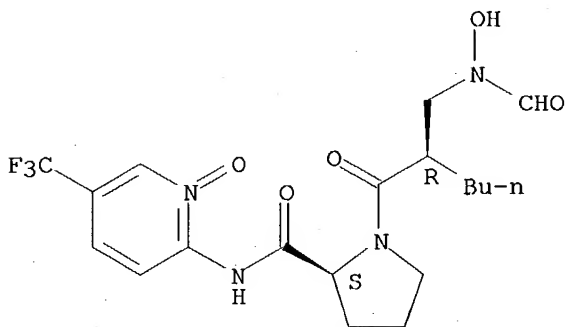
Absolute stereochemistry.



RN 478913-30-3 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-[1-oxido-5-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

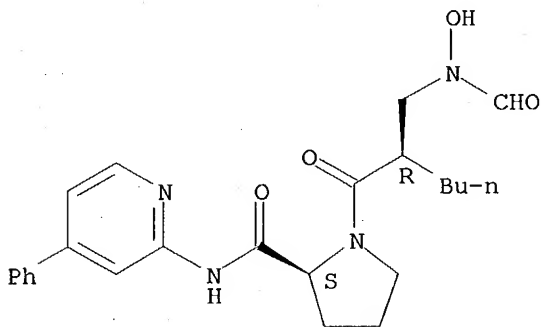
Absolute stereochemistry.



RN 478913-37-0 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(4-phenyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

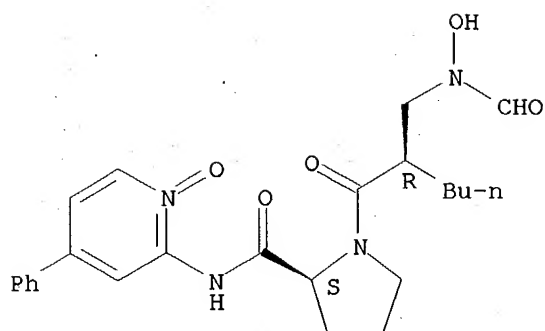
Absolute stereochemistry.



RN 478913-41-6 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(1-oxido-4-phenyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

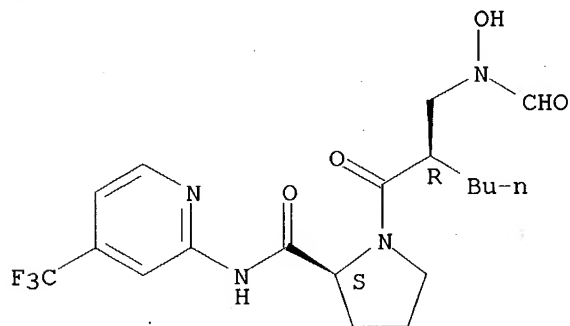
Absolute stereochemistry.



RN 478913-45-0 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-β-alanyl-N-[4-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

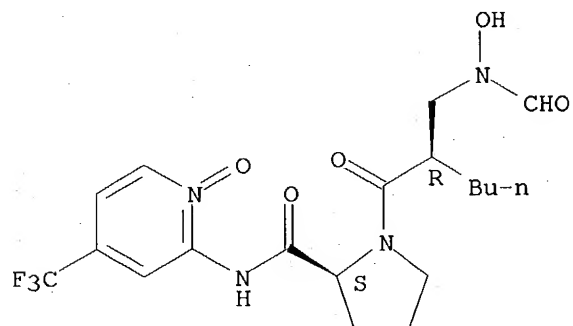
Absolute stereochemistry.



RN 478913-48-3 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-β-alanyl-N-[1-oxido-4-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

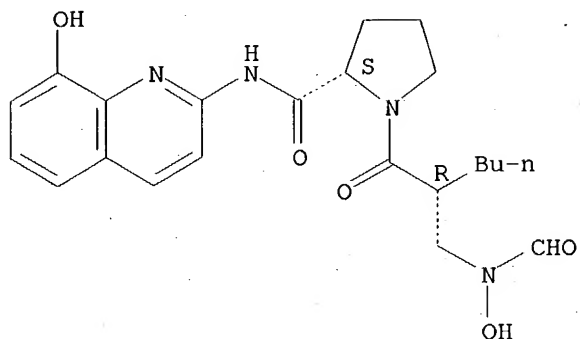
Absolute stereochemistry.



RN 478913-51-8 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-β-alanyl-N-(8-hydroxy-2-quinolinyl)- (9CI) (CA INDEX NAME)

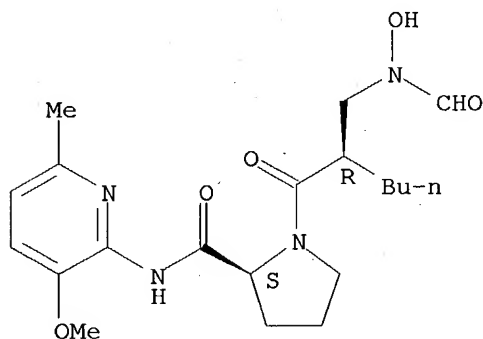
Absolute stereochemistry.



RN 478913-55-2 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(3-methoxy-6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

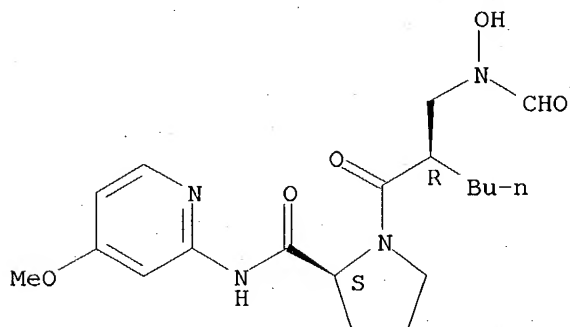
Absolute stereochemistry.



RN 478913-59-6 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(4-methoxy-2-pyridinyl)- (9CI) (CA INDEX NAME)

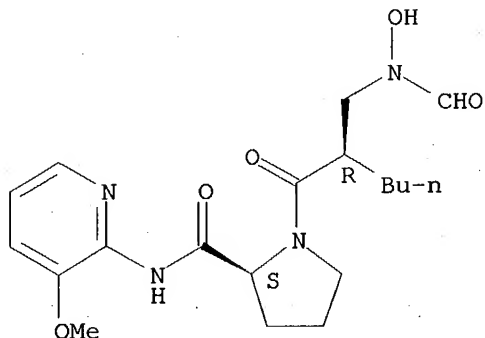
Absolute stereochemistry.



RN 478913-64-3 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(3-methoxy-2-pyridinyl)- (9CI) (CA INDEX NAME)

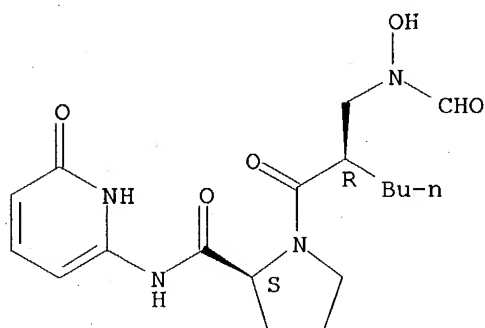
Absolute stereochemistry.



RN 478913-69-8 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(1,6-dihydro-6-oxo-2-pyridinyl)- (9CI) (CA INDEX NAME)

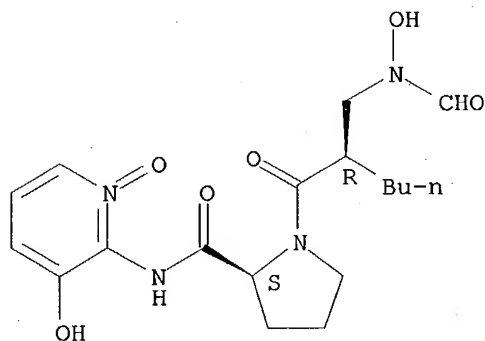
Absolute stereochemistry.



RN 478913-75-6 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(3-hydroxy-1-oxido-2-pyridinyl)- (9CI) (CA INDEX NAME)

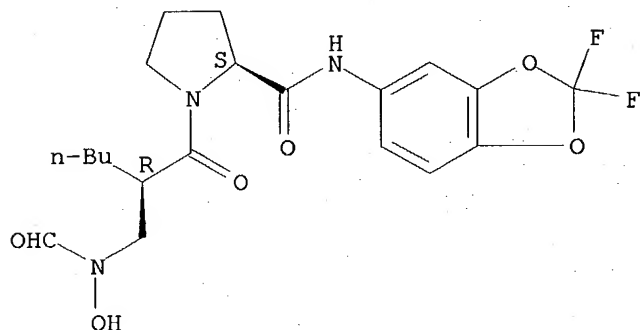
Absolute stereochemistry.



RN 478913-83-6 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(2,2-difluoro-1,3-benzodioxol-5-yl)- (9CI) (CA INDEX NAME)

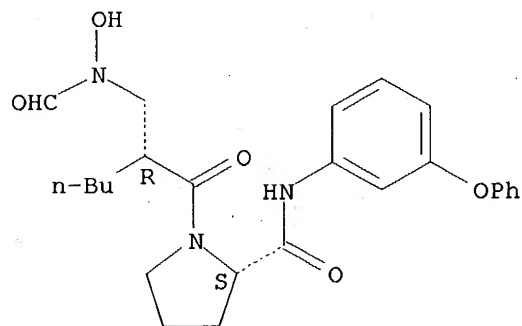
Absolute stereochemistry.



RN 478913-87-0 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(3-phenoxyphenyl)- (9CI) (CA INDEX NAME)

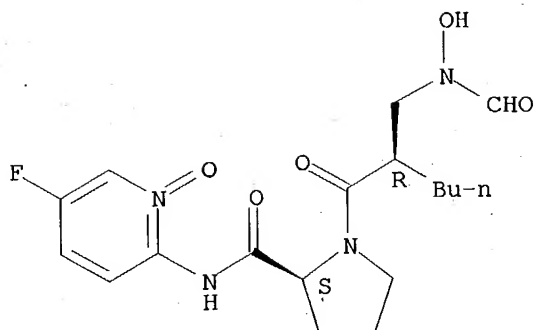
Absolute stereochemistry.



RN 478913-91-6 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(5-fluoro-1-oxido-2-pyridinyl)- (9CI) (CA INDEX NAME)

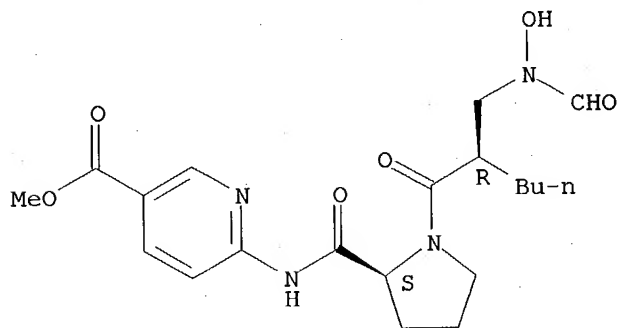
Absolute stereochemistry.



RN 478913-94-9 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-[5-(methoxycarbonyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

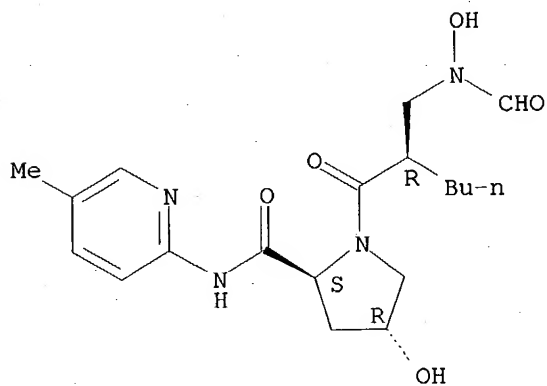
Absolute stereochemistry.



RN 478913-96-1 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-4-hydroxy-N-(5-methyl-2-pyridinyl)-, (4R)- (9CI) (CA INDEX NAME)

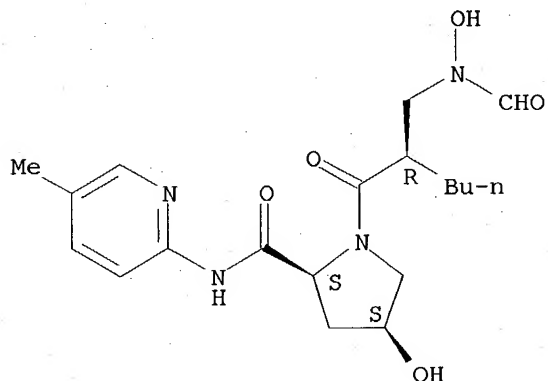
Absolute stereochemistry.



RN 478913-99-4 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-4-hydroxy-N-(5-methyl-2-pyridinyl)-, (4S)- (9CI) (CA INDEX NAME)

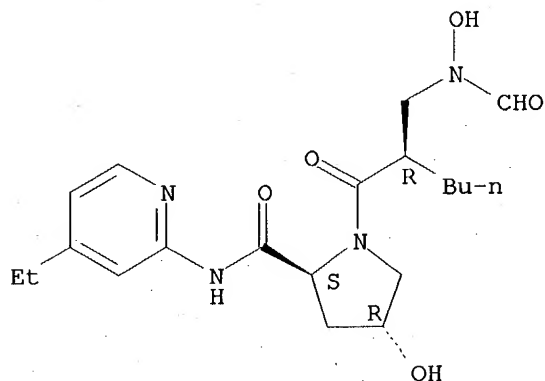
Absolute stereochemistry.



RN 478914-01-1 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(4-ethyl-2-pyridinyl)-4-hydroxy-, (4R)- (9CI) (CA INDEX NAME)

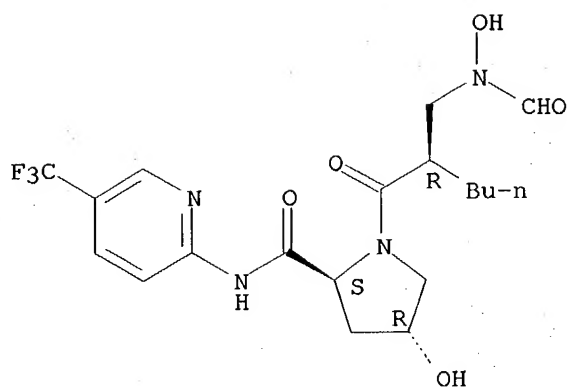
Absolute stereochemistry.



RN 478914-03-3 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-4-hydroxy-N-[5-(trifluoromethyl)-2-pyridinyl]-, (4R)- (9CI) (CA INDEX NAME)

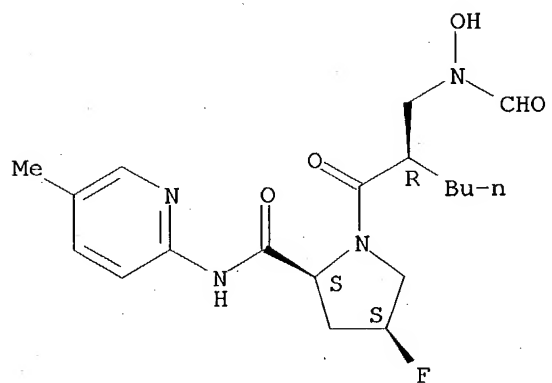
Absolute stereochemistry.



RN 478914-05-5 HCAPLUS

CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-4-fluoro-N-(5-methyl-2-pyridinyl)-, (4S)- (9CI) (CA INDEX NAME)

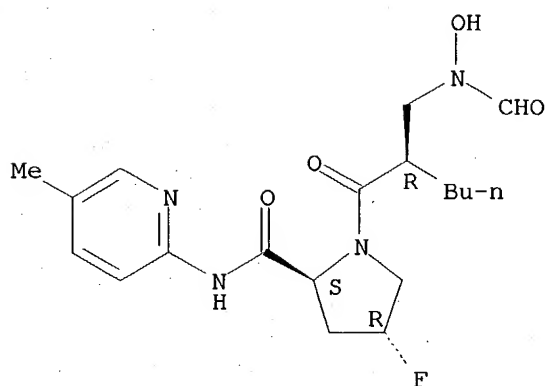
Absolute stereochemistry.



RN 478914-08-8 HCAPLUS

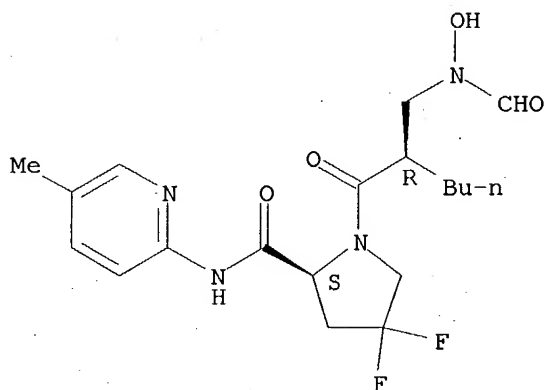
CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-4-fluoro-N-(5-methyl-2-pyridinyl)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



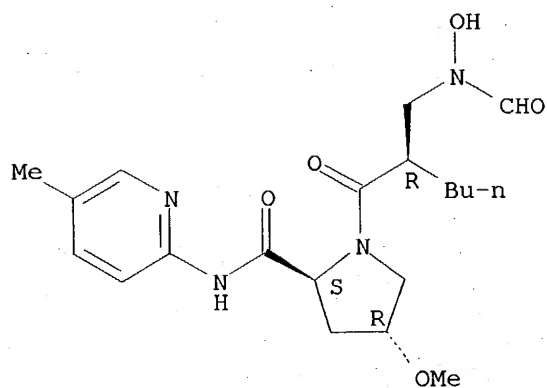
RN 478914-10-2 HCAPLUS
 CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-4,4-difluoro-
 N-(5-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



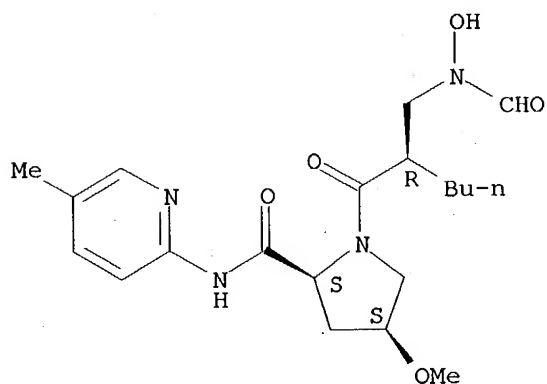
RN 478914-12-4 HCAPLUS
 CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-4-methoxy-N-
 (5-methyl-2-pyridinyl)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



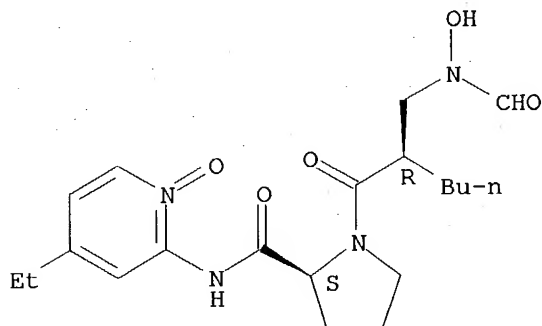
RN 478914-17-9 HCAPLUS
 CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-4-methoxy-N-(5-methyl-2-pyridinyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



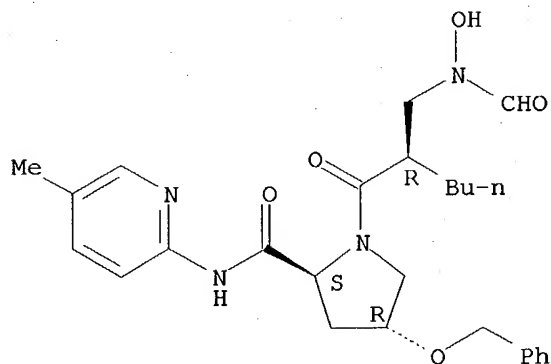
RN 479067-88-4 HCAPLUS
 CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(4-ethyl-1-oxido-2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **478913-98-3P**, (2S,4R)-4-(Benzyloxy)-1-[(2R)-2-
 [(formylhydroxyamino)methyl]hexanoyl]pyrrolidine-2-carboxylic acid
 N-(5-methylpyridine-2-yl)amide
 RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (prepn. of N-formyl-N-hydroxylamino-substituted pyrrolidine derivs. as
 inhibitors of peptidyl deformylase)
 RN 478913-98-3 HCAPLUS
 CN L-Prolinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(5-methyl-2-
 pyridinyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 20 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:435770 HCAPLUS

DOCUMENT NUMBER: 137:165443

TITLE: Crystal Structure of Human MMP9 in Complex with a
 Reverse Hydroxamate Inhibitor

AUTHOR(S): Rowsell, Sin; Hawtin, Paul; Minshull, Claire A.;
 Jepson, Holly; Brockbank, Sarah M. V.; Barratt, Derek
 G.; Slater, Anthony M.; McPheat, William L.; Waterson,
 David; Henney, Adriano M.; Paupit, Richard A.

CORPORATE SOURCE: AstraZeneca, Macclesfield, Cheshire, SK10 4TG, UK
 SOURCE: Journal of Molecular Biology (2002), 319(1), 173-181
 CODEN: JMOBAK; ISSN: 0022-2836

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

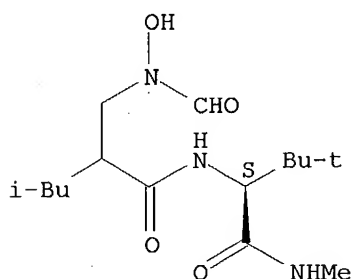
LANGUAGE: English

AB Matrix metalloproteinases (MMPs) and their inhibitors are important in
 connective tissue re-modeling in diseases of the cardiovascular system,
 such as atherosclerosis. Various members of the MMP family have been
 shown to be expressed in atherosclerotic lesions, but MMP9 is consistently
 seen in inflammatory atherosclerotic lesions. MMP9 over-expression is
 implicated in the vascular re-modeling events preceding plaque rupture
 (the most common cause of acute myocardial infarction). Reduced MMP9
 activity, either by genetic manipulation or through pharmacol.
 intervention, has an impact on ventricular re-modeling following
 infarction. MMP9 activity may therefore represent a key mechanism in the
 pathogenesis of heart failure. We have detd. the crystal structure, at

2.3 resoln., of the catalytic domain of human MMP9 bound to a peptidic reverse hydroxamate inhibitor as well as the complex of the same inhibitor bound to an active-site mutant (E402Q) at 2.1 resoln. MMP9 adopts the typical MMP fold. The catalytic center is composed of the active-site zinc ion, coordinated by three histidine residues (401, 405 and 411) and the essential glutamic acid residue (402). The main differences between the catalytic domains of various MMPs occur in the S1' subsite or selectivity pocket. The S1' specificity site in MMP9 is perhaps best described as a tunnel leading toward solvent, as in MMP2 and MMP13, as opposed to the smaller pocket found in fibroblast collagenase and matrilysin. The present structure enables us to aid the design of potent and specific inhibitors for this important cardiovascular disease target.

CC 7-5 (Enzymes)
 Section cross-reference(s): 75
 IT 56-86-0D, L-Glutamic acid, complexes with reverse hydroxamate inhibitor
 71-00-1, L-Histidine, biological studies 4312-87-2, N-Formylhydroxylamine 7440-66-6, Zinc, biological studies 146480-36-6D, MMP 9, complexes with reverse hydroxamate inhibitor **448297-71-0**
 RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
 (crystal structure of human MMP9 in complex with reverse hydroxamate inhibitor reveals catalytic center is composed of active-site zinc ion coordinated by three histidine residues and essential glutamic acid residue)
 IT **448297-71-0**
 RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
 (crystal structure of human MMP9 in complex with reverse hydroxamate inhibitor reveals catalytic center is composed of active-site zinc ion coordinated by three histidine residues and essential glutamic acid residue)
 RN 448297-71-0 HCAPLUS
 CN L-Valinamide, N-formyl-N-hydroxy-2-(2-methylpropyl)-.beta.-alanyl-N,3-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 20 HCAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:240074 HCAPLUS
 DOCUMENT NUMBER: 136:398389
 TITLE: In vitro activities of peptide deformylase inhibitors against gram-positive pathogens
 AUTHOR(S): Wise, R.; Andrews, J. M.; Ashby, J.

CORPORATE SOURCE: Department of Microbiology, City Hospital NHS Trust, Birmingham, UK

SOURCE: Antimicrobial Agents and Chemotherapy (2002), 46(4), 1117-1118
CODEN: AMACCQ; ISSN: 0066-4804

PUBLISHER: American Society for Microbiology

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The activities of 6 peptide deformylase (PDF) inhibitors against 107 respiratory tract pathogens were studied and compared to those of ciprofloxacin and amoxicillin-clavulanate. Against Streptococcus pneumoniae, BB-83698 and BB-83815 were the most active PDF inhibitors (MIC at which 90% of the organisms tested were inhibited [MIC₉₀], 0.25 .mu.g/mL). 5 Of the agents showed similar activity against Moraxella catarrhalis (MIC₉₀, 0.12 .mu.g/mL). All PDF inhibitors were less active against Haemophilus influenzae; BB-3497 was the most active agent (MIC₉₀, 2 .mu.g/mL). Five agents were studied against Chlamydia spp. and showed activity similar to that of ciprofloxacin (MIC, 0.5 to 4 .mu.g/mL). This study demonstrates that PDF inhibitors have the potential to be developed for the treatment of respiratory tract infections.

CC 10-5 (Microbial, Algal, and Fungal Biochemistry)

IT 1406-05-9, Penicillin 79198-29-1 85721-33-1, Ciprofloxacin 235784-88-0, BB 3497 428862-38-8, BB 83698 428862-40-2, BB 83815 428862-41-3, BB 83857 428862-43-5, BB 84416 428862-46-8, BB 84518

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(in vitro activities of peptide deformylase inhibitors against gram-pos. pathogens compared with penicillin, ciprofloxacin, and amoxicillin-clavulanate)

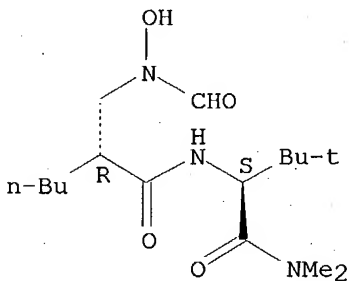
IT 235784-88-0, BB 3497

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(in vitro activities of peptide deformylase inhibitors against gram-pos. pathogens compared with penicillin, ciprofloxacin, and amoxicillin-clavulanate)

RN 235784-88-0 HCAPLUS

CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 20 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:674624 HCAPLUS
 DOCUMENT NUMBER: 136:6325
 TITLE: Asymmetric synthesis of BB-3497-A potent peptide deformylase inhibitor
 AUTHOR(S): Pratt, L. M.; Beckett, R. P.; Davies, S. J.; Launchbury, S. B.; Miller, A.; Spavold, Z. M.; Todd, R. S.; Whittaker, M.
 CORPORATE SOURCE: British Biotech Pharmaceuticals Limited, Cowley, Oxford, OX4 6LY, UK
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(19), 2585-2588
 CODEN: BMCLE8; ISSN: 0960-894X
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB By screening a library of metalloenzyme inhibitors, the N-formyl-hydroxylamine deriv. BB-3497 was identified as a potent inhibitor of Escherichia coli peptide deformylase with antibacterial activity both in vitro and in vivo. The homochiral synthesis of BB-3497, involving a novel asym. Michael addn. reaction is described.

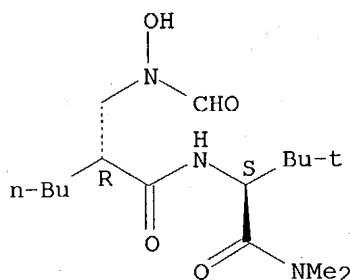
CC 34-3 (Amino Acids, Peptides, and Proteins)
 Section cross-reference(s): 1, 7, 63

IT **235784-88-0P 235785-83-8P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (asym. synthesis of BB-3497-A via asym. Michael addn. as potent inhibitor of Escherichia coli peptide deformylase)

IT **235784-88-0P 235785-83-8P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (asym. synthesis of BB-3497-A via asym. Michael addn. as potent inhibitor of Escherichia coli peptide deformylase)

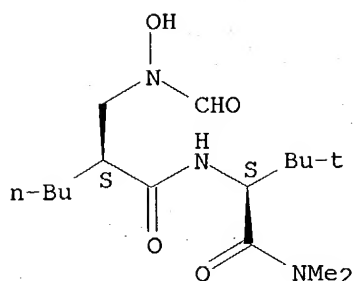
RN 235784-88-0 HCAPLUS
 CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 235785-83-8 HCAPLUS
 CN L-Valinamide, (2S)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 20 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:115119 HCAPLUS

DOCUMENT NUMBER: 134:162573

TITLE: Preparation of N-formyl hydroxylamine derivatives as antibacterial agents

INVENTOR(S): Hunter, Michael George; Beckett, Raymond Paul; Clements, John Martin; Whittaker, Mark; Davies, Stephen John; Pratt, Lisa Marie; Spavold, Zoe Marie; Launchbury, Steven

PATENT ASSIGNEE(S): British Biotech Pharmaceuticals Limited, UK

SOURCE: PCT Int. Appl., 49 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001010835	A1	20010215	WO 1999-GB2629	19990810
W: AU, BR, CA, CN, CZ, GB, HU, IL, JP, KR, MX, NO, NZ, PL, RU, SG, SK, TR, UA, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9952961	A1	20010305	AU 1999-52961	19990810
EP 1210330	A1	20020605	EP 1999-938453	19990810
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				

PRIORITY APPLN. INFO.: WO 1999-GB2629 A 19990810

OTHER SOURCE(S): MARPAT 134:162573

AB Selected compds. HC(O)CH(OH)CH(R₂)C(O)A (I; e.g. N-[1S-(4-benzylpiperidine-1-carbonyl)-2,2-dimethylpropyl]-3-cyclopentyl-2R-[(formylhydroxyamino)methyl]propionamide) and pharmaceutical and veterinary compns. comprising such compds. are antibacterial agents with respect to a range of Gram-pos. and Gram-neg. organisms. In I, R₂ = substituted or unsubstituted C1-C6 alkyl, cycloalkyl(C1-C6 alkyl)- or aryl(C1-C6 alkyl)- group; and A = NHCHR₄C(O)NR₅R₆ or NR₅R₆ (R₄ = side chain of a natural or non-natural alpha amino acid; R₅ and R₆ = independently H or C1-C6 alkyl, heterocyclic or aryl(C1-C6 alkyl)-, R₅ and R₆ when taken together with the N atom to which they are attached from an optionally substituted satd. heterocyclic ring of 3 to 8 atoms which ring is optionally fused to a carbocyclic or 2nd heterocyclic ring). The claimed compds. inhibit bacterial polypeptide deformylase (PDF; EC

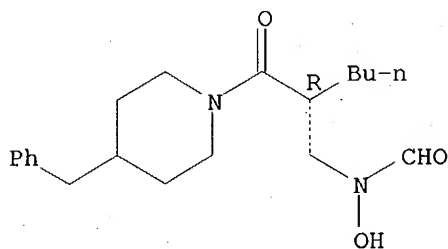
- 3.5.1.31), but quant. data are not given. Although the methods of prepn. are not claimed, 27 example prepn. are included.
- IC ICM C07D211-16
ICS C07D295-18; A61K031-16; C07D295-22; C07C259-06; C07D211-60;
C07D295-20; C07D217-06; C07D211-48; C07C321-16; C07C279-14;
A61K031-445; A61K031-495; A61K031-47; A61P031-04; C07D211-58
- CC 21-2 (General Organic Chemistry)
Section cross-reference(s): 1, 10, 27, 28, 34, 63
- IT 325459-35-6P, N-[1S-(4-Benzylpiperidine-1-carbonyl)-2,2-dimethylpropyl]-3-cyclopentyl-2R-[(formylhydroxyamino)methyl]propionamide
325459-36-7P, N-[2R-(4-Benzylpiperidine-1-carbonyl)hexyl]-N-hydroxyformamide **325459-37-8P**, N-Hydroxy-N-[2R-(2-methylpiperidine-1-carbonyl)hexyl]formamide **325459-38-9P**, N-Hydroxy-N-[2R-(piperidine-1-carbonyl)hexyl]formamide
325459-39-0P, N-Hydroxy-N-[2R-(piperazine-1-carbonyl)hexyl]formamide 325459-40-3P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid pyrrolidin-1-ylamide 325459-41-4P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid methyl(1-methylpiperidin-4-yl)amide **325459-42-5P**, N-[2R-(Azepane-1-carbonyl)hexyl]-N-hydroxyformamide 325459-43-6P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid (4-methylpiperazin-1-yl)amide 325459-44-7P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid diisopropylamide
325459-45-8P, 1-[2R-[(Formylhydroxyamino)methyl]hexanoyl]piperidine-3-carboxylic acid ethyl ester **325459-46-9P**, 4-[2R-[(Formylhydroxyamino)methyl]hexanoyl]piperazine-1-carboxylic acid ethyl ester **325459-47-0P**, 4-[2R-[(Formylhydroxyamino)methyl]hexanoyl]-1,1-dimethylpiperazinium iodide 325459-48-1P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid [2,2-dimethyl-1S-(piperidine-1-carbonyl)propyl]amide 325459-49-2P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid [1S-(3,4-dihydro-1H-isoquinoline-2-carbonyl)-2,2-dimethylpropyl]amide 325459-50-5P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid [1S-(4-benzyl-4-hydroxypiperidine-1-carbonyl)-2,2-dimethylpropyl]amide 325459-51-6P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid [1S-(4-benzylpiperazine-1-carbonyl)-2,2-dimethylpropyl]amide
325459-52-7P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid (3-benzylthio-1S-dimethylcarbamoylpropyl)amide **325459-53-8P**, 3S-[2R-[(Formylhydroxyamino)methyl]hexanoylamino]-N,N-dimethylsuccinamic acid benzyl ester **325459-54-9P**, 4S-Dimethylcarbamoyl-4-[2R-[(formylhydroxyamino)methyl]hexanoylamino]butyric acid benzyl ester
325459-55-0P, (5S-Dimethylcarbamoyl-5-[2R-[(formylhydroxyamino)methyl]hexanoylamino]pentyl)dimethylammonium chloride
325459-56-1P, 2R-[(Formylhydroxyamino)methyl]butyric acid (1S-1-dimethylcarbamoyl-2,2-dimethylpropyl)amide **325459-57-2P**, 2R-[(Formylhydroxyamino)methyl]hexanoic acid (1S-carbamoyl-2,2-dimethylpropyl)amide **325459-58-3P**, 2R-[(Formylhydroxyamino)methyl]hexanoic acid (1S-dimethylcarbamoyl-4-guanidinobutyl)amide 325459-59-4P, [2R-2-(4-Chlorophenyl)-3-(formylhydroxyamino)propionylamino]-2S-3,3,N,N-tetramethylbutyramide 325459-60-7P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid [2(3,4-dihydroxyphenyl)ethyl]amide 325459-61-8P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid [2(4-hydroxyphenyl)ethyl]amide
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-formyl hydroxylamine derivs. as antibacterial agents)
- IT 109-01-3, N-Methylpiperazine 534-59-8, n-Butylmalonic acid 622-33-3, O-Benzylhydroxylamine 771-61-9, Pentafluorophenol 3282-30-2, Pivaloyl chloride 13139-17-8, N-(Benzyloxycarbonyloxy)succinimide 20859-02-3,

L-tert-Leucine 31252-42-3, 4-Benzylpiperidine 143415-28-5,
 4S-Benzyl-3-(3-cyclopentylpropionyl)oxazolidin-2-one 235785-22-5
 , N-Hydroxy-N-[2R-(4-methylpiperazine-1-carbonyl)hexyl]formamide
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reactant; prepn. of N-formyl hydroxylamine derivs. as antibacterial
 agents)

IT 325459-36-7P, N-[2R-(4-Benzylpiperidine-1-carbonyl)hexyl]-N-
 hydroxyformamide 325459-37-8P, N-Hydroxy-N-[2R-(2-
 methylpiperidine-1-carbonyl)hexyl]formamide 325459-38-9P,
 N-Hydroxy-N-[2R-(piperidine-1-carbonyl)hexyl]formamide
 325459-39-0P, N-Hydroxy-N-[2R-(piperazine-1-
 carbonyl)hexyl]formamide 325459-42-5P, N-[2R-(Azepane-1-
 carbonyl)hexyl]-N-hydroxyformamide 325459-45-8P,
 1-{2R-[(Formylhydroxyamino)methyl]hexanoyl}piperidine-3-carboxylic acid
 ethyl ester 325459-46-9P, 4-{2R-[(Formylhydroxyamino)methyl]hexa
 noyl}piperazine-1-carboxylic acid ethyl ester 325459-47-0P,
 4-{2R-[(Formylhydroxyamino)methyl]hexanoyl}-1,1-dimethylpiperazinium
 iodide 325459-52-7P, 2R-[(Formylhydroxyamino)methyl]hexanoic
 acid (3-benzylthio-1S-dimethylcarbamoylpropyl)amide 325459-53-8P
 , 3S-{2R-[(Formylhydroxyamino)methyl]hexanoylamino}-N,N-dimethylsuccinamic
 acid benzyl ester 325459-54-9P, 4S-Dimethylcarbamoyl-4-{2R-
 [(formylhydroxyamino)methyl]hexanoylamino}butyric acid benzyl ester
 325459-55-0P, (5S-Dimethylcarbamoyl-5-{2R-
 [(formylhydroxyamino)methyl]hexanoylamino}pentyl)dimethylammonium chloride
 325459-56-1P, 2R-[(Formylhydroxyamino)methyl]butyric acid
 (1S-1-dimethylcarbamoyl-2,2-dimethylpropyl)amide 325459-57-2P,
 2R-[(Formylhydroxyamino)methyl]hexanoic acid (1S-carbamoyl-2,2-
 dimethylpropyl)amide 325459-58-3P, 2R-
 [(Formylhydroxyamino)methyl]hexanoic acid (1S-dimethylcarbamoyl-4-
 guanidinobutyl)amide
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of N-formyl hydroxylamine derivs. as antibacterial agents)

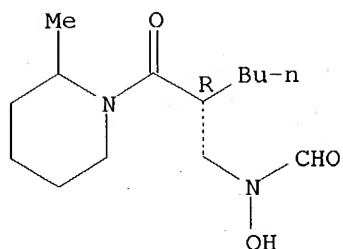
RN 325459-36-7 HCAPLUS
 CN Piperidine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-4-
 (phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 325459-37-8 HCAPLUS
 CN Piperidine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-2-methyl-
 (9CI) (CA INDEX NAME)

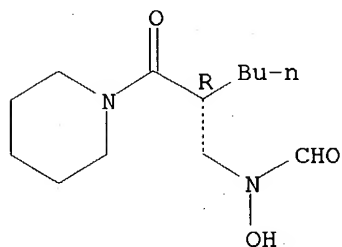
Absolute stereochemistry.



RN 325459-38-9 HCAPLUS

CN Piperidine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]- (9CI) (CA INDEX NAME)

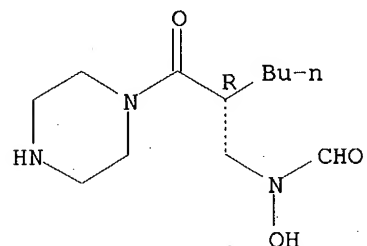
Absolute stereochemistry.



RN 325459-39-0 HCAPLUS

CN Piperazine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]- (9CI) (CA INDEX NAME)

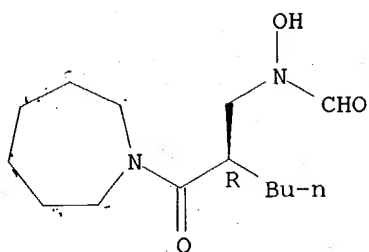
Absolute stereochemistry.



RN 325459-42-5 HCAPLUS

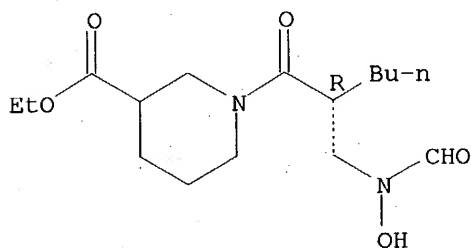
CN 1H-Azepine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]hexahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



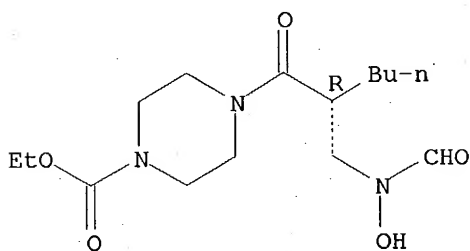
RN 325459-45-8 HCAPLUS
 CN 3-Piperidinecarboxylic acid, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



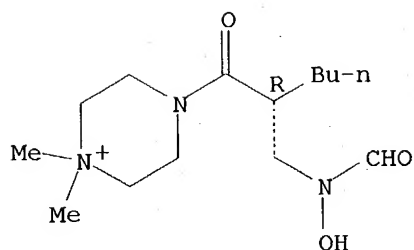
RN 325459-46-9 HCAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 325459-47-0 HCAPLUS
 CN Piperazinium, 4-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-1,1-dimethyl-, iodide (9CI) (CA INDEX NAME)

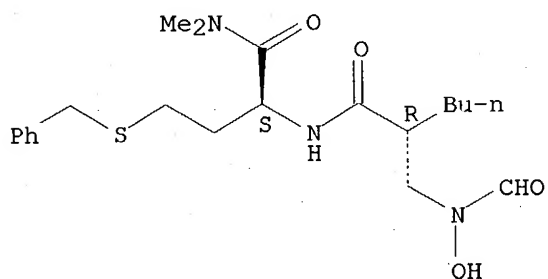
Absolute stereochemistry.



● I⁻

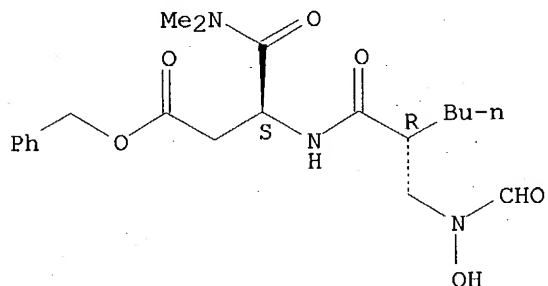
RN 325459-52-7 HCAPLUS
 CN L-Homocysteinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl-S-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



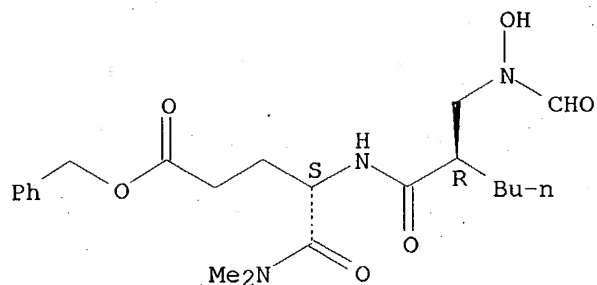
RN 325459-53-8 HCAPLUS
 CN L-.alpha.-Asparagine, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 325459-54-9 HCAPLUS
 CN L-.alpha.-Glutamine, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

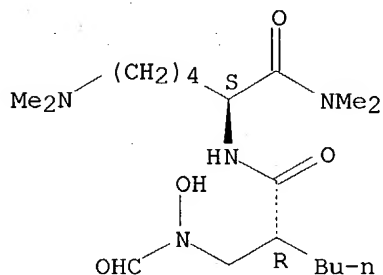
Absolute stereochemistry.



RN 325459-55-0 HCAPLUS

CN L-Lysinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N,N6,N6-tetramethyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

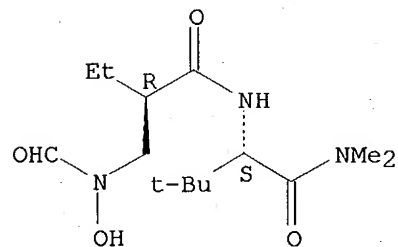


● HCl

RN 325459-56-1 HCAPLUS

CN L-Valinamide, (2R)-2-ethyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

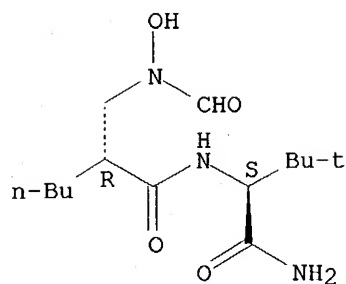
Absolute stereochemistry.



RN 325459-57-2 HCAPLUS

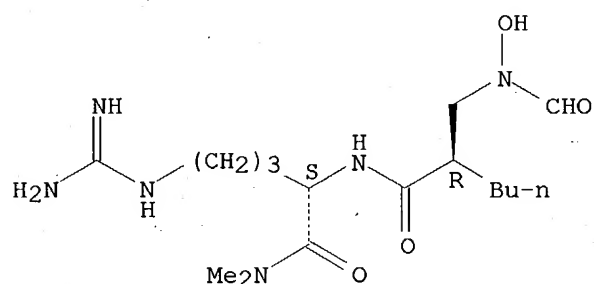
CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



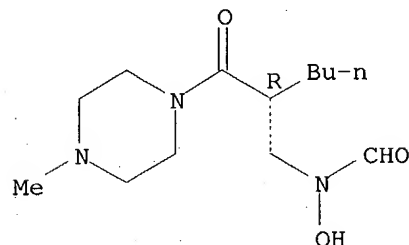
RN 325459-58-3 HCAPLUS
 CN L-Argininamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 235785-22-5, N-Hydroxy-N-[2R-(4-methylpiperazine-1-carbonyl)hexyl]formamide
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reactant; prepn. of N-formyl hydroxylamine derivs. as antibacterial agents)
 RN 235785-22-5 HCAPLUS
 CN Piperazine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-4-methyl-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 20 HCAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2001:115118 HCAPLUS

DOCUMENT NUMBER: 134:163065
 TITLE: Preparation of hydroxamic acid and N-formyl hydroxylamine derivatives as antibacterial agents
 INVENTOR(S): Pratt, Lisa Marie; Keavey, Kenneth Noel; Pain, Gilles Denis; Mounier, Laurent Franck
 PATENT ASSIGNEE(S): British Biotech Pharmaceuticals Limited, UK
 SOURCE: PCT Int. Appl., 101 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001010834	A2	20010215	WO 2000-GB3078	20000810
WO 2001010834	A3	20010628		
W: AE, AU, BR, BY, CA, CN, CZ, DZ, EE, GB, GE, HU, ID, IL, IN, IS, JP, KE, KR, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, US, VN, ZA, ZW				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1202968	A2	20020508	EP 2000-949820	20000810
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY				
BR 2000013112	A	20020611	BR 2000-13112	20000810
JP 2003506438	T2	20030218	JP 2001-515301	20000810
NO 2002000621	A	20020409	NO 2002-621	20020208
PRIORITY APPLN. INFO.:				
GB 1999-18869 A 19990810				
GB 1999-27093 A 19991116				
WO 2000-GB3078 W 20000810				

OTHER SOURCE(S): MARPAT 134:163065

AB Selected compds. QCH(R1)CH(R2)C(O)A (I) and pharmaceutical and veterinary compns. comprising such compds. are antibacterial agents with respect to a range of Gram-pos. and Gram-neg. organisms. In I, Q = -N(OH)C(O)H or -C(O)NH(OH); R1 = H, C1-C6 alkyl or C1-C6 alkyl substituted by .gtoreq. halogen atoms, or, except when Q is -N(OH)C(O)H, hydroxy, C1-C6 alkoxy, C1-C6 alkenyloxy, amino, C1-C6 alkylamino, or di-(C1-C6 alkyl)amino; R2 = substituted or unsubstituted C1-C6 alkyl, cycloalkyl (C1-C6 alkyl)- or aryl (C1-C6 alkyl)-; and A = -NHCHR4C(O)NR5R6 or -NR5R6, wherein R4 = side chain of a natural or non-natural .alpha.-amino acid, and R5 and R6 when taken together with the N atom to which they are attached form a satd. heterocyclic 1st ring of 5 to 7 atoms (piperidine and piperazine in the examples). In general, the compds. of the examples are more active against the Gram pos. S. capitis than the Gram neg. E. coli. Test results are also reported for 2R-cyclopentylmethyl-3-(formylhydroxyamino)-N-(1S-[4-[4-(4-hydroxypiperidine-1-carbonyl)phenoxy]piperidine-1-carbonyl]-2,2-dimethylpropyl)propionamide against certain respiratory tract pathogens. Although the methods of prepn. are not claimed, .apprx.95 example preps. are included.

IC ICM C07D211-00

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 10, 27, 34, 63

IT 325795-25-3P 325795-27-5P 325795-29-7P, 2R-

[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(4-methoxybenzoyl)piperidine-1-carbonyl]-2,2-dimethylpropyl}amide

325795-37-7P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid

{1S-[4-benzotriazol-1-ylpiperidine-1-carbonyl]-2,2-dimethylpropyl}amide

325795-38-8P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid

{1S-[4-benzo[1,3]dioxol-5-ylmethylpiperazine-1-carbonyl]-2,2-dimethylpropyl}amide 325795-39-9P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid [2,2-dimethyl-1S-(4-phenylpiperidine-1-carbonyl)propyl]amide 325795-40-2P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid [1S-(6,7-dimethoxy-3,4-dihydro-1H-isoquinoline-2-carbonyl)-2,2-dimethylpropyl]amide 325795-41-3P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(4-fluorophenyl)piperidine-1-carbonyl]-2,2-dimethylpropyl}amide 325795-42-4P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {2,2-dimethyl-1S-[4-(2-oxo-2,3-dihydrobenzoimidazol-1-yl)piperidine-1-carbonyl]propyl}amide 325795-43-5P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid [1S-(4-benzoylpiperidine-1-carbonyl)-2,2-dimethylpropyl]amide 325795-44-6P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid [1S-(4-benzhydrylpiperazine-1-carbonyl)-2,2-dimethylpropyl]amide 325795-45-7P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(2,5-dimethylphenyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}amide 325795-46-8P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(2-methoxyphenyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}amide 325795-47-9P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(furan-3-carbonyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}amide 325795-48-0P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(5-furan-2-yl-2H-pyrazol-3-yl)piperidine-1-carbonyl]-2,2-dimethylpropyl}amide 325795-49-1P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {2,2-dimethyl-1S-[4-(5-phenyl-2H-pyrazol-3-yl)piperidine-1-carbonyl]propyl}amide 325795-50-4P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(4-methoxyphenyl)-3-methylpiperazine-1-carbonyl]-2,2-dimethylpropyl}amide 325795-51-5P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid [1S-[1-(3,4-dimethoxybenzyl)-6,7-dimethoxy-3,4-dihydro-1H-isoquinoline-2-carbonyl]-2,2-dimethylpropyl]amide 325795-52-6P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-[5-(2-chlorophenyl)-2H-pyrazol-3-yl]piperidine-1-carbonyl]-2,2-dimethylpropyl}amide 325795-53-7P, N-Hydroxy-N-[2R-(4-pyrimidin-2-ylpiperazine-1-carbonyl)hexyl]formamide 325795-54-8P, N-{2R-[4-(4-Chlorophenyl)piperazine-1-carbonyl]hexyl}-N-hydroxyformamide 325795-55-9P, N-{2R-[4-Benzo(1,3)dioxol-5-ylmethylpiperazine-1-carbonyl]hexyl}-N-hydroxyformamide 325795-56-0P, N-Hydroxy-N-{2R-[4-(4-methoxyphenyl)-3-methylpiperazine-1-carbonyl]hexyl}formamide 325795-57-1P, N-{2R-[4-(4-Acetylphenyl)piperazine-1-carbonyl]hexyl}-N-hydroxyformamide 325795-58-2P, N-[2R-(4-Benzhydrylpiperazine-1-carbonyl)hexyl]-N-hydroxyformamide 325795-59-3P, N-Hydroxy-N-[2R-(4-phenylpiperidine-1-carbonyl)hexyl]formamide 325795-60-6P, N-Hydroxy-N-{2R-[4-(hydroxydiphenylmethyl)piperidine-1-carbonyl]hexyl}formamide 325795-61-7P, N-Hydroxy-N-[2R-(4-phenylpiperazine-1-carbonyl)hexyl]formamide 325795-62-8P, N-(2R-[4-[(4-Chlorophenyl)phenylmethyl]piperazine-1-carbonyl]hexyl)-N-hydroxyformamide 325795-63-9P, N-{2R-[4-(3-Chlorophenyl)piperazine-1-carbonyl]hexyl}-N-hydroxyformamide 325795-65-1P, N-Hydroxy-N-(2R-[4-[2-(2-hydroxyethoxy)ethyl]piperazine-1-carbonyl]hexyl)formamide 325795-67-3P, N-Hydroxy-N-{2R-[4-(3-hydroxypropyl)piperazine-1-carbonyl]hexyl}formamide 325795-68-4P, N-Hydroxy-N-{2R-[2-(2-hydroxyethyl)piperazine-1-carbonyl]hexyl}formamide 325795-70-8P, N-{2R-[4-(3,4-Dichlorophenyl)piperazine-1-carbonyl]hexyl}-N-hydroxyformamide 325795-71-9P, N-Hydroxy-N-{2R-[4-(4-methoxyphenyl)piperazine-1-carbonyl]hexyl}formamide 325795-72-0P, N-Hydroxy-N-{2R-[4-(3-trifluoromethylpyridin-2-yl)piperazine-1-carbonyl]hexyl}formamide 325795-73-1P, N-Hydroxy-N-{2R-[4-(1H-

indol-4-yl)piperazine-1-carbonyl]hexyl}formamide **325795-74-2P**,
N-(2R-[4-[Bis(4-fluorophenyl)methyl]piperazine-1-carbonyl]hexyl)-N-
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nitrophenyl)piperazine-1-carbonyl]hexyl}formamide **325795-76-4P**,
N-(2R-[4-(4-Fluorophenyl)piperazine-1-carbonyl]hexyl)-N-hydroxyformamide
325795-77-5P, N-(2R-[4-(Furan-2-carbonyl)piperazine-1-
carbonyl]hexyl)-N-hydroxyformamide **325795-78-6P**,
N-(2R-[4-(2,5-Dimethylphenyl)piperazine-1-carbonyl]hexyl)-N-
hydroxyformamide **325795-80-0P**, 3R-Cyclopentylmethyl-4-[4-(4-
fluorophenyl)piperazin-1-yl]-N-hydroxy-4-oxobutyramide **325795-83-3P**,
3R-Cyclopentylmethyl-4-[4-(3,4-dichlorophenyl)piperazin-1-yl]-N-hydroxy-4-
oxobutyramide **325795-84-4P**, 2R-[(Formylhydroxyamino)methyl]hexanoic acid
{1S-[4-(4-cyanobenzyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}amide
325795-88-8P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid
{1S-[4-(2-cyanobenzyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}amide
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325795-90-2P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid
{1S-(4-biphenyl-4-ylmethyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}amide
325795-91-3P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid
{1S-(4-biphenyl-2-ylmethyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}amide
325795-92-4P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid
{2,2-dimethyl-1S-(4-naphthalen-2-ylmethyl)piperazine-1-
carbonyl}propyl}amide **325795-93-5P**, N-{1S-[4-(4-Cyanobenzyl)piperazine-1-
carbonyl]-2,2-dimethylpropyl}-2R-cyclopentylmethyl-3-
(formylhydroxyamino)propionamide **325795-94-6P**, N-{1S-[4-(2-
Cyanobenzyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}-2R-
cyclopentylmethyl-3-(formylhydroxyamino)propionamide **325795-95-7P**,
N-{1S-[4-(3-Cyanobenzyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}-2S-
cyclopentylmethyl-3-(formylhydroxyamino)propionamide **325795-97-9P**,
N-{1S-(4-Biphenyl-4-ylmethyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}-2R-
(cyclopentylmethyl)-3-(formylhydroxyamino)propionamide **325795-98-0P**,
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cyclopentylmethyl-3-(formylhydroxyamino)propionamide **325795-99-1P**,
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2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-[benzo[1,3]dioxole-5-
carbonyl]piperazine-1-carbonyl]-2,2-dimethylpropyl}amide **325796-04-1P**,
2R-[(Formylhydroxyamino)methyl]hexanoic acid {2,2-dimethyl-1S-(4-pyridin-3-
ylmethyl)piperazine-1-carbonyl}propyl}amide **325796-07-4P**,
2R-[(Formylhydroxyamino)methyl]hexanoic acid {2,2-dimethyl-1S-[4-(5-
methylpyrazine-2-carbonyl)piperazine-1-carbonyl]propyl}amide
325796-08-5P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid
{1S-[4-(4-acetyl-3,5-dimethyl-1H-pyrrole-2-carbonyl)piperazine-1-carbonyl]-
2,2-dimethylpropyl}amide **325796-09-6P**, 2R-[(Formylhydroxyamino)methyl]he
xanoic acid {2,2-dimethyl-1S-[4-(5-methyl-1H-pyrazole-3-
carbonyl)piperazine-1-carbonyl]propyl}amide **325796-10-9P**,
2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(2,5-dimethyl-2H-
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325796-11-0P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid
{2,2-dimethyl-1S-[4-(1-H-pyrrole-2-carbonyl)piperazine-1-
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oic acid {2,2-dimethyl-1S-[4-(pyridine-3-carbonyl)piperazine-1-
carbonyl]propyl}amide **325796-13-2P**, 2R-[(Formylhydroxyamino)methyl]hexan
oic acid {1S-[4-(2-hydroxypyridine-3-carbonyl)piperazine-1-carbonyl]-2,2-
dimethylpropyl}amide **325796-14-3P**, 2R[(Formylhydroxyamino)methyl]hexanoi
c acid {1S-[4-(2,6-dihydroxypyrimidine-4-carbonyl)piperazine-1-carbonyl]-
2,2-dimethylpropyl}amide **325796-15-4P**, 2R-[(Formylhydroxyamino)methyl]he

xanoic acid {2,2-dimethyl-1S-[4-(pyrazine-2-carbonyl)piperazine-1-carbonyl]propyl}amide 325796-16-5P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {2,2-dimethyl-1S-[4-(5-methylisoxazole-3-carbonyl)piperazine-1-carbonyl]propyl}amide 325796-17-6P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {2,2-dimethyl-1S-[4-(thiophene-2-carbonyl)piperazine-1-carbonyl]propyl}amide 325796-18-7P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {2,2-dimethyl-1S-[4-[4-methyl-1,2,3-thiadiazole-5-carbonyl]piperazine-1-carbonyl]propyl}amide 325796-19-8P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(3,5-dimethylisoxazole-4-carbonyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}amide 325796-20-1P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(isoxazole-5-carbonyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}amide 325796-21-2P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {2,2-dimethyl-1S-[4-(2-pyridin-4-ylthiazole-4-carbonyl)piperazine-1-carbonyl]propyl}amide 325796-22-3P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(5-methanesulfonylthiophene-2-carbonyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}amide 325796-23-4P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(2,4-dimethylthiazole-5-carbonyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}amide 325796-24-5P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(2-chloropyridine-3-carbonyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}amide 325796-25-6P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {2,2-dimethyl-1S-[4-(pyridine-2-carbonyl)piperazine-1-carbonyl]propyl}amide 325796-26-7P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {2,2-dimethyl-1S-[4-(1-methyl-1H-pyrrole-2-carbonyl)piperazine-1-carbonyl]propyl}amide 325796-27-8P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(biphenyl-4-sulfonyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}amide 325796-28-9P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid {1S-[4-(biphenyl-4-carbonyl)piperazine-1-carbonyl]-2,2-dimethylpropyl}amide 325796-29-0P 325796-30-3P 325796-31-4P 325796-36-9P, 2R-[(Formylhydroxyamino)methyl]hexanoic acid [2,2-dimethyl-1S-(4-pyrimidin-2-yl)piperazine-1-carbonyl]propyl}amide 325796-37-0P, N1-[1S-[4-[1,3-Benzodioxole-5-carbonyl]piperazine-1-carbonyl]-2,2-dimethylpropyl]-2R-cyclopentylmethyl-N4-hydroxysuccinamide 325796-46-1P, N1-[1S-(4-Biphenyl-4-ylmethyl)piperazine-1-carbonyl]-2,2-dimethylpropyl]-2R-cyclopentylmethyl-N4-hydroxysuccinamide 325796-47-2P, 2R-Cyclopentylmethyl-N1-[2,2-dimethyl-1S-(4-naphthalen-2-ylmethyl)piperazine-1-carbonyl]propyl]-N4-hydroxysuccinamide 325796-48-3P, 2R-Cyclopentylmethyl-N1-[2,2-dimethyl-1S-(4-pyridin-3-ylmethyl)piperazine-1-carbonyl]propyl]-N4-hydroxysuccinamide 325796-56-3P, 4-(1S-[2-[2R-Cyclopentylmethyl-3-(formylhydroxyamino)propionylamino]-3,3-dimethylbutyryl]piperidin-4-yloxy)-N,N-dimethylbenzamide 325796-57-4P, 4-(1-[2S-[3-(Benzyloxyformylamino)-2R-cyclopentylmethylpropionylamino]-3,3-dimethylbutyryl]piperidin-4-yloxy)-N-methylbenzamide 325796-58-5P, 2R-Cyclopentylmethyl-N-(2,2-dimethyl-1S-[4-[4-(morpholine-4-carbonyl)phenoxy]piperidine-1-carbonyl]propyl)-3-(formylhydroxyamino)propionamide 325796-59-6P, 2R-Cyclopentylmethyl-N-(2,2-dimethyl-1S-[4-[4-(4-methylpiperazine-1-carbonyl)phenoxy]piperidine-1-carbonyl]propyl)-3-(formylhydroxyamino)propionamide 325796-60-9P, 2R-Cyclopentylmethyl-3-(formylhydroxyamino)-N-(1S-[4-[4-(4-hydroxypiperidine-1-carbonyl)phenoxy]piperidine-1-carbonyl]-2,2-dimethylpropyl)propionamide 325796-61-0P, 2R-Cyclopentylmethyl-3-(formylhydroxyamino)-N-(1S-[4-[4-(2S-hydroxymethylpyrrolidine-1-carbonyl)phenoxy]piperidine-1-carbonyl]-2,2-dimethylpropyl)propionamide 325796-62-1P, 4-(1-[2S-[2R-Cyclopentylmethyl-3-(formylhydroxyamino)propionylamino]-3,3-dimethylbutyryl]piperidin-4-yloxy)benzoic acid methyl ester 325796-63-2P, 2R-Cyclopentylmethyl-3-(formylhydroxyamino)-N-(1S-[4-(4-hydroxymethylphenoxy)piperidine-1-carbonyl]-2,2-dimethylpropyl)propionamide

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of hydroxamic acid and N-formyl hydroxylamine derivs. as antibacterial agents)

IT 325795-53-7P, N-Hydroxy-N-[2R-(4-pyrimidin-2-yl)piperazine-1-carbonyl]hexyl]formamide 325795-54-8P, N-{2R-[4-(4-Chlorophenyl)piperazine-1-carbonyl]hexyl}-N-hydroxyformamide 325795-55-9P, N-{2R-[4-Benzo(1,3)dioxol-5-ylmethylpiperazine-1-carbonyl]hexyl}-N-hydroxyformamide 325795-56-0P, N-Hydroxy-N-{2R-[4-(4-methoxyphenyl)-3-methylpiperazine-1-carbonyl]hexyl]formamide 325795-57-1P, N-{2R-[4-(4-Acetylphenyl)piperazine-1-carbonyl]hexyl}-N-hydroxyformamide 325795-58-2P, N-[2R-(4-Benzhydrylpiperazine-1-carbonyl)hexyl]-N-hydroxyformamide 325795-59-3P, N-Hydroxy-N-[2R-(4-phenylpiperidine-1-carbonyl)hexyl]formamide 325795-60-6P, N-Hydroxy-N-{2R-[4-(hydroxydiphenylmethyl)piperidine-1-carbonyl]hexyl}formamide 325795-61-7P, N-Hydroxy-N-[2R-(4-phenylpiperazine-1-carbonyl)hexyl]formamide 325795-62-8P, N-(2R-{4-[(4-Chlorophenyl)phenylmethyl]piperazine-1-carbonyl}hexyl)-N-hydroxyformamide 325795-63-9P, N-{2R-[4-(3-Chlorophenyl)piperazine-1-carbonyl]hexyl}-N-hydroxyformamide 325795-65-1P, N-Hydroxy-N-(2R-{4-[2-(2-hydroxyethoxy)ethyl]piperazine-1-carbonyl}hexyl)formamide 325795-67-3P, N-Hydroxy-N-{2R-[4-(3-hydroxypropyl)piperazine-1-carbonyl]hexyl}formamide 325795-68-4P, N-Hydroxy-N-{2R-[2-(2-hydroxyethyl)piperazine-1-carbonyl]hexyl}formamide 325795-70-8P, N-{2R-[4-(3,4-Dichlorophenyl)piperazine-1-carbonyl]hexyl}-N-hydroxyformamide 325795-71-9P, N-Hydroxy-N-{2R-[4-(4-methoxyphenyl)piperazine-1-carbonyl]hexyl}formamide 325795-72-0P, N-Hydroxy-N-{2R-[4-(3-trifluoromethylpyridin-2-yl)piperazine-1-carbonyl]hexyl}formamide 325795-73-1P, N-Hydroxy-N-{2R-[4-(1H-indol-4-yl)piperazine-1-carbonyl]hexyl}formamide 325795-74-2P, N-(2R-{4-[Bis(4-fluorophenyl)methyl]piperazine-1-carbonyl}hexyl)-N-hydroxyformamide 325795-75-3P, N-Hydroxy-N-{2R-[4-(4-nitrophenyl)piperazine-1-carbonyl]hexyl}formamide 325795-76-4P, N-{2R-[4-(4-Fluorophenyl)piperazine-1-carbonyl]hexyl}-N-hydroxyformamide 325795-77-5P, N-{2R-[4-(Furan-2-carbonyl)piperazine-1-carbonyl]hexyl}-N-hydroxyformamide 325795-78-6P, N-(2R-[4-(2,5-Dimethylphenyl)piperazine-1-carbonyl]hexyl)-N-hydroxyformamide

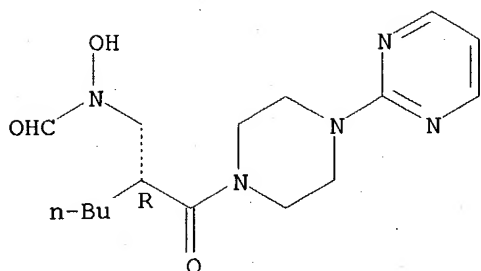
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of hydroxamic acid and N-formyl hydroxylamine derivs. as antibacterial agents)

RN 325795-53-7 HCAPLUS

CN Piperazine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-4-(2-pyrimidinyl)- (9CI) (CA INDEX NAME)

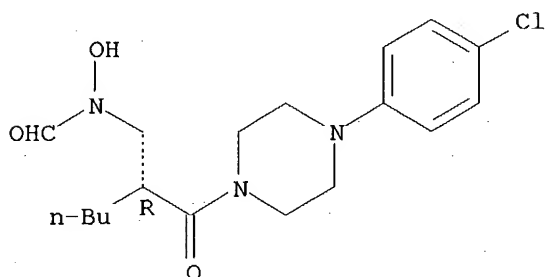
Absolute stereochemistry.



RN 325795-54-8 HCAPLUS

CN Piperazine, 1-(4-chlorophenyl)-4-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]- (9CI) (CA INDEX NAME)

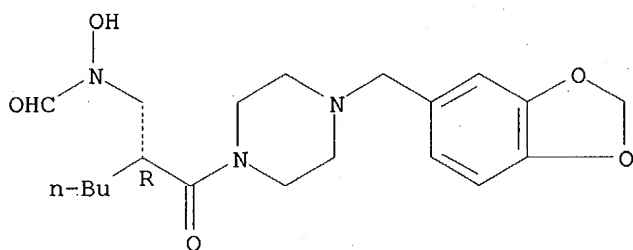
Absolute stereochemistry.



RN 325795-55-9 HCAPLUS

CN Piperazine, 1-(1,3-benzodioxol-5-ylmethyl)-4-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]- (9CI) (CA INDEX NAME)

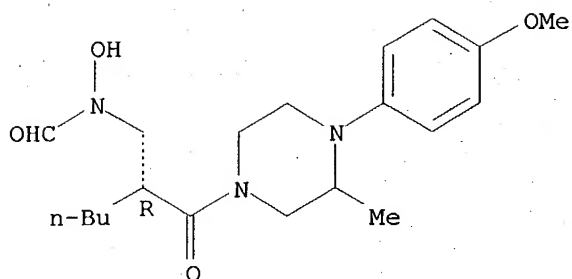
Absolute stereochemistry.



RN 325795-56-0 HCAPLUS

CN Piperazine, 4-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-1-(4-methoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)

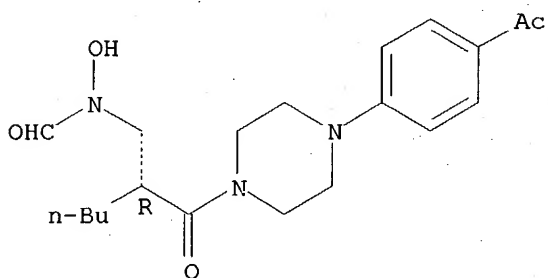
Absolute stereochemistry.



RN 325795-57-1 HCAPLUS

CN Piperazine, 1-(4-acetylphenyl)-4-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]- (9CI) (CA INDEX NAME)

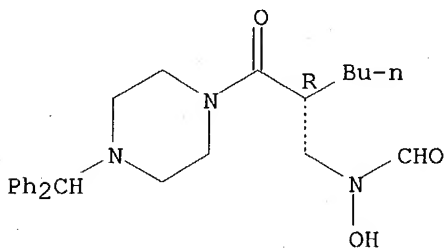
Absolute stereochemistry.



RN 325795-58-2 HCAPLUS

CN Piperazine, 1-(diphenylmethyl)-4-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]- (9CI) (CA INDEX NAME)

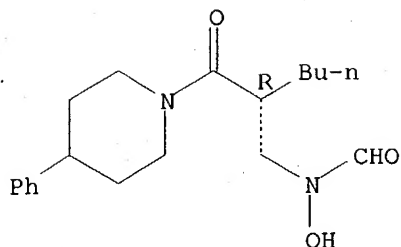
Absolute stereochemistry.



RN 325795-59-3 HCAPLUS

CN Piperidine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-4-phenyl- (9CI) (CA INDEX NAME)

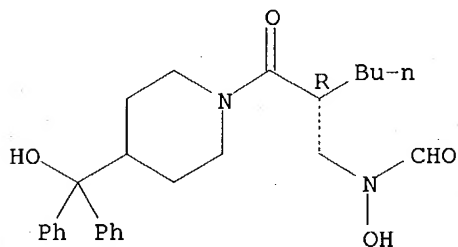
Absolute stereochemistry.



RN 325795-60-6 · HCAPLUS

4-Piperidinemethanol, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-
.alpha.,.alpha.-diphenyl- (9CI) (CA INDEX NAME)

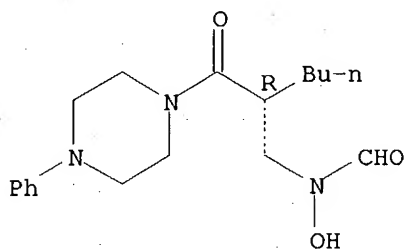
Absolute stereochemistry.



RN 325795-61-7 HCAPLUS

CN Piperazine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-4-phenyl-
(9CI) (CA INDEX NAME)

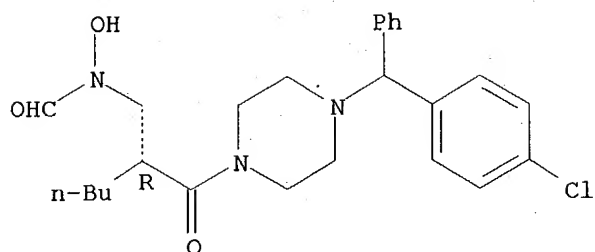
Absolute stereochemistry.



RN 325795-62-8 HCAPLUS

CN	Piperazine, 1-[(4-chlorophenyl)phenylmethyl]-4-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]- (9CI) (CA INDEX NAME)
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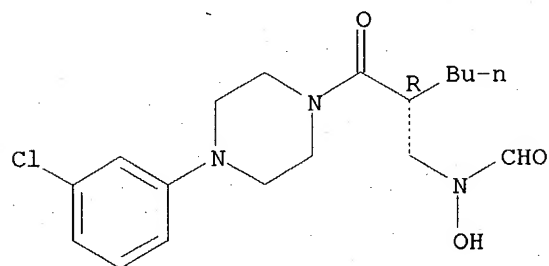
Absolute stereochemistry.



RN 325795-63-9 HCAPLUS

CN Piperazine, 1-(3-chlorophenyl)-4-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]- (9CI) (CA INDEX NAME)

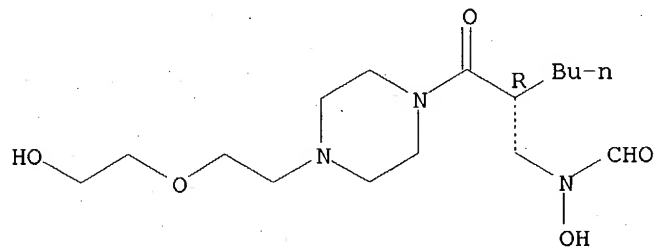
Absolute stereochemistry.



RN 325795-65-1 HCAPLUS

CN Piperazine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-4-[2-(2-hydroxyethoxy)ethyl]- (9CI) (CA INDEX NAME)

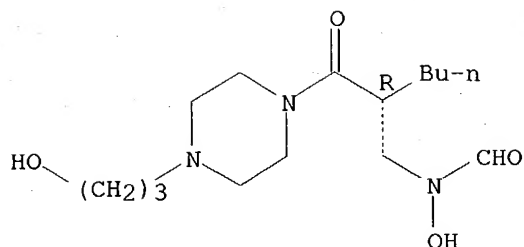
Absolute stereochemistry.



RN 325795-67-3 HCAPLUS

CN 1-Piperazinepropanol, 4-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]- (9CI) (CA INDEX NAME)

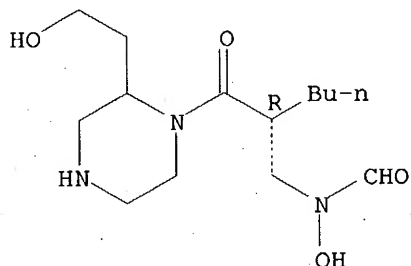
Absolute stereochemistry.



RN 325795-68-4 HCAPLUS

2-Piperazineethanol, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-
(9CI) (CA INDEX NAME)

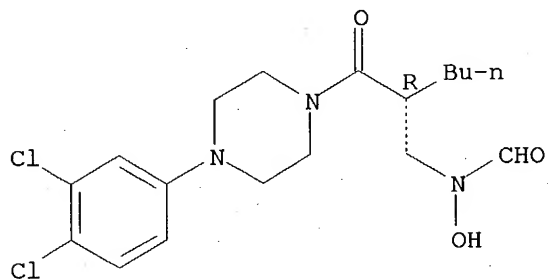
Absolute stereochemistry.



RN 325795-70-8 HCAPLUS

CN Piperazine, 1-(3,4-dichlorophenyl)-4-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]- (9CI) (CA INDEX NAME)

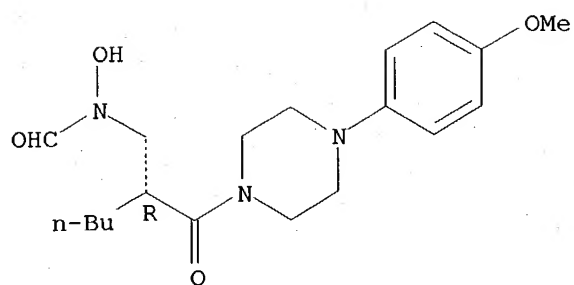
Absolute stereochemistry.



RN 325795-71-9 HCAPLUS

RN	529755-71-9	NAMELESS
CN	Piperazine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-4-(4-methoxyphenyl)- (9CI)	(CA INDEX NAME)

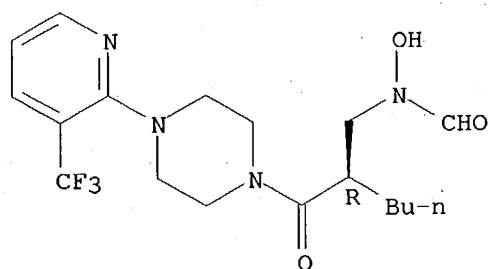
Absolute stereochemistry.



RN 325795-72-0 HCAPLUS

CN Piperazine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-4-[3-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

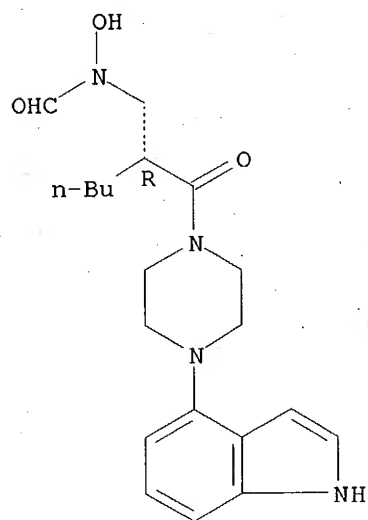
Absolute stereochemistry.



RN 325795-73-1 HCAPLUS

CN Piperazine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-4-(1H-indol-4-yl)- (9CI) (CA INDEX NAME)

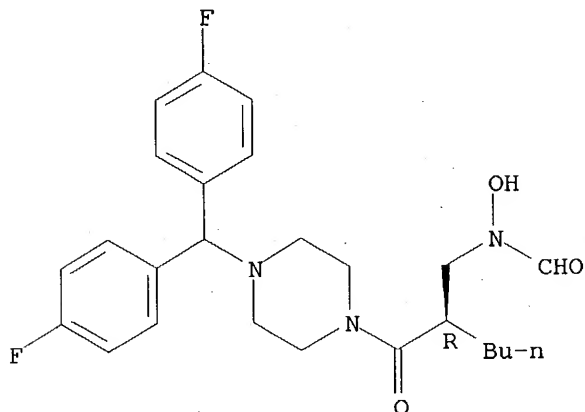
Absolute stereochemistry.



RN 325795-74-2 HCAPLUS

CN Piperazine, 1-[bis(4-fluorophenyl)methyl]-4-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]- (9CI) (CA INDEX NAME)

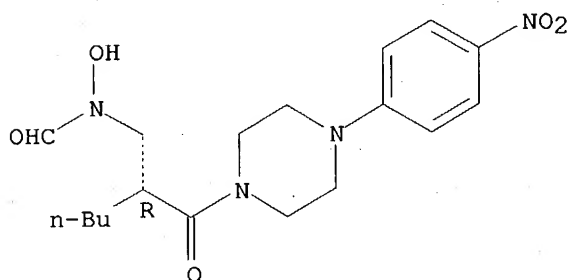
Absolute stereochemistry.



RN 325795-75-3 HCAPLUS

CN Piperazine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-4-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

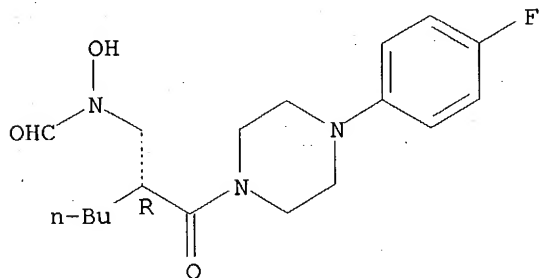
Absolute stereochemistry.



RN 325795-76-4 HCAPLUS

CN Piperazine, 1-(4-fluorophenyl)-4-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]- (9CI) (CA INDEX NAME)

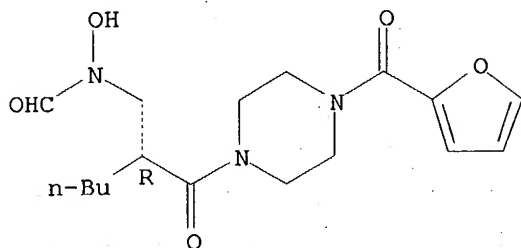
Absolute stereochemistry.



RN 325795-77-5 HCAPLUS

CN Piperazine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-4-(2-furanylcarbonyl)- (9CI) (CA INDEX NAME)

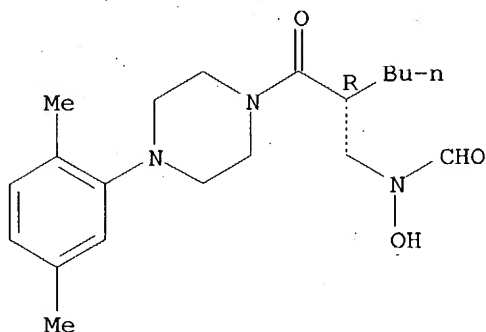
Absolute stereochemistry.



RN 325795-78-6 HCAPLUS

CN Piperazine, 1-(2,5-dimethylphenyl)-4-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:64576 HCAPLUS

DOCUMENT NUMBER: 134:249441

TITLE: Antibiotic activity and characterization of BB-3497, a novel peptide deformylase inhibitor

AUTHOR(S): Clements, John M.; Beckett, R. Paul; Brown, Anthony; Catlin, Graham; Lobell, Mario; Palan, Shilpa; Thomas, Wayne; Whittaker, Mark; Wood, Stephen; Salama, Sameeh; Baker, Patrick J.; Rodgers, H. Fiona; Barynin, Vladimir; Rice, David W.; Hunter, Michael G.

CORPORATE SOURCE: British Biotech Pharmaceuticals Ltd., Oxford, OX4 6LY, UK

SOURCE: Antimicrobial Agents and Chemotherapy (2001), 45(2), 563-570

CODEN: AMACQ; ISSN: 0066-4804

PUBLISHER: American Society for Microbiology

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Peptide deformylase (PDF) is an essential bacterial metalloenzyme which deformylates the N-formylmethionine of newly synthesized polypeptides and

as such represents a novel target for antibacterial chemotherapy. To identify novel PDF inhibitors, we screened a metalloenzyme inhibitor library and identified an N-formyl-hydroxylamine deriv., BB-3497 (I, $\text{OHCN(OH)CH(Bu)CONHC(Bu-t)CONMe}_2$), and a related natural hydroxamic acid antibiotic, actinonin (II), as potent and selective inhibitors of PDF. To elucidate the interactions that contribute to the binding affinity of these inhibitors, we detd. the crystal structures of I and II bound to *Escherichia coli* PDF at resolns. of 2.1 and 1.75 Å, resp. In both complexes, the active-site metal atom was pentacoordinated by the side chains of Cys 90, His 132, and His 136 and the two oxygen atoms of N-formylhydroxylamine or hydroxamate. I had activity against gram-pos. bacteria, including methicillin-resistant *Staphylococcus aureus* and vancomycin-resistant *Enterococcus faecalis*, and activity against some gram-neg. bacteria. Time-kill anal. showed that the mode of action of BB-3497 was primarily bacteriostatic. The mechanism of resistance was via mutations within the formyltransferase gene, as previously described for actinonin. While II and its derivs. have not been used clin. because of their poor pharmacokinetic properties, I was shown to be orally bioavailable. A single oral dose of I given 1 h after i.p. injection of *S. aureus* Smith or methicillin-resistant *S. aureus* protected mice from infection with median EDs of 8 and 14 mg/kg of body wt., resp. These data validate PDF as a novel target for the design of a new generation of antibacterial agents.

CC 10-5 (Microbial, Algal, and Fungal Biochemistry)

Section cross-reference(s): 1, 7, 75

IT **235784-88-0**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antibiotic activity and characterization of the novel peptide deformylase inhibitor BB-3497)

IT 9032-86-4D, Peptide deformylase, complexes with BB-3497 and actinonin

13434-13-4D, Actinonin, complexes with peptide deformylase

235784-88-0D, complexes with peptide deformylase

RL: PRP (Properties)

(crystal structure of)

IT **235784-88-0**

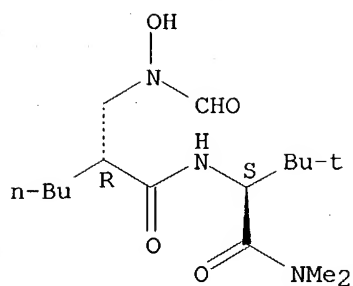
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antibiotic activity and characterization of the novel peptide deformylase inhibitor BB-3497)

RN 235784-88-0 HCAPLUS

CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 235784-88-0D, complexes with peptide deformylase

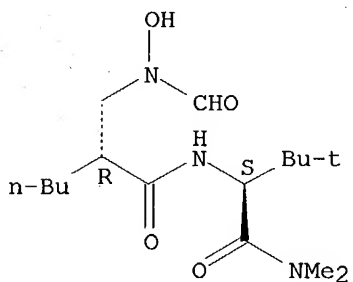
RL: PRP (Properties)

(crystal structure of)

RN 235784-88-0 HCAPLUS

CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanine-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 10 OF 20 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:169388 HCAPLUS

DOCUMENT NUMBER: 132:208142

TITLE: Preparation of peptides as matrix metalloprotease inhibitors

INVENTOR(S): Castelhana, Arlindo Lucas; Bender, Steven Lee; Deal, Judith Gail; Horne, Stephen; Liak, Teng J.; Yuan, Zhengyu

PATENT ASSIGNEE(S): Syntex (U.S.A.) Inc., USA

SOURCE: U.S., 42 pp., Cont.-in-part of U.S. Ser. No. 147,811, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6037472	A	20000314	US 1994-343158	19941122
CA 2175667	AA	19950511	CA 1994-2175667	19941103

ZA 9408691	A	19960503	ZA 1994-8691	19941103
CN 1134153	A	19961023	CN 1994-194001	19941103
CN 1044249	B	19990721		
HU 74730	A2	19970228	HU 1996-1154	19941103
AT 155471	E	19970815	AT 1994-932023	19941103
ES 2105783	T3	19971016	ES 1994-932023	19941103
CZ 287642	B6	20010117	CZ 1996-1260	19941103
WO 9616027	A1	19960530	WO 1995-US15530	19951121

W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9642897	A1	19960617	AU 1996-42897	19951121
AU 705439	B2	19990520		
EP 793643	A1	19970910	EP 1995-941493	19951121

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE

BR 9509802	A	19970930	BR 1995-9802	19951121
CN 1173170	A	19980211	CN 1995-197409	19951121
HU 77533	A2	19980528	HU 1997-1970	19951121
JP 10509719	T2	19980922	JP 1996-517109	19951121
RU 2163232	C2	20010220	RU 1997-110062	19951121
PL 182639	B1	20020228	PL 1995-321024	19951121
ZA 9509948	A	19970522	ZA 1995-9948	19951122
FI 9702160	A	19970522	FI 1997-2160	19970521
NO 9702307	A	19970722	NO 1997-2307	19970521

PRIORITY APPLN. INFO.:

US 1993-147811	B2	19931104
US 1994-343158	A	19941122
WO 1995-US15530	W	19951121

OTHER SOURCE(S): MARPAT 132:208142

AB Peptides R1CH2CH(XR2)CONHCHR3CONH(CH2)pR7 [R1 = SH, AcS, CO2H, hydroxycarbamoyl, N-hydroxyformamide, alkoxycarbonyl, aryloxy carbonyl, aralkoxycarbonyl, benzyloxy carbamoyl, or P(O)(OH)CH2SR6, where R6 = aryl, pyridyl, or thiazolyl; R2 = biphenyl; R3 = alkyl, cycloalkyl, aralkyl, alkylpyridyl, or alkylthiazolyl; R7 = 4-pyridyl or optionally substituted phenyl; p = 0; X = (CH2)mY(CH2)n, where Y = O, S, or single bond, m, n = 0-4 (m + n = 0-4)] and their pharmaceutically acceptable salts were prepd. The peptides inhibit matrix metalloproteases such as stromelysin, gelatinase, matrilysin and collagenase and are useful in the treatment of mammals having disease states alleviated by the inhibition of such matrix metalloproteases. Thus, N-[2R-[(tert-butoxycarbonyl)methyl]-5-(4-biphenyl)pentanoyl]-D(or L)-.beta.-hydroxyvaline-N'-phenylcarboxamide was prepd. via coupling of DL-.beta.-hydroxyvaline-N'-phenylcarboxamide with the substituted pentanoic acid, with sepn. of the diastereomers by radial chromatog.

IC ICM C07D213-72
ICS C07D417-12

NCL 546269700

CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 7

IT 1709-52-0P	25044-10-4P	112106-16-8P	112245-04-2P	156109-64-7P
168681-96-7P	169322-14-9P	169322-17-2P	169322-24-1P	169322-26-3P
169322-27-4P	169322-28-5P	169322-30-9P	169322-31-0P	169322-32-1P
169322-33-2P	169322-34-3P	169322-35-4P	169322-36-5P	179533-47-2P
179533-48-3P	179533-49-4P	179533-50-7P	179533-51-8P	
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 179797-63-8P

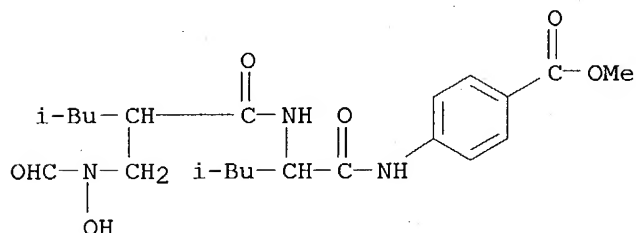
RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of peptides as matrix metalloprotease inhibitors)

IT **179533-50-7P 179534-15-7P**

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of peptides as matrix metalloprotease inhibitors)

RN 179533-50-7 HCAPLUS

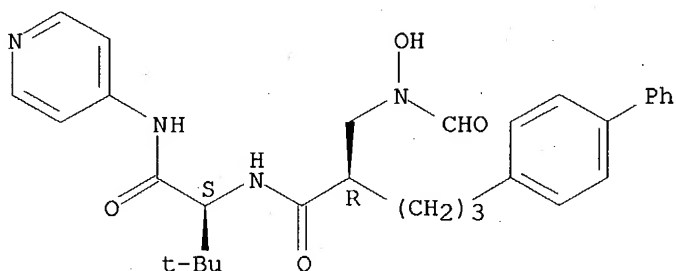
CN Benzoic acid, 4-[[2-[[2-[(formylhydroxyamino)methyl]-4-methyl-1-oxopentyl]amino]-4-methyl-1-oxopentyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 179534-15-7 HCAPLUS

CN L-Valinamide, (2R)-2-(3-[1,1'-biphenyl]-4-ylpropyl)-N-formyl-N-hydroxy-.beta.-alanine-3-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

73

THERE ARE 73 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 20 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:146885 HCAPLUS

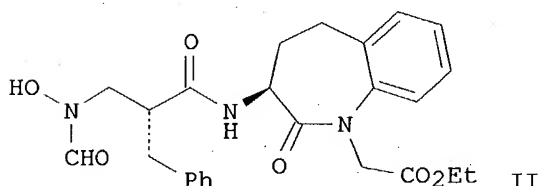
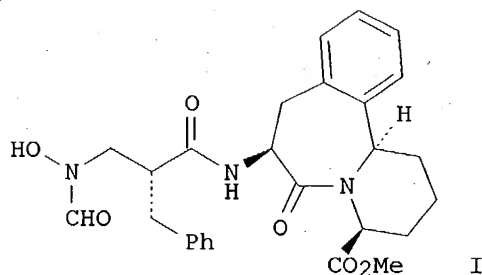
DOCUMENT NUMBER: 132:347877

TITLE: N-formyl hydroxylamine containing dipeptides:

generation of a new class of vasopeptidase inhibitors

AUTHOR(S): Robl, Jeffrey A.; Simpkins, Ligaya M.; Asaad, Magdi M.

CORPORATE SOURCE: Bristol-Myers Squibb Pharmaceutical Research
Institute, Princeton, NJ, 08543-5400, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000),
10(3), 257-260
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB Four primary zinc-binding pharmacophores (thiols, carboxylates, phosphorus acids, and hydroxamates) were used to generate inhibitors of Zn metalloproteases such as ACE, NEP, the MMPs, and ECE. Although compds. which inhibit the activity of both ACE and NEP (vasopeptidase inhibitors, VPIs) have been reported which incorporate a thiol, carboxylate, or phosphorus acid pharmacophore, the generation of hydroxamate based vasopeptidase inhibitors has remained elusive. The first potent vasopeptidase inhibitors, which were generated from the incorporation of conformationally restricted dipeptide mimetics to an N-formyl hydroxylamine Zn-binding group, have been prepd. Compds. such as the aminobenzopyridoazepincarboxylate I and the aminobenzazepincarboxylate II are among the most potent in this series, exhibiting in vitro activity comparable to other classes of inhibitors.

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1, 27, 28

IT 197902-84-4P 197902-85-5P 269396-29-4P **269396-31-8P**
269396-33-0P 269396-34-1P 269408-26-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of vasopeptidase-inhibiting, heterocyclic, conformationally-restricted dipeptide mimetics contg. N-formylhydroxylamine amino acids)

IT **269396-31-8P**

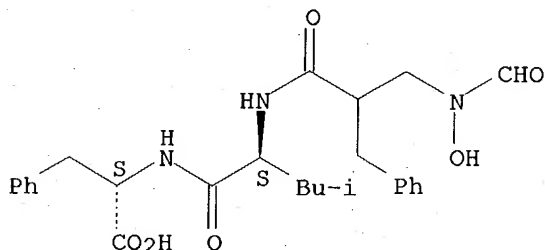
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of vasopeptidase-inhibiting, heterocyclic, conformationally-restricted dipeptide mimetics contg. N-formylhydroxylamine amino acids)

RN 269396-31-8 HCAPLUS

CN L-Phenylalanine, N-formyl-N-hydroxy-2-(phenylmethyl)-.beta.-alanyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 12 OF 20 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:84604 HCAPLUS

DOCUMENT NUMBER: 132:141951

TITLE: Pharmaceutical compositions containing ACAT and MMP inhibitors for the treatment of atherosclerotic lesions

INVENTOR(S): Bocan, Thomas Michael Andrew

PATENT ASSIGNEE(S): Warner-Lambert Company, USA

SOURCE: PCT Int. Appl., 222 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000004892	A2	20000203	WO 1999-US13948	19990618
WO 2000004892	A3	20000518		
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2335062	AA	20000203	CA 1999-2335062	19990618
AU 9947017	A1	20000214	AU 1999-47017	19990618
BR 9912296	A	20010417	BR 1999-12296	19990618
EP 1098662	A2	20010516	EP 1999-930483	19990618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EE 200100046	A	20020617	EE 2001-46	19990618
JP 2002521328	T2	20020716	JP 2000-560885	19990618
BG 105162	A	20011231	BG 2001-105162	20010117
NO 2001000291	A	20010118	NO 2001-291	20010118

PRIORITY APPLN. INFO.:

US 1998-93639P P 19980721
WO 1999-US13948 W 19990618

AB Acyl-CoA:cholesterol acyltransferase (ACAT) and matrix metalloproteinase (MMP) inhibitors are coadministered for the redn. of both the macrophage and smooth muscle cell component of atherosclerotic lesions, thus impairing the expansion of existing lesions and the development of new lesions and for the prevention of plaque rupture and the promotion of lesion regression in a mammal. The direct antiatherosclerotic potential of the combination of ACAT inhibitor, [[2,4,6-tris-(1-methyl)phenyl]acetyl]-2,6-bis(1-methylethyl)phenyl sulfamic acid, and the HMG-CoA reductase inhibitor, simvastatin, in rabbits was studied. A tablet contained 2-(4'-bromobiphenyl-4-sulfonylamino)-3-Me butyric acid 25 ACAT compd. lactose 50, corn starch 20, and magnesium stearate 5 mg.

IC ICM A61K031-00

CC 63-6 (Pharmaceuticals)

Section cross-reference(s): 1

IT	256644-29-8	256644-30-1	256644-31-2	256644-32-3	256644-33-4
	256644-34-5	256644-35-6	256644-36-7	256644-37-8	256644-38-9
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256646-66-9	256646-68-1	256646-69-2		

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceutical compns. contg. ACAT and MMP inhibitors for treatment of atherosclerotic lesions)

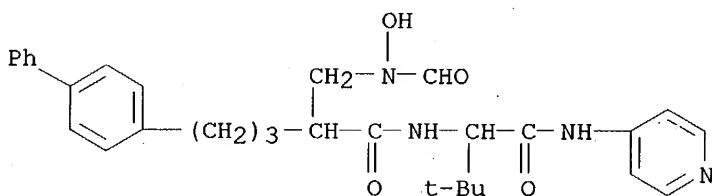
IT **256645-06-4 256645-41-7**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceutical compns. contg. ACAT and MMP inhibitors for treatment of atherosclerotic lesions)

RN 256645-06-4 HCAPLUS

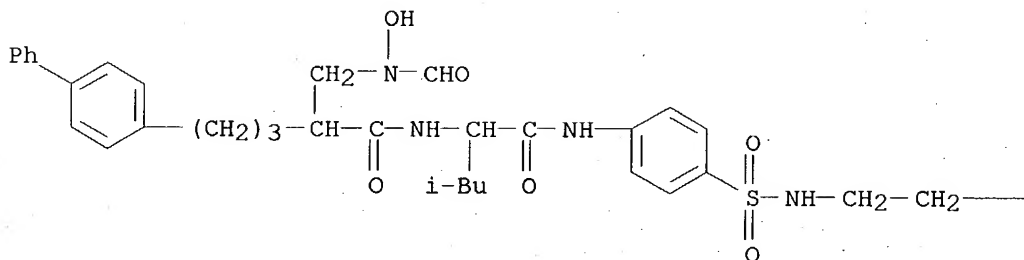
CN Valinamide, 2-(3-[1,1'-biphenyl]-4-ylpropyl)-N-formyl-N-hydroxy-.beta.-alanyl-3-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)



RN 256645-41-7 HCAPLUS

CN Leucinamide, 2-(3-[1,1'-biphenyl]-4-ylpropyl)-N-formyl-N-hydroxy-.beta.-alanyl-N-[4-[[[2-(dimethylamino)ethyl]amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



—NMe2

L8 ANSWER 13 OF 20 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:511017 HCAPLUS

DOCUMENT NUMBER: 131:144852

TITLE: Preparation of N-formylhydroxylamine-containing
peptidyl compounds as antibacterial agentsINVENTOR(S): Hunter, Michael George; Beckett, Raymond Paul;
Clements, John Martin; Whittaker, Mark; Davies,
Stephen John; Pratt, Lisa Marie; Spavold, Zoe Marie;
Launchbury, Steven

PATENT ASSIGNEE(S): British Biotech Pharmaceuticals Limited, UK

SOURCE: PCT Int. Appl., 136 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9939704	A1	19990812	WO 1999-GB386	19990205
W: AU, BR, CA, CN, CZ, GB, HU, IL, JP, KR, MX, NO, NZ, PL, RU, SG, SK, TR, UA, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2320476	AA	19990812	CA 1999-2320476	19990205
AU 9925292	A1	19990823	AU 1999-25292	19990205
AU 749699	B2	20020704		
BR 9907689	A	20001114	BR 1999-7689	19990205
GB 2349884	A1	20001115	GB 2000-16855	19990205
EP 1052984	A1	20001122	EP 1999-904977	19990205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002502815	T2	20020129	JP 2000-530203	19990205
NZ 505675	A	20021126	NZ 1999-505675	19990205
ZA 9902045	A	20001011	ZA 1999-2045	19990312
US 6423690	B1	20020723	US 2000-355489	20000107
NO 2000003969	A	20000928	NO 2000-3969	20000804
US 2002165167	A1	20021107	US 2002-134754	20020430
PRIORITY APPLN. INFO.:			GB 1998-2549	A 19980207
			GB 1998-6300	A 19980324
			GB 1998-10463	A 19980516
			GB 1998-28318	A 19981222
			WO 1999-GB386	W 19990205
			US 2000-355489	A3 20000107

OTHER SOURCE(S): MARPAT 131:144852

AB Title compds. OCHN(OH)CHR1CHR2COA [R1 = H, alkyl, haloalkyl; R2 =

R10(X)n(ALK)m-, where R10 = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, or heterocyclyl; ALK = alkylene, alkenylene, alkynylene which may be interrupted by one or more nonadjacent NH, O, or S linkages; X = NH, O, S; m, n = 0 or 1; A = NR3CHR4CONR5R6, NR3CHR4CO2H, NR3CHR4CH2OR7, or NR5R6, where R3 = H, R4 is a side chain of natural or non-natural .alpha.-amino acid or R3 and R4 form a ring; R5, R6 = H, (un)substituted alkyl, cycloalkyl, aryl, arylalkyl, heterocyclyl, heterocyclylalkyl or R5 and R6 form a ring; R7 = H, alkyl, acyl] were prepd. as antibacterial agents. Thus, 2R(or S)-[(formylhydroxyamino)methyl]hexanoic acid (2,2-dimethyl-1S-methylcarbamoylpropyl)amide, prepd. via reactions of butylmalonic acid and tert-leucine N-methylamide, showed min. inhibitory concn. 12.5 .mu.M against E coli DH5.alpha., vs. 25 .mu.M for carbenicillin.

IC ICM A61K031-16

ICS A61K031-535; A61K031-165; A61K031-44; A61K031-40; A61K031-195; A61K031-47; A61K031-495; A61K031-445; A61K031-00

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 10

IT 235784-75-5P 235784-76-6P 235784-77-7P

235784-79-9P 235784-80-2P 235784-81-3P 235784-82-4P

235784-83-5P 235784-84-6P 235784-85-7P

235784-86-8P 235784-87-9P 235784-88-0P

235784-89-1P 235784-90-4P 235784-91-5P

235784-93-7P 235784-94-8P 235784-95-9P

235784-96-0P 235784-97-1P 235784-98-2P

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235785-03-2P 235785-04-3P 235785-05-4P 235785-06-5P

235785-07-6P 235785-08-7P 235785-09-8P

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235785-38-3P 235785-40-7P 235785-42-9P 235785-45-2P

235785-46-3P 235785-48-5P 235785-50-9P

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235785-66-7P 235785-67-8P 235785-68-9P

235785-69-0P 235785-70-3P 235785-72-5P

235785-73-6P 235785-74-7P 235785-75-8P

235785-76-9P 235785-77-0P 235785-78-1P 235785-79-2P

235785-80-5P 235785-82-7P 235785-83-8P

235785-84-9P 235785-85-0P 235785-86-1P

235785-87-2P 235785-88-3P 235785-89-4P 235785-90-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-formylhydroxylamine-contg. peptidyl compds. as antibacterial agents)

IT 235784-75-5P 235784-76-6P 235784-79-9P

235784-82-4P 235784-83-5P 235784-84-6P

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235784-89-1P 235784-90-4P 235784-91-5P

235784-93-7P 235784-94-8P 235784-96-0P

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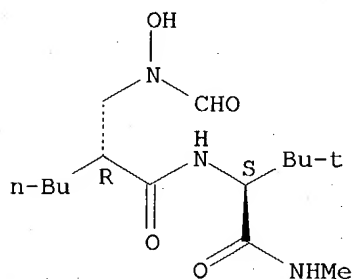
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 235785-76-9P 235785-79-2P 235785-80-5P
 235785-82-7P 235785-83-8P 235785-84-9P
 235785-85-0P 235785-86-1P 235785-88-3P
 235785-89-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of N-formylhydroxylamine-contg. peptidyl compds. as antibacterial agents)

RN 235784-75-5 HCAPLUS

CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,3-dimethyl-(9CI) (CA INDEX NAME)

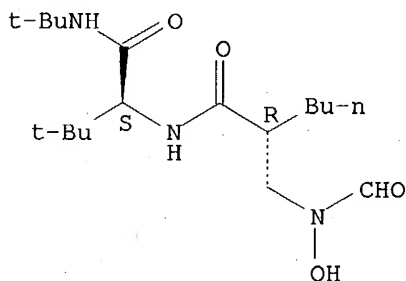
Absolute stereochemistry.



RN 235784-76-6 HCAPLUS

CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(1,1-dimethylethyl)-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

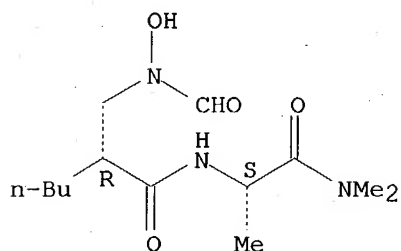


RN 235784-79-9 HCAPLUS

CN L-Alaninamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl-

(9CI) (CA INDEX NAME)

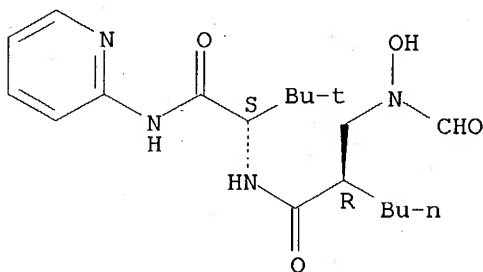
Absolute stereochemistry.



RN 235784-82-4 HCAPLUS

CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-3-methyl-N-2-pyridinyl- (9CI) (CA INDEX NAME)

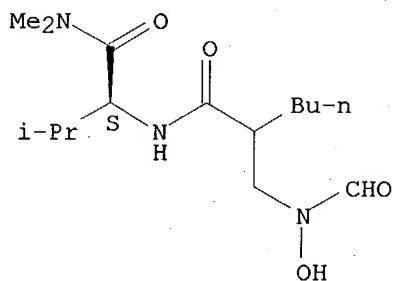
Absolute stereochemistry.



RN 235784-83-5 HCAPLUS

CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

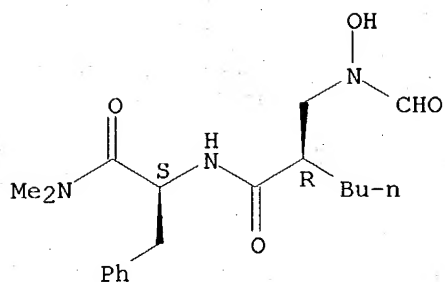
Absolute stereochemistry.



RN 235784-84-6 HCAPLUS

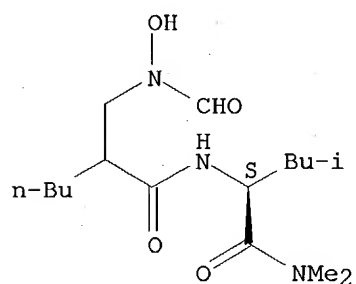
CN L-Phenylalaninamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



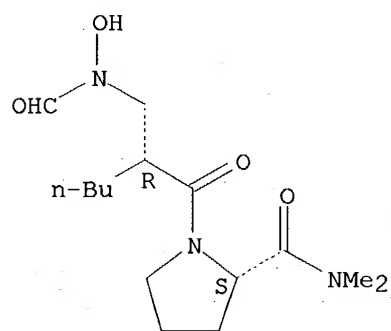
RN 235784-85-7 HCAPLUS
 CN L-Leucinamide, 2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



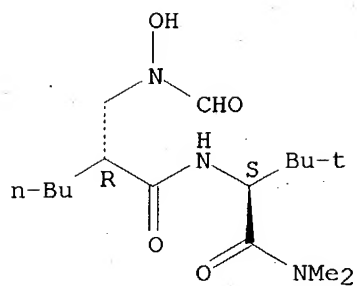
RN 235784-87-9 HCAPLUS
 CN L-Prolineamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 235784-88-0 HCAPLUS
 CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N,3-
 trimethyl- (9CI) (CA INDEX NAME)

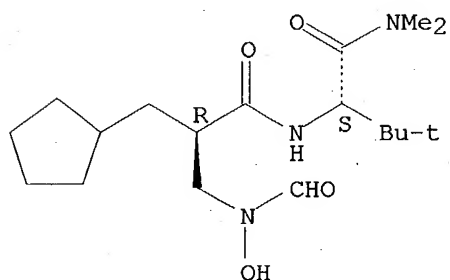
Absolute stereochemistry.



RN 235784-89-1 HCAPLUS

CN L-Valinamide, (2R)-2-(cyclopentylmethyl)-N-formyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

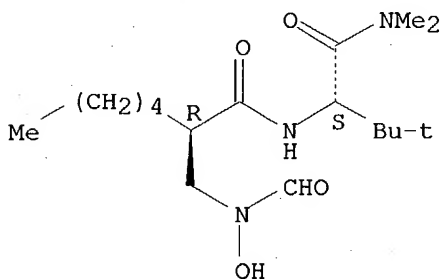
Absolute stereochemistry.



RN 235784-90-4 HCAPLUS

CN L-Valinamide, (2R)-N-formyl-N-hydroxy-2-pentyl-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

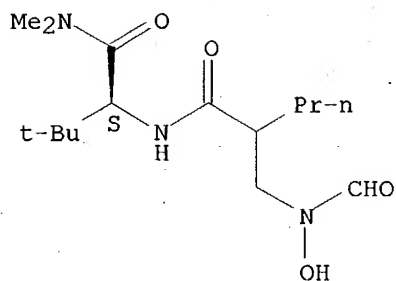
Absolute stereochemistry.



RN 235784-91-5 HCAPLUS

CN L-Valinamide, N-formyl-N-hydroxy-2-propyl-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

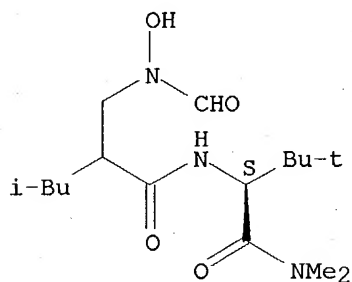
Absolute stereochemistry.



RN 235784-93-7 HCAPLUS

CN L-Valinamide, N-formyl-N-hydroxy-2-(2-methylpropyl)-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

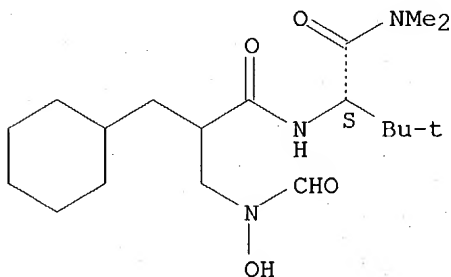
Absolute stereochemistry.



RN 235784-94-8 HCAPLUS

CN L-Valinamide, 2-(cyclohexylmethyl)-N-formyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

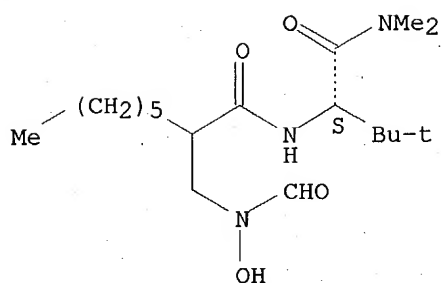
Absolute stereochemistry.



RN 235784-96-0 HCAPLUS

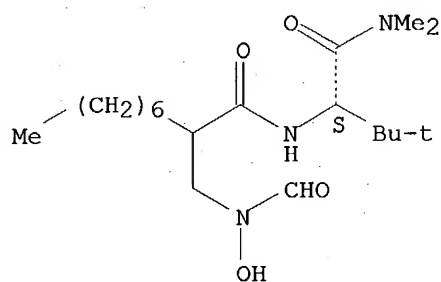
CN L-Valinamide, N-formyl-2-hexyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



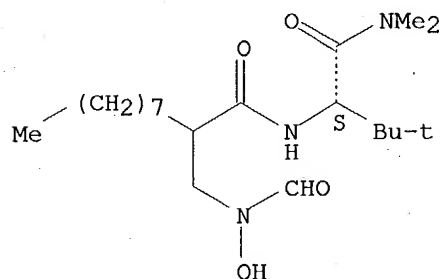
RN 235784-97-1 HCAPLUS
 CN L-Valinamide, N-formyl-2-heptyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



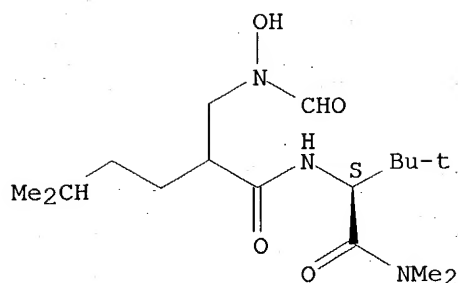
RN 235784-98-2 HCAPLUS
 CN L-Valinamide, N-formyl-N-hydroxy-2-octyl-.beta.-alanyl-N,N,3-trimethyl-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 235784-99-3 HCAPLUS
 CN L-Valinamide, N-formyl-N-hydroxy-2-(3-methylbutyl)-.beta.-alanyl-N,N,3-
 trimethyl- (9CI) (CA INDEX NAME)

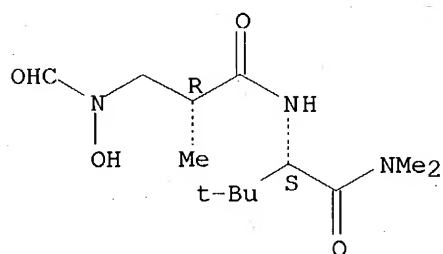
Absolute stereochemistry.



RN 235785-00-9 HCAPLUS

CN L-Valinamide, (2R)-N-formyl-N-hydroxy-2-methyl-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

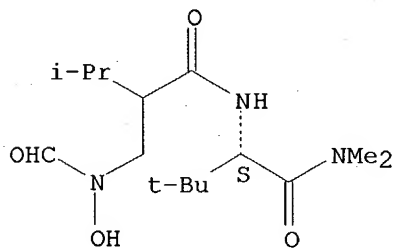
Absolute stereochemistry.



RN 235785-02-1 HCAPLUS

CN L-Valinamide, N-formyl-N-hydroxy-2-(1-methylethyl)-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

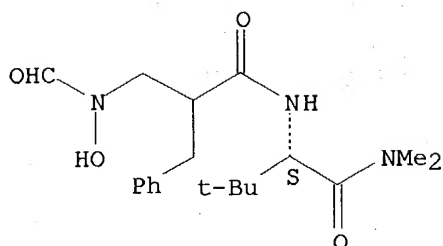
Absolute stereochemistry.



RN 235785-03-2 HCAPLUS

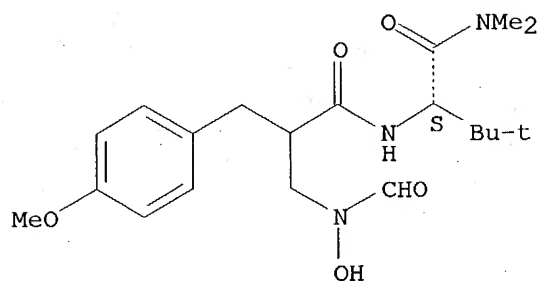
CN L-Valinamide, N-formyl-N-hydroxy-2-(phenylmethyl)-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



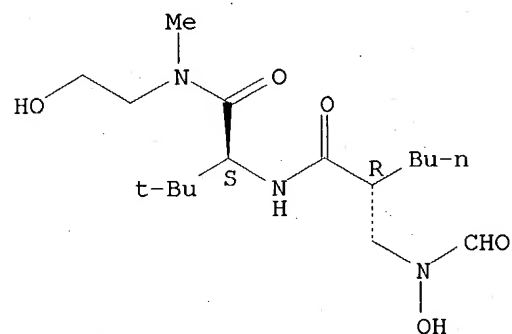
RN 235785-04-3 HCAPLUS
 CN L-Valinamide, N-formyl-N-hydroxy-2-[(4-methoxyphenyl)methyl]-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



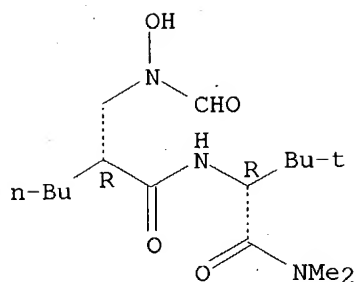
RN 235785-08-7 HCAPLUS
 CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-(2-hydroxyethyl)-N,3-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



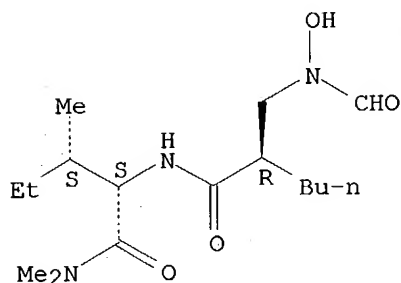
RN 235785-09-8 HCAPLUS
 CN D-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



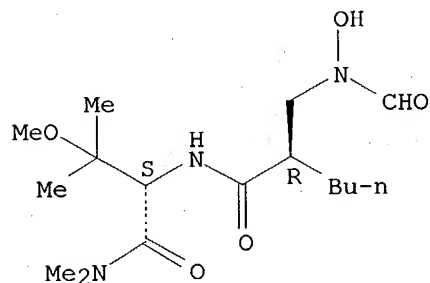
RN 235785-10-1 HCAPLUS
 CN L-Isoleucinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



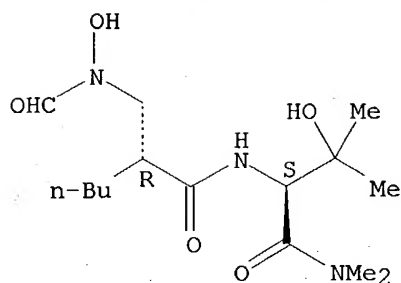
RN 235785-11-2 HCAPLUS
 CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-3-methoxy-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



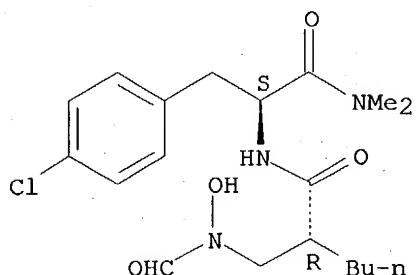
RN 235785-12-3 HCAPLUS
 CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-3-hydroxy-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



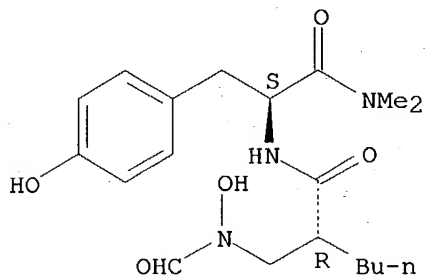
RN 235785-14-5 HCAPLUS
 CN L-Phenylalaninamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-4-chloro-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



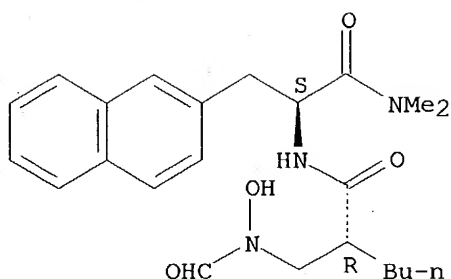
RN 235785-15-6 HCAPLUS
 CN L-Tyrosinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



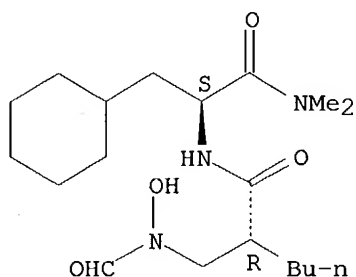
RN 235785-16-7 HCAPLUS
 CN L-Alaninamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl-3-(2-naphthalenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



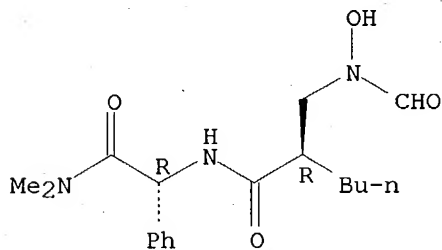
RN 235785-17-8 HCAPLUS
 CN L-Alaninamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-3-cyclohexyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



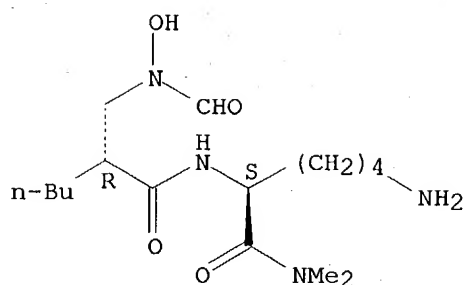
RN 235785-18-9 HCAPLUS
 CN Glycinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl-2-phenyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



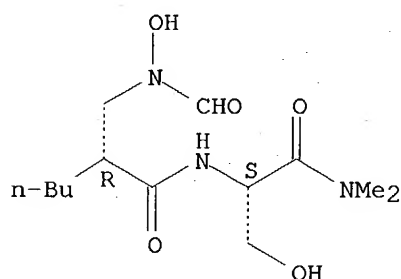
RN 235785-20-3 HCAPLUS
 CN L-Lysinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



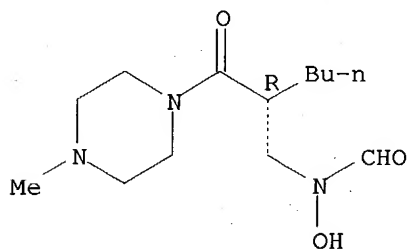
RN 235785-21-4 HCAPLUS
 CN L-Serinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



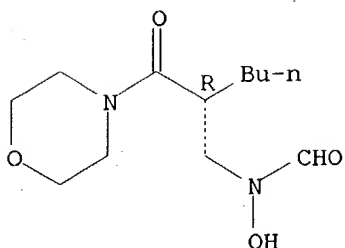
RN 235785-22-5 HCAPLUS
 CN Piperazine, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-4-methyl-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 235785-24-7 HCAPLUS
 CN Morpholine, 4-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]- (9CI) (CA
 INDEX NAME)

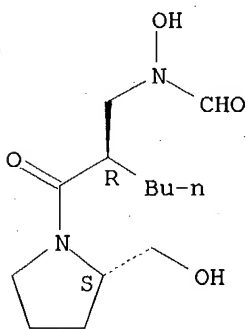
Absolute stereochemistry.



RN 235785-25-8 HCAPLUS

CN 2-Pyrrolidinemethanol, 1-[(2R)-2-[(formylhydroxyamino)methyl]-1-oxohexyl]-, (2S)- (9CI) (CA INDEX NAME)

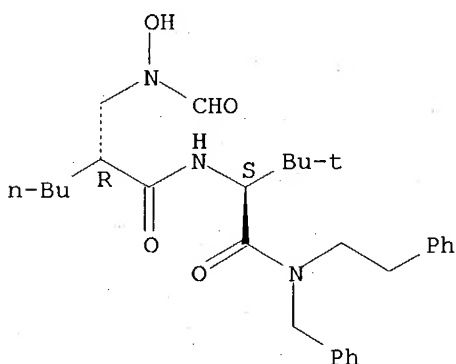
Absolute stereochemistry.



RN 235785-29-2 HCAPLUS

CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-3-methyl-N-(2-phenylethyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

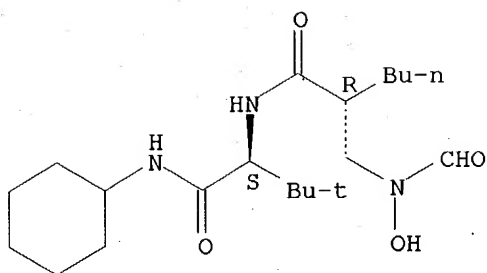
Absolute stereochemistry.



RN 235785-35-0 HCAPLUS

CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N-cyclohexyl-3-methyl- (9CI) (CA INDEX NAME)

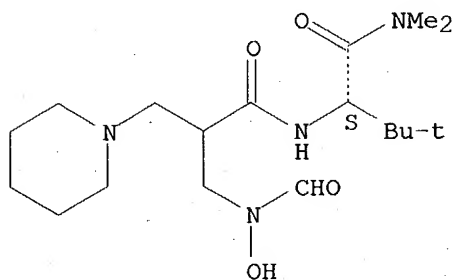
Absolute stereochemistry.



RN 235785-46-3 HCAPLUS

CN 1-Piperidinepropanamide, N-[(1S)-1-[(dimethylamino)carbonyl]-2,2-dimethylpropyl]-.alpha.-[(formylhydroxyamino)methyl]- (9CI) (CA INDEX NAME)

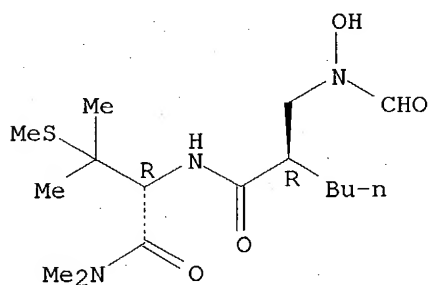
Absolute stereochemistry.



RN 235785-48-5 HCAPLUS

CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl-3-(methylthio)- (9CI) (CA INDEX NAME)

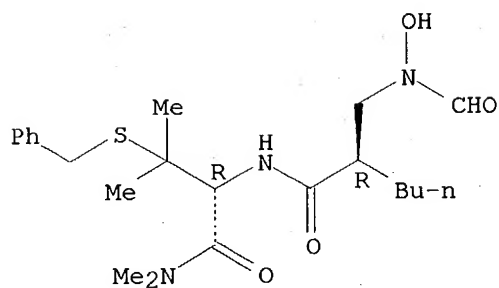
Absolute stereochemistry.



RN 235785-50-9 HCAPLUS

CN L-Valinamide, (2R)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl-3-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)

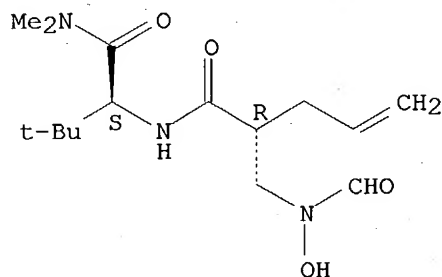
Absolute stereochemistry.



RN 235785-56-5 HCAPLUS

CN L-Valinamide, (2R)-N-formyl-N-hydroxy-2-(2-propenyl)-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

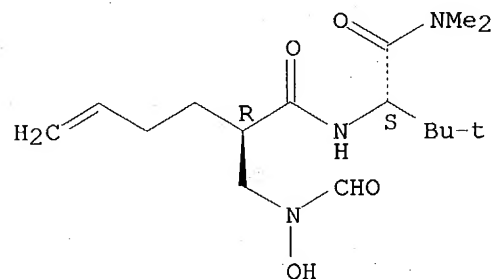
Absolute stereochemistry.



RN 235785-58-7 HCAPLUS

CN L-Valinamide, (2R)-2-(3-butenyl)-N-formyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

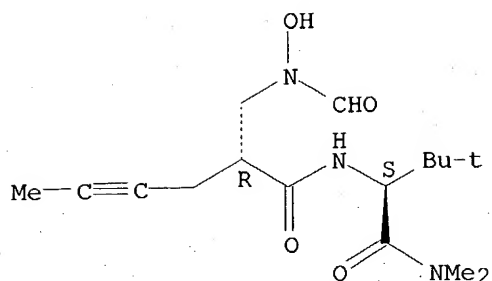
Absolute stereochemistry.



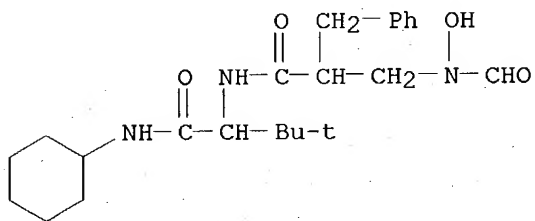
RN 235785-60-1 HCAPLUS

CN L-Valinamide, (2R)-2-(2-butynyl)-N-formyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

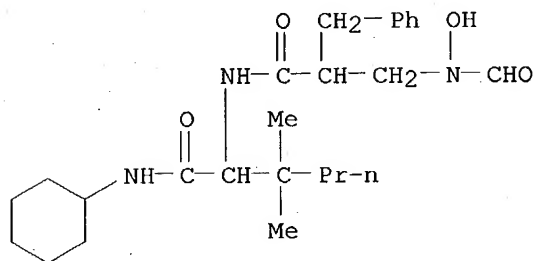
Absolute stereochemistry.



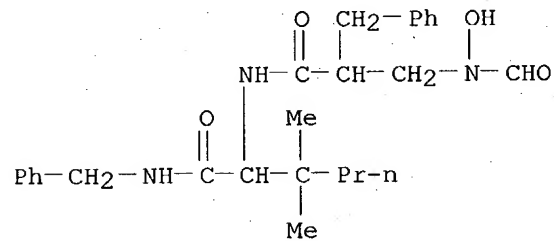
RN 235785-64-5 HCAPLUS
 CN Valinamide, N-formyl-N-hydroxy-2-(phenylmethyl)-.beta.-alanyl-N-cyclohexyl-3-methyl- (9CI) (CA INDEX NAME)



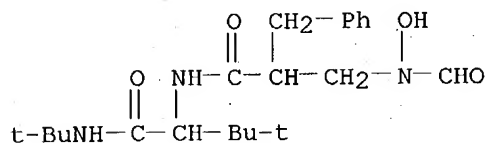
RN 235785-66-7 HCAPLUS
 CN Norleucinamide, N-formyl-N-hydroxy-2-(phenylmethyl)-.beta.-alanyl-N-cyclohexyl-3,3-dimethyl- (9CI) (CA INDEX NAME)



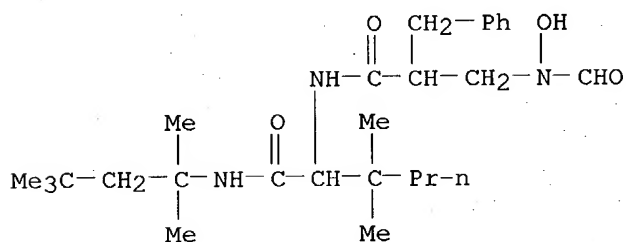
RN 235785-67-8 HCAPLUS
 CN Norleucinamide, N-formyl-N-hydroxy-2-(phenylmethyl)-.beta.-alanyl-3,3-dimethyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



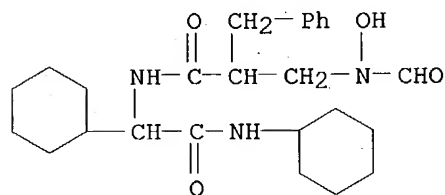
RN 235785-68-9 HCAPLUS
 CN Valinamide, N-formyl-N-hydroxy-2-(phenylmethyl)-.beta.-alanyl-N-(1,1-dimethylethyl)-3-methyl- (9CI) (CA INDEX NAME)



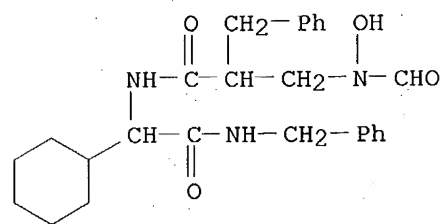
RN 235785-69-0 HCAPLUS
 CN Norleucinamide, N-formyl-N-hydroxy-2-(phenylmethyl)-.beta.-alanyl-3,3-dimethyl-N-(1,1,3,3-tetramethylbutyl)- (9CI) (CA INDEX NAME)



RN 235785-70-3 HCAPLUS
 CN Glycinamide, N-formyl-N-hydroxy-2-(phenylmethyl)-.beta.-alanyl-N,2-dicyclohexyl- (9CI) (CA INDEX NAME)

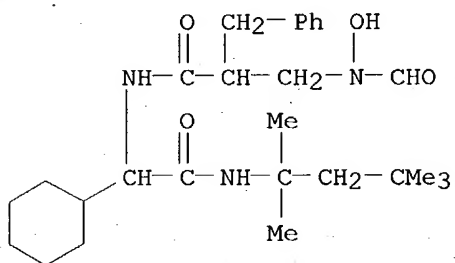


RN 235785-72-5 HCAPLUS
 CN Glycinamide, N-formyl-N-hydroxy-2-(phenylmethyl)-.beta.-alanyl-2-cyclohexyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 235785-73-6 HCAPLUS

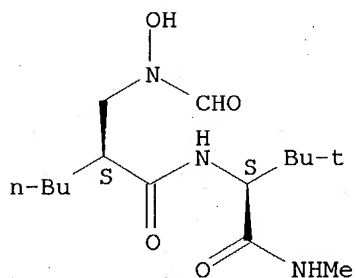
CN Glycinamide, N-formyl-N-hydroxy-2-(phenylmethyl)-.beta.-alanyl-2-cyclohexyl-N-(1,1,3,3-tetramethylbutyl)- (9CI) (CA INDEX NAME)



RN 235785-74-7 HCAPLUS

CN L-Valinamide, (2S)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,3-dimethyl- (9CI) (CA INDEX NAME)

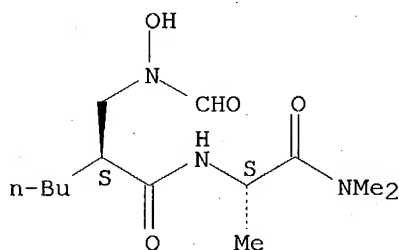
Absolute stereochemistry.



RN 235785-76-9 HCAPLUS

CN L-Alaninamide, (2S)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

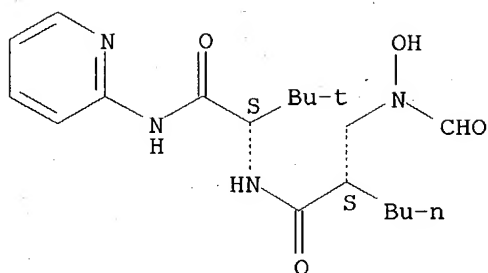
Absolute stereochemistry.



RN 235785-79-2 HCAPLUS

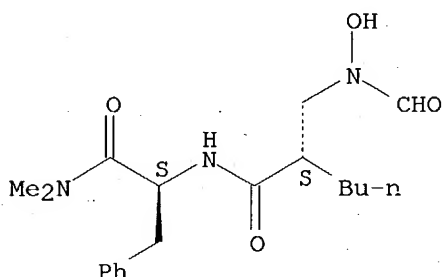
CN L-Valinamide, (2S)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-3-methyl-N-2-pyridinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



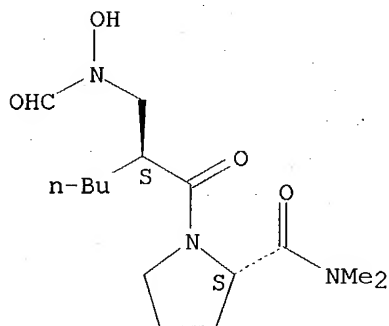
RN 235785-80-5 HCAPLUS
 CN L-Phenylalaninamide, (2S)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



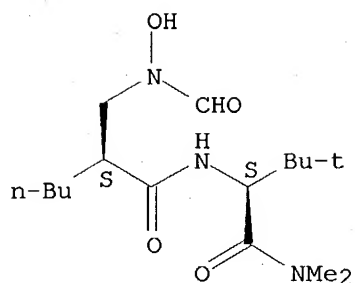
RN 235785-82-7 HCAPLUS
 CN L-Prolinamide, (2S)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



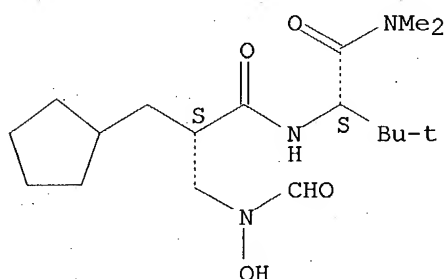
RN 235785-83-8 HCAPLUS
 CN L-Valinamide, (2S)-2-butyl-N-formyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



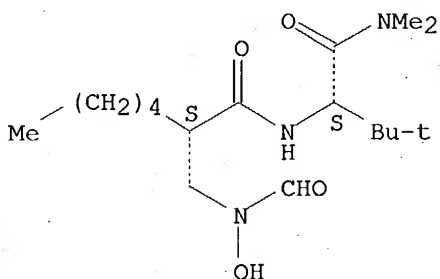
RN 235785-84-9 HCAPLUS
 CN L-Valinamide, (2S)-2-(cyclopentylmethyl)-N-formyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



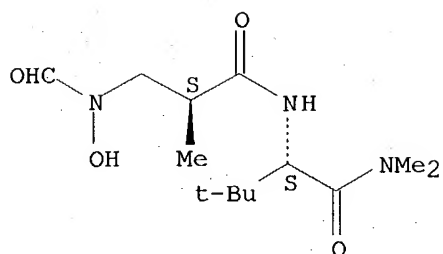
RN 235785-85-0 HCAPLUS
 CN L-Valinamide, (2S)-N-formyl-N-hydroxy-2-pentyl-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



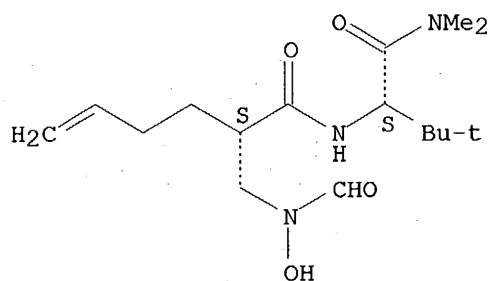
RN 235785-86-1 HCAPLUS
 CN L-Valinamide, (2S)-N-formyl-N-hydroxy-2-methyl-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



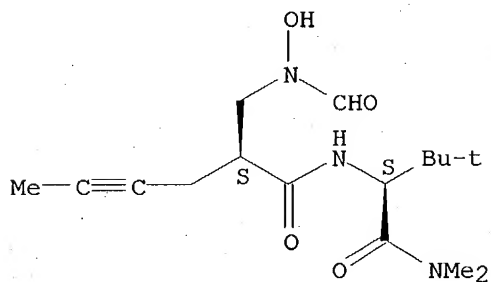
RN 235785-88-3 HCAPLUS
 CN L-Valinamide, (2S)-2-(3-butenyl)-N-formyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 235785-89-4 HCAPLUS
 CN L-Valinamide, (2S)-2-(2-butynyl)-N-formyl-N-hydroxy-.beta.-alanyl-N,N,3-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 14 OF 20 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:476742 HCAPLUS

DOCUMENT NUMBER: 125:143320

TITLE: Prepn. of peptides as matrix metalloprotease inhibitors

INVENTOR(S): Castelhano, Arlindo L.; Bender, Steven L.; Deal, Judith G.; Horne, Stephen; Liak, Teng J.; Yuan,

PATENT ASSIGNEE(S): Zhengyu
 Syntex (U.S.A.) Inc., USA; Agouron Pharmaceuticals,
 Inc.
 SOURCE: PCT Int. Appl., 152 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9616027	A1	19960530	WO 1995-US15530	19951121
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6037472	A	20000314	US 1994-343158	19941122
AU 9642897	A1	19960617	AU 1996-42897	19951121
AU 705439	B2	19990520		
EP 793643	A1	19970910	EP 1995-941493	19951121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
BR 9509802	A	19970930	BR 1995-9802	19951121
JP 10509719	T2	19980922	JP 1996-517109	19951121
RU 2163232	C2	20010220	RU 1997-110062	19951121
PL 182639	B1	20020228	PL 1995-321024	19951121
FI 9702160	A	19970522	FI 1997-2160	19970521
NO 9702307	A	19970722	NO 1997-2307	19970521
PRIORITY APPLN. INFO.:			US 1994-343158	A 19941122
			US 1993-147811	B2 19931104
			WO 1995-US15530	W 19951121
OTHER SOURCE(S):		MARPAT 125:143320		
AB Peptides R1CH2CH(XR2)CONHCHR3CONH(CH2)pR7 [R1 = SH, AcS, CO2H, etc.; R2 = alkyl, cycloalkyl, aryl, heterocycloalkyl, heteroaryl; R3 = alkyl, cycloalkyl, aralkyl, heteroaralkyl; R7 = aryl, heteroaryl, heterocycloalkyl; p = 0-4; X = (CH2)mY(CH2)n, where Y = O, S, or single bond, m, n = 0-4 (m + n = 0-4)] and their pharmaceutically acceptable salts were prep'd. The peptides inhibit matrix metalloproteases such as stromelysin, gelatinase, matrilysin and collagenase and are useful in the treatment of mammals having disease states alleviated by the inhibition of such matrix metalloproteases. Thus, N-[2R-[(tert-butoxycarbonyl)methyl]-5-(4-biphenyl)pentanoyl]-D(or L)-.beta.-hydroxyvaline-N'-phenylcarboxamide was prep'd. via coupling of DL-.beta.-hydroxyvaline-N'-phenylcarboxamide with the substituted pentanoic acid, with sepn. of the diastereomers by radial chromatog.				
IC ICM C07C259-06				
ICS C07C237-22; C07F009-60; C07C327-30; C07C323-60; C07D263-26; A61K031-16; A61K031-66				
CC 34-3 (Amino Acids, Peptides, and Proteins) Section cross-reference(s): 1, 7, 63				
IT 1709-52-0P 25044-10-4P 112106-16-8P 112245-04-2P 156109-64-7P				
168681-96-7P 169322-14-9P 169322-17-2P 169322-24-1P 169322-26-3P				
169322-27-4P 169322-28-5P 169322-30-9P 169322-31-0P 169322-32-1P				
169322-33-2P 169322-34-3P 169322-35-4P 169322-36-5P 179533-47-2P				
179533-48-3P 179533-49-4P 179533-50-7P 179533-51-8P				

179533-52-9P	179533-53-0P	179533-54-1P	179533-55-2P	179533-56-3P
179533-57-4P	179533-58-5P	179533-59-6P	179533-60-9P	179533-61-0P
179533-62-1P	179533-63-2P	179533-64-3P	179533-65-4P	179533-66-5P
179533-74-5P	179533-78-9P	179533-79-0P	179533-80-3P	179533-81-4P
179533-82-5P	179533-83-6P	179533-84-7P	179533-85-8P	179533-86-9P
179533-87-0P	179533-88-1P	179533-89-2P	179533-90-5P	179533-92-7P
179534-15-7P	179797-41-2P	179797-42-3P	179797-43-4P	
179797-44-5P	179797-46-7P	179797-47-8P	179797-48-9P	179797-49-0P
179797-50-3P	179797-51-4P	179797-52-5P	179797-53-6P	179797-54-7P
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179797-63-8P				

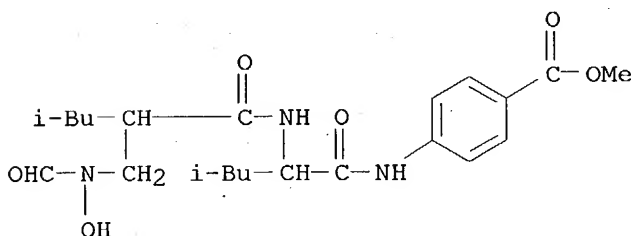
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of peptides as matrix metalloprotease inhibitors)

IT **179533-50-7P 179534-15-7P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of peptides as matrix metalloprotease inhibitors)

RN 179533-50-7 HCAPLUS

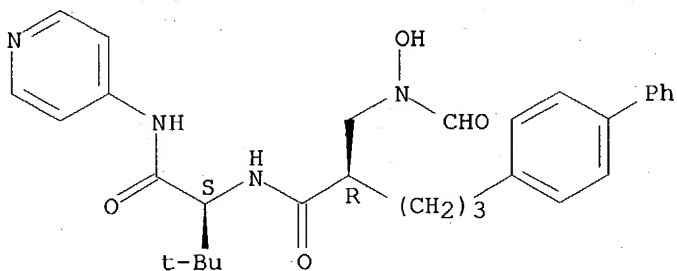
CN Benzoic acid, 4-[[2-[[2-[(formylhydroxyamino)methyl]-4-methyl-1-oxopentyl]amino]-4-methyl-1-oxopentyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



RN 179534-15-7 HCAPLUS

CN L-Valinamide, (2R)-2-(3-[1,1'-biphenyl]-4-ylpropyl)-N-formyl-N-hydroxy-.beta.-alanine-3-methyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 15 OF 20 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:175615 HCAPLUS

DOCUMENT NUMBER: 124:233142

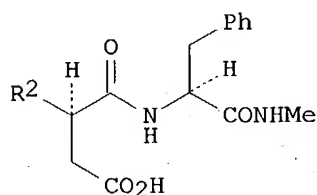
TITLE: Preparation of N-(2-carboxymethylalkanoyl) amino acid amides and analogs as metalloprotease inhibitors

INVENTOR(S): Miller, Andrew; Beckett, Paul Raymond; Martin, Fionna Mitchell; Whittaker, Mark

PATENT ASSIGNEE(S): British Biotech Pharmaceuticals Ltd., UK
 SOURCE: PCT Int. Appl., 64 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9532944	A1	19951207	WO 1995-GB1226	19950526
W: AU, BR, CA, CN, CZ, DE, FI, GB, HU, JP, KR, NO, NZ, PL, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9525722	A1	19951221	AU 1995-25722	19950526
EP 763012	A1	19970319	EP 1995-920160	19950526
EP 763012	B1	19990609		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, NL, PT, SE				
JP 10500986	T2	19980127	JP 1995-500504	19950526
AT 181055	E	19990615	AT 1995-920160	19950526
US 6028110	A	20000222	US 1996-737981	19961122
PRIORITY APPLN. INFO.:			GB 1994-10802	19940528
			GB 1995-3754	19950224
			WO 1995-GB1226	19950526

OTHER SOURCE(S): MARPAT 124:233142
 GI



AB R1CHRCHR2CONHCHR3CONR4R5 [R = CO₂H, N(OH)CHO, CONHOH; R1 = H, alkyl, Ph, heterocyclyl, etc.; R2 = (heteroatom interrupted) C13-24 hydrocarbon chain; R3 = amino acid side chain; R4 = H, (un)substituted alkyl; R5 = H or alkyl] were prepd. Thus, (R)-HO₂CCHR₂CH₂CO₂CMe₃ (R₂ = hexadecyl) was esterified by pentafluorophenol and the product amidated by L-H₂NCH(CH₂Ph)CONHMe to give, after deprotection, title compd. I (R₂ = hexadecyl) which had IC₅₀ of 30nM against gelatinase in vitro.

IC ICM C07C237-22

ICS C07C323-60; C07C259-06; A61K031-16

CC 34-2 (Amino Acids, Peptides, and Proteins)
 Section cross-reference(s): 1

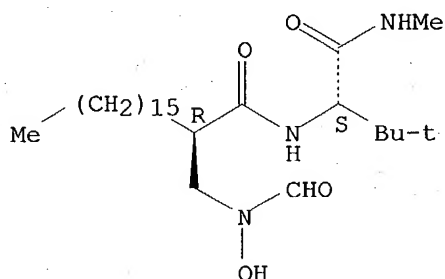
IT 174611-14-4P 174611-15-5P 174611-16-6P 174611-17-7P 174611-19-9P
 174611-21-3P 174611-22-4P 174611-23-5P 174611-24-6P 174611-25-7P
 174611-26-8P 174611-27-9P 174611-28-0P 174611-29-1P 174611-30-4P
 174611-31-5P 174611-32-6P 174611-33-7P 174611-34-8P 174611-35-9P
174611-36-0P 174611-37-1P 174611-38-2P 174691-79-3P
174691-80-6P 174691-82-8P 174691-83-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-(2-carboxymethylalkanoyl) amino acid amides and analog

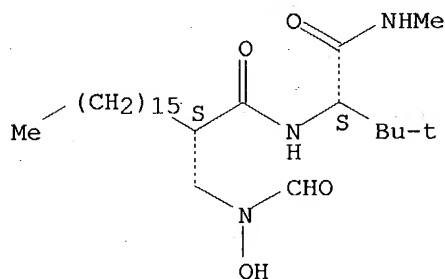
IT metalloprotease inhibitors)
 174611-36-0P 174691-80-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of N-(2-carboxymethylalkanoyl) amino acid amides and analogs as metalloprotease inhibitors)
 RN 174611-36-0 HCAPLUS
 CN Octadecanamide, N-[2,2-dimethyl-1-[(methylamino)carbonyl]propyl]-2-[(formylhydroxyamino)methyl]-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 174691-80-6 HCAPLUS
 CN Octadecanamide, N-[2,2-dimethyl-1-[(methylamino)carbonyl]propyl]-2-[(formylhydroxyamino)methyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

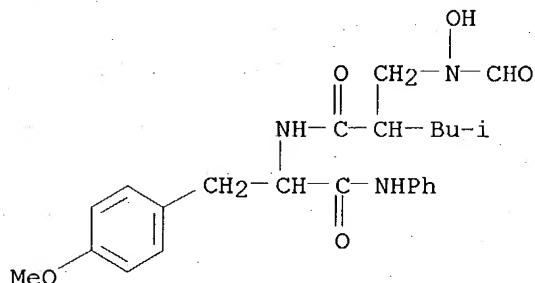
Absolute stereochemistry.



L8 ANSWER 16 OF 20 HCAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1995:19442 HCAPLUS
 DOCUMENT NUMBER: 122:230797
 TITLE: Inhibition of tumor necrosis factor (TNF) production
 INVENTOR(S): Crimmin, Michael John; Galloway, William Alan; Gearing, Andrew John Hubert
 PATENT ASSIGNEE(S): British Bio-Technology Ltd., UK
 SOURCE: PCT Int. Appl., 50 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9410990	A1	19940526	WO 1993-GB2331	19931112
W: AU, CA, DE, ES, FI, GB, JP, KR, NO, NZ, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9454301	A1	19940608	AU 1994-54301	19931112
EP 667770	A1	19950823	EP 1993-924754	19931112
EP 667770	B1	19970319		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08505605	T2	19960618	JP 1993-511862	19931112
AT 150300	E	19970415	AT 1993-924754	19931112
ES 2101358	T3	19970701	ES 1993-924754	19931112
US 5691382	A	19971125	US 1995-436190	19950512
PRIORITY APPLN. INFO.:			GB 1992-23904	19921113
			WO 1993-GB2331	19931112
AB	Certain hydroxamic acid derivs., previously known as inhibitors of matrix metalloproteinases (e.g. collagenase) are capable of inhibiting the prodn. of TNF by cells, and thus are useful in the management of diseases or conditions mediated by overprodn. of, or over-responsiveness to, TNF. The compds. in question are known in the art from the following patent publications: US 4599361, EP-A-0236872, EP-A-0274453, WO 90/05716, WO 90/05719, WO 91/02716, EP-A-0489577, EP-A-0489579, EP-A-0497192, WO 92/13831, WO 92/22523, WO 93/09090, and WO 93/09097. They have general formula CH(R1)(CONHOH)CH(R2)C(O)NHCH(R3)C(O)N(R4)(R5) or CH(R1)[N(OH)(CO)H]CH(R2)C(O)NHCH(R3)C(O)N(R4)(R5), in which substituents R1-R5 may vary widely according to the disclosures of those patent publications. Prevention of e.g. TNF release from phorbol myristate acetate-stimulated human monocytic cell line U937 by compds. of the invention is described.			
IC	ICM A61K031-16			
CC	1-12 (Pharmacology)			
IT	104408-38-0	104485-71-4	104485-72-5	108383-58-0
	130128-24-4	130128-25-5	130128-37-9	130128-40-4
	130194-41-1	130195-95-8	130370-59-1	130370-60-4
	130370-65-9	130370-66-0	130370-67-1	130370-71-7
	130370-73-9	130370-74-0	130370-76-2	130370-78-4
	135775-01-8	135775-04-1	144287-57-0	144287-59-2
	144287-61-6	144287-68-3	144287-69-4	144287-80-9
	145337-55-9	153491-08-8	153491-09-9	153491-10-2
	153491-12-4	153491-14-6	153491-15-7	153491-16-8
	153491-18-0	153491-21-5	153547-33-2	155832-36-3
	155832-43-2	155865-40-0	157518-67-7	157725-86-5
	157725-88-7	157725-89-8	157725-90-1	157725-91-2
	157725-93-4	157725-94-5	157725-95-6	157725-96-7
	157725-98-9	157725-99-0	157726-00-6	157726-01-7
	157726-03-9	157726-04-0	157726-05-1	157726-06-2
	157726-08-4	157726-09-5	157726-10-8	157726-11-9
	157726-13-1	157726-14-2	157726-15-3	157726-16-4
	157726-18-6	157726-19-7	157726-20-0	157726-21-1
	157726-22-2	157726-23-3	157726-24-4	157726-25-5
	157726-27-7	157726-28-8	157726-29-9	157726-30-2
	157726-32-4	157809-68-2	157809-69-3	157809-70-6
	157809-72-8	157809-73-9	157809-74-0	157809-75-1
	157809-76-2			
	157870-74-1			
	RL: BIOL (Biological study)			
	(TNF prodn. inhibition by)			
IT	157726-20-0			
	RL: BIOL (Biological study)			

(TNF prodn. inhibition by)
 RN 157726-20-0 HCAPLUS
 CN Benzenepropanamide, .alpha.-[[2-[(formylhydroxyamino)methyl]-4-methyl-1-oxopentyl]amino]-4-methoxy-N-phenyl- (9CI) (CA INDEX NAME)



L8 ANSWER 17 OF 20 HCAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1994:426909 HCAPLUS
 DOCUMENT NUMBER: 121:26909
 TITLE: Vasoactive peptide inhibition
 INVENTOR(S): Crimmin, Michael John; Bone, Elisabeth Ann; Wood, Lars Michael
 PATENT ASSIGNEE(S): British Bio-Technology Ltd., UK
 SOURCE: PCT Int. Appl., 15 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9407527	A2	19940414	WO 1993-GB2044	19931001
WO 9407527	A3	19940721		
W: AU, CA, FI, GB, JP, KR, NO, NZ, PT, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9348316	A1	19940426	AU 1993-48316	19931001
PRIORITY APPLN. INFO.:				
			GB 1992-20845	A 19921003
			WO 1993-GB2044	W 19931001

AB Certain known hydroxamic acid derivs. and their salts are useful as inhibitors of the conversion of big endothelin (I) to endothelin by a putative endothelin converting enzyme, and are useful in the management of diseases mediated by overprodn. of, over-responsiveness to, endothelin in mammals, e.g. hypertension. Thus, i.v. administration of 1mg [4-(N-hydroxyamino)-2R-isobutylsuccinyl]-L-phenylalanine/kg in rats 5 min before i.v. administration of I inhibited its activity by 62%.

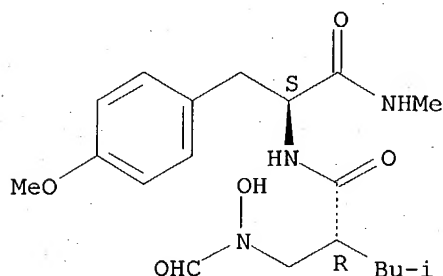
IC ICM A61K037-64
 CC 1-8 (Pharmacology)

IT 92175-57-0 104408-38-0 104485-71-4 108383-57-9 108383-58-0
 130128-25-5 132159-77-4 144287-54-7 144287-57-0 144287-58-1
 144287-61-6 144287-68-3 144287-74-1 144287-79-6 144287-80-9
 144287-81-0 155832-36-3 155832-37-4 155832-38-5
 155832-39-6 155832-40-9 155832-41-0 155832-42-1 155832-43-2
 155832-44-3 155832-45-4 155832-46-5 155865-40-0

RL: BIOL (Biological study)

(as inhibitor of big endothelin conversion to endothelin)
 IT 155832-37-4
 RL: BIOL (Biological study)
 (as inhibitor of big endothelin conversion to endothelin)
 RN 155832-37-4 HCAPLUS
 CN Benzenepropanamide, .alpha.-[[2-[(formylhydroxyamino)methyl]-4-methyl-1-oxopentyl]amino]-4-methoxy-N-methyl-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 18 OF 20 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1988:167973 HCAPLUS

DOCUMENT NUMBER: 108:167973

TITLE: Preparation of (hydroxylamino)acylpeptides as inhibitors of synovial collagenase

INVENTOR(S): Handa, Balraj Krishnan; Johnson, William Henry; Machin, Peter James

PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.

SOURCE: Eur. Pat. Appl., 24 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

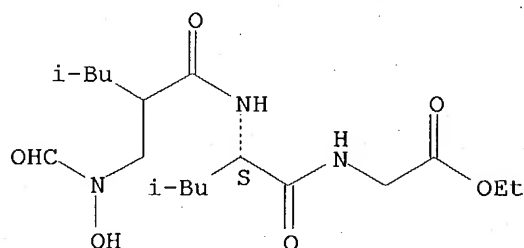
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 236872	A2	19870916	EP 1987-102771	19870226
EP 236872	A3	19890913		
EP 236872	B1	19921125		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
DK 8700774	A	19870912	DK 1987-774	19870216
AT 82753	E	19921215	AT 1987-102771	19870226
CA 1314655	A1	19930316	CA 1987-530988	19870303
ZA 8701563	A	19871028	ZA 1987-1563	19870304
IL 81790	A1	19910310	IL 1987-81790	19870305
AU 8769902	A1	19870917	AU 1987-69902	19870311
AU 588437	B2	19890914		
JP 62230757	A2	19871009	JP 1987-56412	19870311
JP 06029228	B4	19940420		
US 4996358	A	19910226	US 1989-336264	19890411

PRIORITY APPLN. INFO.:

GB 1986-5977	19860311
GB 1986-29712	19861212
US 1987-14957	19870217
EP 1987-102771	19870226

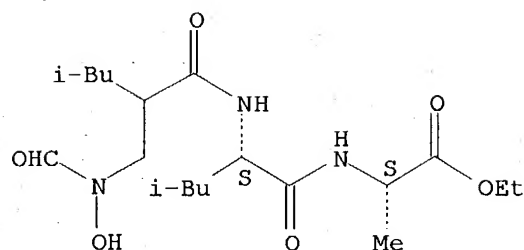
- AB ACHR3CHR1CONHCHR2CONR6CHR4R5 [I; A = HN(OH)CO, HCON(OH); R1 = alkyl; R2 = side chain of naturally-occurring amino acid, not H, Me; R2R4 = (CH₂)_n; R3 = H, amino, OH, SH, alkyl, alkoxy, alkylthio, arylalkyl, etc.; R4, R6 = H, Me; R5 = H, R4R5 = (CH₂)₃; alkyl, alkoxyalkyl, dialkoxymethylene, carboxy, acyl, carbamoyl; n = 4-11] and pharm. acceptable salts were prepd. for treatment of degenerative joint disease. [2(R)-Isobutylsuccinyl]-L-leucyl-L-alanine Et ester (prepn. given) in THF at -15.degree. was treated with iso-Bu chloroformate and N-ethylmorpholine followed by O-benzylhydroxylamine. The resulting benzyloxyamino deriv. was hydrogenolyzed in EtOH over 5% Pd/C to give 4-N-hydroxylaminol-2(R)-isobutylsuccinyl]-L-leucyl-L-alanine Et ester. The latter inhibited human synovial collagenase with an IC₅₀ of 8.5 .times. 10⁻⁹ M.
- IC ICM C07K005-06
ICS C07C103-50; A61K037-02; C07C103-76; A61K031-16
- CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1
- IT 112105-53-0P 112105-54-1P **112105-55-2P** 112105-56-3P
112105-57-4P 112105-58-5P 112105-59-6P 112105-60-9P 112105-61-0P
112105-62-1P 112105-63-2P 112105-64-3P 112105-65-4P 112105-66-5P
112105-67-6P 112105-68-7P 112105-69-8P 112105-70-1P 112105-71-2P
112105-72-3P 112105-73-4P 112105-74-5P 112105-75-6P 112105-76-7P
112105-77-8P 112105-78-9P 112105-79-0P 112105-80-3P 112105-81-4P
112105-82-5P **112105-83-6P** **112105-84-7P** 112135-79-2P
112245-01-9P 112245-02-0P 112245-03-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as collagenase inhibitor)
- IT **112105-55-2P** **112105-83-6P** **112105-84-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as collagenase inhibitor)
- RN 112105-55-2 HCAPLUS
- CN Glycine, N-[N-[2-[(formylhydroxyamino)methyl]-4-methyl-1-oxopentyl]-L-leucyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



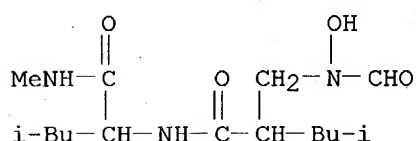
- RN 112105-83-6 HCAPLUS
- CN L-Alanine, N-[N-[2-[(formylhydroxyamino)methyl]-4-methyl-1-oxopentyl]-L-leucyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 112105-84-7 HCAPLUS

CN Pentanamide, 2-[(formylhydroxyamino)methyl]-4-methyl-N-[3-methyl-1-[(methylamino)carbonyl]butyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 19 OF 20 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1985:488204 HCAPLUS

DOCUMENT NUMBER: 103:88204

TITLE: New bidentates as full inhibitors of
enkephalin-degrading enzymes: synthesis and analgesic
propertiesAUTHOR(S): Fournie-Zaluski, Marie Claude; Coulaud, Annie;
Bouboutou, Romaine; Chaillet, Pierre; Devin, Jocelyne;
Waksman, Gilles; Costentin, Jean; Roques, Bernard P.
CORPORATE SOURCE: Dep. Chim. Org., U.E.R. Sci. Pharm. Biol., Paris,
75006, Fr.SOURCE: Journal of Medicinal Chemistry (1985), 28(9), 1158-69
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

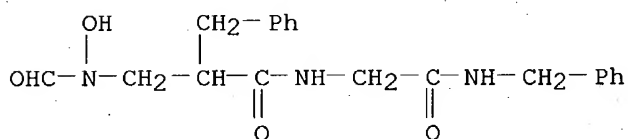
LANGUAGE: English

OTHER SOURCE(S): CASREACT 103:88204

AB Bidentate ligands (R,S)-HONHCOCH(CH₂Ph)CONHCH₂COR (R = OH, OMe, NHCH₂CH₂CHMe₂, NHCH₂Ph), (R,S)-HCON(OH)CH(CH₂Ph)CONHCH₂COR (R = OH, NHCH₂CH₂CHMe₂), (R,S)-HCON(OH)CH₂CH(CH₂Ph)CONHCH₂COR [R = OH (I), OMe, NHCH₂CH₂CHMe₂, NHCH₂Ph], and (R,S)-HONHCOCH₂CH(CH₂Ph)CO-X-R [X = Gly, R = OH (II), NHCH₂CH₂CHMe₂; X = L-Ala, R = OH (III)] were prepd. as inhibitors of in vitro metab. of enkephalins, ensured by three different metallopeptidases. I, II, and III behave as full inhibitors of the 3 enzymes, with IC₅₀ values in the nanomolar range for enkephalinase, from 0.3 .mu.M to 2 nM for dipeptidylaminopeptidase, and in the micromolar range for a biol. relevant aminopeptidase. Two diastereoisomers of the most active inhibitor III were sepd. by HPLC and their stereochem. assigned by 1H NMR spectroscopy. Both isomers were efficient as enkephalinase blockers, but only the RS isomer, designated kelatorphan, was able to strongly inhibit aminopeptidase and dipeptidylaminopeptidase. Intracerebroventricular injection in mice of these mixed inhibitors, esp. kelatorphan, led to naloxone reversible analgesic responses (hot-plate

test) that were slightly better than those produced by a mixt. of thiorphan and bestatin, two potent inhibitors of enkephalinase and aminopeptidase, resp. Kelatorphan was also more efficient in potentiating the analgesia induced by a subanalgesic dose of Met-enkephalin. All these results support a physiol. role in pain transmission for enkephalinase and possibly a synaptic aminopeptidase.

CC 34-3 (Amino Acids, Peptides, and Proteins)
 Section cross-reference(s): 1, 7
 IT 86962-93-8P 87438-04-8P 87438-06-0P 92175-55-8P 92175-56-9P
 92175-57-0P 92175-64-9P 96866-03-4P 96866-04-5P **96866-05-6P**
 96896-83-2P 96896-84-3P **96896-85-4P** 96896-86-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and enkephalinase-inhibiting activity of)
 IT **96866-05-6P 96896-85-4P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and enkephalinase-inhibiting activity of)
 RN 96866-05-6 HCAPLUS
 RN 96896-85-4 HCAPLUS
 CN Glycinamide, N-formyl-N-hydroxy-2-(phenylmethyl)-.beta.-alanyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



L8 ANSWER 20 OF 20 HCAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1983:558866 HCAPLUS
 DOCUMENT NUMBER: 99:158866
 TITLE: Amino acid derivatives and their therapeutic use
 INVENTOR(S): Roques, Bernard; Schwart, Jean Charles; Lecomte, Jeanne Marie
 PATENT ASSIGNEE(S): Fr.
 SOURCE: Eur. Pat. Appl., 105 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 82088	A1	19830622	EP 1982-402314	19821216
EP 82088	B1	19860402		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
FR 2518088	A1	19830617	FR 1981-23488	19811216
FR 2518088	B1	19871127		
JP 58150547	A2	19830907	JP 1982-221060	19821216
JP 03046463	B4	19910716		
AT 18902	E	19860415	AT 1982-402314	19821216
US 4618708	A	19861021	US 1985-715764	19850325
US 4738803	A	19880419	US 1986-900814	19860822
PRIORITY APPLN. INFO.:			FR 1981-23488	19811216
			US 1982-449687	19821214

EP 1982-402314
US 1985-71576419821216
19850325

OTHER SOURCE(S): CASREACT 99:158866

AB R-X-Y-Z-CHR1COR2 [R = phosphono, sulfo, amino, carbamoyl, alkyl; X = CH(CH2)nR3 (n = 0-2; R3 = H, (un)substituted alkyl, Ph, naphthyl, cyclohexyl, thienyl, etc.), C:CHR3; Y = CO, NH, CH2CO; Z = CO, NR4 (R4 = alkyl, R1R4 = a ring); R1 = H or (un)substituted alkyl or Ph; R2 = OH or (un)substituted alkyl, phenoxy, amino, etc.] were prepd. (101 compds. claimed). Thus, reaction of PhCH2CHBrCO2H with PhCH2ONH2, followed by formylation and coupling with glycine benzyl ester tosylate gave PhCH2ON(CHO)CH(CH2Ph)CO-Gly-OCH2Ph. The products are useful as enkephalinase inhibitors, analgesics, antidepressants, antidiuretics, and hypotensives. Thus, HON(CHO)CH2CH(CH2Ph)CO-Gly-NHCH2C6H4F-p was an effective analgesic, countering the effects of phenylbenzoquinone at 1 mg/kg i.v.

IC C07C103-48; C07C103-50; C07C103-52; C07C103-84; C07C103-76; C07C149-24; C07C147-14; C07D209-20; C07D295-08; C07C145-02; C07C143-53

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 63

IT 76932-18-8P 87428-94-2P 87429-01-4P 87437-85-2P 87437-87-4P
87437-88-5P 87437-89-6P 87437-90-9P 87437-91-0P 87437-92-1P
87437-93-2P 87437-95-4P 87437-96-5P 87437-97-6P 87437-99-8P
87438-01-5P 87438-04-8P 87438-06-0P 87438-11-7P 87438-13-9P
87438-14-0P 87438-15-1P 87438-18-4P 87438-20-8P
87438-22-0P 87438-27-5P 87438-29-7P 87438-31-1P 87438-36-6P
87438-38-8P 87438-40-2P **87438-42-4P 87438-43-5P**
87438-44-6P 87438-45-7P 87438-47-9P 87438-49-1P 87438-51-5P
87438-53-7P 87438-55-9P 87438-60-6P 87438-63-9P 87438-66-2P
87438-69-5P 87438-72-0P 87438-74-2P 87438-79-7P 87438-88-8P
87454-28-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

IT **87438-41-3P**

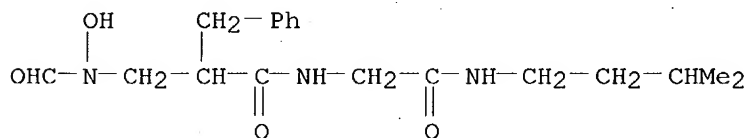
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn., hydrogenolysis, and biol. activities of)

IT **87438-14-0P 87438-42-4P 87438-43-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

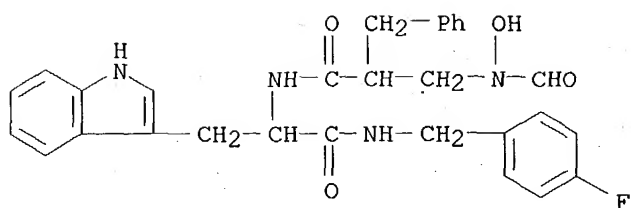
RN 87438-14-0 HCAPLUS

CN Glycinamide, N-formyl-N-hydroxy-2-(phenylmethyl)-.beta.-alanyl-N-(3-methylbutyl)- (9CI) (CA INDEX NAME)



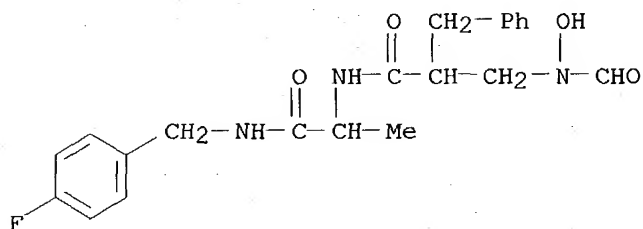
RN 87438-42-4 HCAPLUS

CN L-Tryptophanamide, N-formyl-N-hydroxy-2-(phenylmethyl)-.beta.-alanyl-N-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)



RN 87438-43-5 HCAPLUS

CN L-Alaninamide, N-formyl-N-hydroxy-2-(phenylmethyl)-.beta.-alanyl-N-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)



IT 87438-41-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn., hydrogenolysis, and biol. activities of)

RN 87438-41-3 HCAPLUS

CN Glycinamide, N-formyl-N-hydroxy-2-(phenylmethyl)-.beta.-alanyl-N-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

